

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1639MLS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JUL 20	Powerful new interactive analysis and visualization software, STN AnaVist, now available
NEWS	4	AUG 11	STN AnaVist workshops to be held in North America
NEWS	5	AUG 30	CA/CAPLUS - Increased access to 19th century research documents
NEWS	6	AUG 30	CASREACT - Enhanced with displayable reaction conditions
NEWS	7	SEP 09	ACD predicted properties enhanced in REGISTRY/ZREGISTRY
NEWS	8	OCT 03	MATHDI removed from STN
NEWS	9	OCT 04	CA/CAPLUS-Canadian Intellectual Property Office (CIPO) added to core patent offices
NEWS	10	OCT 06	STN AnaVist workshops to be held in North America
NEWS	11	OCT 13	New CAS Information Use Policies Effective October 17, 2005
NEWS	12	OCT 17	STN(R) AnaVist(TM), Version 1.01, allows the export/download of CAPLUS documents for use in third-party analysis and visualization tools
NEWS	13	OCT 27	Free KWIC format extended in full-text databases
NEWS	14	OCT 27	DIOGENES content streamlined
NEWS	15	OCT 27	EPFULL enhanced with additional content
NEWS	16	NOV 14	CA/CAPLUS - Expanded coverage of German academic research
NEWS EXPRESS			JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information
NEWS LOGIN			Welcome Banner and News Items
NEWS PHONE			Direct Dial and Telecommunication Network Access to STN
NEWS WWW			CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 10:13:33 ON 18 NOV 2005

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY	SESSION
0.21	0.21

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

```
*****
*
* The CA roles and document type information have been removed from
* the IDE default display format and the ED field has been added,
* effective March 20, 2005.  A new display format, IDERL, is now
* available and contains the CA role and document type information.
*
*****
```

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

 \Rightarrow [illegible]

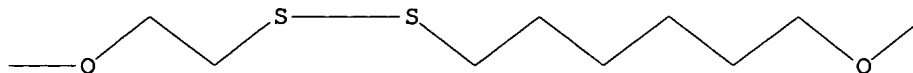
```
Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS
```

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> l1 sam

SAMPLE SEARCH INITIATED 10:14:36 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 20 TO ITERATE

100.0% PROCESSED 20 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**

PROJECTED ITERATIONS: 132 TO 668

PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> l1 full

FULL SEARCH INITIATED 10:14:48 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 420 TO ITERATE

100.0% PROCESSED 420 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

L3 2 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

161.33

161.54

FILE 'CAPLUS' ENTERED AT 10:14:57 ON 18 NOV 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

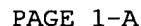
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 18 Nov 2005 VOL 143 ISS 22

FILE LAST UPDATED: 17 Nov 2005 (20051117/ED)



—CH₂—Ph

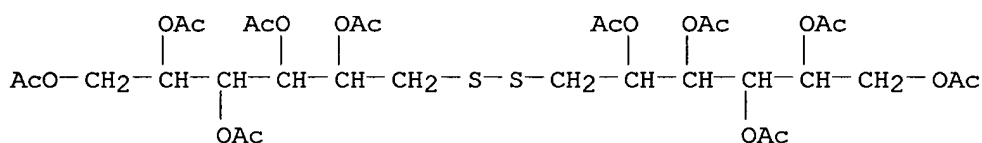
IT **25019-64-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation and deacetylation of)

RN 25019-64-1 CAPLUS

CN D-Glucitol, 1,1'-dithiobis[1-deoxy-, decaacetate (9CI) (CA INDEX NAME)



=> d fbib abs hitstr l4 2

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1969:481669 CAPLUS

DN 71:81669

TI Direct preparation of 1-thio-D-glucitol and its disulfide from D-glucose

AU Procter, Alan R.; Wiekenkamp, R. H.

CS MacMillan Bloedel Res. Ltd., Vancouver, BC, Can.

SO Carbohydrate Research (1969), 10(3), 459-62

CODEN: CRBRAT; ISSN: 0008-6215

DT Journal

LA English

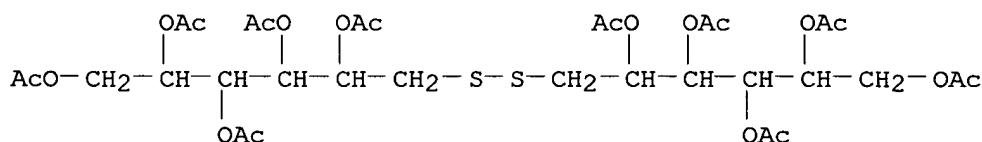
AB Heating 60 g. D-glucose in H₂O 150 min. at 150° under H₂S at 70 lb./in.² followed by reduction with Zn gave 30 g. 1-thio-D-glucitol (I), which with n-C₁₂H₂₅Br gave the S-dodecyl derivative, m. 106°. Oxidation of I with iodine gave 1,1'-dithiobis(1-deoxy-D-glucitol), m. 129°; decaacetate m. 120-1°.

IT **25019-64-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 25019-64-1 CAPLUS

CN D-Glucitol, 1,1'-dithiobis[1-deoxy-, decaacetate (9CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 10:13:33 ON 18 NOV 2005)

FILE 'REGISTRY' ENTERED AT 10:14:10 ON 18 NOV 2005

L1 STRUCTURE UPLOADED
L2 1 L1 SAM
L3 2 L1 FULL

FILE 'CAPLUS' ENTERED AT 10:14:57 ON 18 NOV 2005

L4 2 L3

=> logoff hold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	11.23	172.77
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.46	-1.46

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 10:16:28 ON 18 NOV 2005

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1639MLS

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * *
SESSION RESUMED IN FILE 'CAPLUS' AT 11:04:12 ON 18 NOV 2005
FILE 'CAPLUS' ENTERED AT 11:04:12 ON 18 NOV 2005
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	11.23	172.77
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.46	-1.46

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	11.23	172.77
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.46	-1.46

FILE 'REGISTRY' ENTERED AT 11:04:22 ON 18 NOV 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES: 16 NOV 2005 HIGHEST RN 868209-27-2
DICTIONARY FILE UPDATES: 16 NOV 2005 HIGHEST RN 868209-27-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=> logoff hold

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	1.29	174.06

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-1.46

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 11:06:14 ON 18 NOV 2005

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1639MLS

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 11:54:25 ON 18 NOV 2005
FILE 'REGISTRY' ENTERED AT 11:54:25 ON 18 NOV 2005
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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	1.29	174.06

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.46

=> fil medline biosis caplus embase wpids
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.72	174.49

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.46

FILE 'MEDLINE' ENTERED AT 11:54:48 ON 18 NOV 2005

FILE 'BIOSIS' ENTERED AT 11:54:48 ON 18 NOV 2005
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FILE 'CAPLUS' ENTERED AT 11:54:48 ON 18 NOV 2005
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FILE 'EMBASE' ENTERED AT 11:54:48 ON 18 NOV 2005
Copyright (c) 2005 Elsevier B.V. All rights reserved.

FILE 'WPIDS' ENTERED AT 11:54:48 ON 18 NOV 2005
COPYRIGHT (C) 2005 THE THOMSON CORPORATION

=> (link? or spacer or protect?) and disulfide and cleav?
L5 5881 (LINK? OR SPACER OR PROTECT?) AND DISULFIDE AND CLEAV?

=> (link? or spacer or protect?) (w) disulfide (w) cleav?
L6 0 (LINK? OR SPACER OR PROTECT?) (W) DISULFIDE (W) CLEAV?

=> (link? or spacer or protect?) (w) disulfide
L7 135 (LINK? OR SPACER OR PROTECT?) (W) DISULFIDE

=> (link? or spacer or protect?) (s) disulfide (s) cleav?
L8 1653 (LINK? OR SPACER OR PROTECT?) (S) DISULFIDE (S) CLEAV?

=> (link? or spacer) (s) disulfide (s) cleav?
L9 1548 (LINK? OR SPACER) (S) DISULFIDE (S) CLEAV?

=> protecting and l9
L10 18 PROTECTING AND L9

=> dup rem l10
PROCESSING COMPLETED FOR L10
L11 16 DUP REM L10 (2 DUPLICATES REMOVED)

=> t ti l11 1-16

L11 ANSWER 1 OF 16 MEDLINE on STN DUPLICATE 1
TI Silyl **protecting** groups for oligonucleotide synthesis removed by
a ZnBr₂ treatment.

L11 ANSWER 2 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Producing chemically-modified compound, by providing compound having one
or more water-soluble **protecting** groups, replacing one or more
water-soluble **protecting** groups with chemical adduct to form

chemically-modified compound.

- L11 ANSWER 3 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Fluorenylmethyloxycarbonyl synthesis of a peptide e.g. peptide thioester or thioacid involves removing the N-alpha-fluorenylmethyloxycarbonyl blocking group with a base selected from optionally substituted piperazine or piperidine.
- L11 ANSWER 4 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Composition useful for modulating expression of target nucleic acid, comprises first oligomer capable of hybridizing with target nucleic acid and second oligomer, and second oligomer.
- L11 ANSWER 5 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Modified nucleotide or nucleoside molecule, useful in e.g. Sanger-type sequencing, comprising purine or pyrimidine base and ribose or deoxyribose sugar moiety having covalently attached removable 3'-hydroxy blocking group.
- L11 ANSWER 6 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Composition for modulating expression of target nucleic acid, has first oligomer hybridizing to second oligomer and target nucleic acid, and first or second oligomers has cytosine and uracil or thymine modified binding base.
- L11 ANSWER 7 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI New oligomeric compounds comprising modified nucleoside units, useful in therapeutic, diagnostic or research applications involving gene silencing, or in preventing or delaying infection, inflammation or tumor formation.
- L11 ANSWER 8 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI New oligonucleotides useful e.g. as antisense oligonucleotide, ribozyme, nucleic acid probe, and research reagents in applications e.g. gene silencing.
- L11 ANSWER 9 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Solid-phase synthesis of a peptide involves attaching an alpha-nitrogen protected alpha-carboxy modified amino acid to a solid support through its side chain and assembling a peptide chain on the alpha-nitrogen.
- L11 ANSWER 10 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Producing modified peptides or proteins with physiological activity comprises fusing side chain-modified peptide fragments obtained by solid-phase synthesis and non-modified peptides by genetic modification.
- L11 ANSWER 11 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Surfactant useful for drug solubilization comprises hydrophobic element having log P value greater than 0 covalently attached to hydrophilic element with molecular weight 10-2000 daltons.
- L11 ANSWER 12 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Isolating codons by contacting amino acid degradation products with a substrate attached to a codon, allowing specific complexation of products to the substrate, contacting the complex with a capture material, and releasing attached codons.
- L11 ANSWER 13 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Nucleotide analogs for treating e.g. cancer, comprise ligands containing naturally occurring nucleobase or nucleobase binding groups.
- L11 ANSWER 14 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Testing the quality of biological chips and the effect of parameters used

in production by manufacturing oligonucleotide arrays via spatially directed oligonucleotide synthesis and testing selected arrays.

L11 ANSWER 15 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Chimeric oligonucleotides that can serve as substrates for human RNase H1, useful for enhancing the effectiveness of antisense gene therapies.

L11 ANSWER 16 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Quality control process for manufacturing nucleic acid probe arrays, useful for optimizing the production, storage and use of oligonucleotide arrays, comprises spatially directed oligonucleotide synthesis.

=> d his

(FILE 'HOME' ENTERED AT 10:13:33 ON 18 NOV 2005)

FILE 'REGISTRY' ENTERED AT 10:14:10 ON 18 NOV 2005

L1 STRUCTURE UPLOADED

L2 1 L1 SAM

L3 2 L1 FULL

FILE 'CAPLUS' ENTERED AT 10:14:57 ON 18 NOV 2005

L4 2 L3

FILE 'REGISTRY' ENTERED AT 11:04:22 ON 18 NOV 2005

FILE 'MEDLINE, BIOSIS, CAPLUS, EMBASE, WPIDS' ENTERED AT 11:54:48 ON 18 NOV 2005

L5 5881 (LINK? OR SPACER OR PROTECT?) AND DISULFIDE AND CLEAV?

L6 0 (LINK? OR SPACER OR PROTECT?) (W) DISULFIDE (W) CLEAV?

L7 135 (LINK? OR SPACER OR PROTECT?) (W) DISULFIDE

L8 1653 (LINK? OR SPACER OR PROTECT?) (S) DISULFIDE (S) CLEAV?

L9 1548 (LINK? OR SPACER) (S) DISULFIDE (S) CLEAV?

L10 18 PROTECTING AND L9

L11 16 DUP REM L10 (2 DUPLICATES REMOVED)

=> e mcGall/au

E1 1 MCGALI G/AU

E2 4 MCGALIE C E/AU

E3 0 --> MCGALL/AU

E4 1 MCGALL A/AU

E5 2 MCGALL D/AU

E6 2 MCGALL D G/AU

E7 4 MCGALL E/AU

E8 68 MCGALL G/AU

E9 55 MCGALL G H/AU

E10 1 MCGALL G M/AU

E11 3 MCGALL GLEN/AU

E12 1 MCGALL GLEN H/AU

=> e8-e12

L12 125 ("MCGALL G"/AU OR "MCGALL G H"/AU OR "MCGALL G M"/AU OR "MCGALL GLEN"/AU OR "MCGALL GLEN H"/AU)

=> l12 and l5

L13 3 L12 AND L5

=> dup rem l13

PROCESSING COMPLETED FOR L13

L14 3 DUP REM L13 (0 DUPLICATES REMOVED)

=> t ti 114 1-3

L14 ANSWER 1 OF 3 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Testing the quality of biological chips and the effect of parameters used
in production by manufacturing oligonucleotide arrays via spatially
directed oligonucleotide synthesis and testing selected arrays.

L14 ANSWER 2 OF 3 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Quality control process for manufacturing nucleic acid probe arrays,
useful for optimizing the production, storage and use of oligonucleotide
arrays, comprises spatially directed oligonucleotide synthesis.

L14 ANSWER 3 OF 3 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI New unsymmetrical **disulfide** compounds are useful in aspects of
solid phase polymer synthesis.

=> d ibib abs 114 1-3

L14 ANSWER 1 OF 3 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 2002-205005 [26] WPIDS
CROSS REFERENCE: 1999-094391 [08]; 2001-380442 [40]; 2004-398543 [37]
DOC. NO. CPI: C2002-062818
TITLE: Testing the quality of biological chips and the effect of
parameters used in production by manufacturing
oligonucleotide arrays via spatially directed
oligonucleotide synthesis and testing selected arrays.

DERWENT CLASS: B04 D16
INVENTOR(S): BARONE, A D; CAVIANI PEASE, A M; CHEE, M; DIGGELMANN, M;
LOCKHART, D J; MCGALL, G
PATENT ASSIGNEE(S): (AFFY-N) AFFYMETRIX INC
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
US 2002009729	A1	20020124	(200226)*	26	
US 6576425	B2	20030610	(200340)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 2002009729	A1 Cont of	US 1995-531155	19950918
	Cont of	US 1997-995265	19971219
		US 2001-781537	20010208
US 6576425	B2 Cont of	US 1995-531155	19950918
	Cont of	US 1997-995265	19971219
		US 2001-781537	20010208

FILING DETAILS:

PATENT NO	KIND	PATENT NO
US 2002009729	A1 Cont of	US 5843655
	Cont of	US 6238862
US 6576425	B2 Cont of	US 5843655
	Cont of	US 6238862

PRIORITY APPLN. INFO: US 1995-531155 19950918; US
1997-995265 19971219; US
2001-781537 20010208

AN 2002-205005 [26] WPIDS
CR 1999-094391 [08]; 2001-380442 [40]; 2004-398543 [37]
AB US2002009729 A UPAB: 20040611

NOVELTY - Methods for testing oligonucleotide arrays (e.g. for testing the efficiency of nucleotide coupling, testing for amounts of deprotected oligonucleotides, for determining amounts of depurinated oligonucleotides and/or detecting the presence of **cleavable** structural features), are new.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following:

(1) a method (I) of manufacturing oligonucleotide arrays, comprising manufacturing oligonucleotide arrays by spatially directed oligonucleotide synthesis in high volume and testing selected arrays;

(2) a method (II) for determining the extent to which a test condition causes the appearance of a structural feature in oligonucleotides produced on an oligonucleotide array by spatially directed oligonucleotide synthesis comprising:

(a) providing a substrate having a surface with **linkers** having active sites for oligonucleotide synthesis;

(b) synthesizing an ensemble of sequence-specific oligonucleotides on the substrate by spatially directed oligonucleotide synthesis;

(c) exposing the area to the test condition; and

(d) determining the amount of oligonucleotides having the structural feature;

(3) a method (III) for testing the efficiency of nucleotide coupling in the synthesis of an oligonucleotide array by spatially directed oligonucleotide synthesis comprising:

(a) providing a substrate having a surface having **linkers** with active sites;

(b) coupling first **protected** nucleotides to active sites in a first area and at least one second area of the substrate and capping unreacted, unprotected active sites;

(c) deprotecting active sites in the second area(s), coupling second **protected** nucleotides to active sites in the second area(s) and capping unreacted, unprotected active sites in the second area(s);

(d) optionally repeating the previous step in at least one subsequent area of the substrate and capping unreacted, unprotected active sites in the subsequent area(s);

(e) determining the amount of competent, uncapped active sites at least two areas; and

(f) comparing the amounts determined (the comparative amount indicates the efficiency of nucleotide coupling between the two areas);

(4) a method (IV) for testing the efficiency of nucleotide coupling in the synthesis of an oligonucleotide array by spatially directed oligonucleotide synthesis comprising:

(a) providing a substrate having a surface having **cleavable linkers** including a detectable label and active sites for nucleotide coupling;

(b) coupling at least one nucleotide to the active sites and capping unreacted, unprotected active sites after at least one coupling step;

(c) **cleaving the cleavable linker** to release detectably labelled oligonucleotides;

(d) determining the lengths of the released oligonucleotides; and

(e) comparing the amounts of oligonucleotides having a first length and a second length, (the comparative amount indicates the efficiency of nucleotide coupling between the oligonucleotides of the first length and the second length);

(5) a method (V) for determining the extent to which a test condition causes deprotection of oligonucleotides synthesized on a substrate by spatially directed oligonucleotide synthesis comprising:

(a) providing a substrate on which an ensemble of sequence-specific oligonucleotides has been synthesized (the active sites on the free

terminal nucleotides of the oligonucleotides bear a **protecting** group);

(b) exposing an area of the substrate to the test condition; and
(c) determining the amount of unprotected active sites in the area (the amount indicates the extent to which the test condition caused removal of **protective** groups);

(6) a method (VI) for determining the extent to which a test condition causes depurination of oligonucleotides synthesized on a substrate by spatially directed oligonucleotide synthesis comprising:

(a) providing a substrate having a surface with **linkers** having an active site for oligonucleotide synthesis (the **linkers** being resistant to **cleavage** under **cleavage** conditions);

(b) synthesizing an ensemble of sequence-specific oligonucleotides in an area of the substrate (the oligonucleotides having active sites for attaching a detectable label);

(c) attaching a detectable label to the oligonucleotides in the ensemble;

(d) exposing the ensemble to a test condition;

(e) exposing the ensemble to cleavage conditions that cause cleavage of depurinated oligonucleotides; and

(f) determining the amount of detectable label in the area;

(7) a method (VII) for determining the extent to which a test condition causes depurination of oligonucleotides synthesized on a substrate by spatially directed oligonucleotide synthesis comprising:

(a) providing a substrate having a surface with linkers having an active site for oligonucleotide synthesis (the linkers are resistant to cleavage under cleavage conditions);

(b) synthesizing an ensemble of sequence-specific oligonucleotides in an area of the substrate under a test condition (the oligonucleotides having active sites for attaching a detectable label);

(c) attaching a detectable label to the active sites;

(d) exposing the ensemble to cleavage conditions that cause cleavage of depurinated oligonucleotides; and

(e) determining the amount of detectable label in the area; and

(8) a method (VIII) of determining whether an ensemble of oligonucleotides synthesized on a substrate by spatially directed oligonucleotide synthesis contains double-stranded nucleic acids comprising:

(a) providing a substrate on which an ensemble of sequence-specific oligonucleotides has been synthesized in an area of the substrate, the oligonucleotides bearing a detectable label that is released upon cleavage of the oligonucleotide;

(b) contacting the ensemble with an agent that cleaves double-stranded nucleic acids, (therefore releasing from the substrate detectable label attached to cleaved, double-stranded nucleic acids); and

(c) determining the amount of detectable label in the area, whereby the amount of detectable label is inversely related to the amount of double-stranded nucleic acids.

USE - The methods are used for testing the quality of biological chips and the effect of various parameters used in their production.
Dwg.0/15

L14 ANSWER 2 OF 3 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN

ACCESSION NUMBER: 2001-380442 [40] WPIDS

CROSS REFERENCE: 1999-094391 [08]; 2002-205005 [26]; 2004-398543 [37]

DOC. NO. CPI: C2001-116453

TITLE: Quality control process for manufacturing nucleic acid probe arrays, useful for optimizing the production, storage and use of oligonucleotide arrays, comprises spatially directed oligonucleotide synthesis.

DERWENT CLASS: B04 D16

INVENTOR(S): BARONE, A D; CAVIANI PEASE, A M; CHEE, M; DIGGELMANN, M;
 LOCKHART, D J; MCGALL, G
 PATENT ASSIGNEE(S): (AFFY-N) AFFYMETRIX INC
 COUNTRY COUNT: 1
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
US 6238862	B1	20010529	(200140)*		25

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 6238862	B1 Cont of	US 1995-531155	19950918
		US 1997-995265	19971219

FILING DETAILS:

PATENT NO	KIND	PATENT NO
US 6238862	B1 Cont of	US 5843655

PRIORITY APPLN. INFO: US 1995-531155 19950918; US
 1997-995265 19971219

AN 2001-380442 [40] WPIDS
 CR 1999-094391 [08]; 2002-205005 [26]; 2004-398543 [37]
 AB US 6238862 B UPAB: 20040611

NOVELTY - A quality control process for manufacturing nucleic acid probe arrays comprising manufacturing nucleic acid probe arrays by spatially directed nucleic acid synthesis in high volume and testing the arrays manufactured.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following:

- (1) a testing method (M1) comprising:
 - (a) providing a substrate having a surface with **linkers** having active sites for nucleic acid synthesis;
 - (b) synthesizing an ensemble of sequence-specific nucleic acids on the substrate by spatially directed nucleic acid synthesis;
 - (c) exposing a first area of the substrate to a first test condition, and a second area of the substrate to a second condition;
 - (d) determining the relative amount of nucleic acids having structural feature in the first and second area which is not monomer coupling, where the conditions is not exposure to a nucleic acid probe having a sequence complementary to a sequence in the array, and the relative amount indicates the relative efficiency to cause the appearance of the structural feature;
- (2) a method (M2) for testing the efficiency of monomer coupling in the synthesis of a nucleic acid probe array by spatially directed nucleic acid synthesis;
- (3) a method (M3) of comparing the relative efficiency of two test conditions to cause deprotection of nucleic acids synthesized on a substrate by spatially directed nucleic acid synthesis; and
- (4) a method (M4) of determining whether an ensemble of nucleic acids synthesized on a substrate by spatially directed nucleic acid synthesis contains double-stranded nucleic acids formed from the nucleic acids within or between nucleic acids in the ensemble.

USE - The method is useful for optimizing the production, storage and use of oligonucleotide arrays produced by spatially directed oligonucleotide synthesis, and in particular, light-directed oligonucleotide synthesis. The method is also useful for testing arrays produced under a variety of conditions used in the preparation of

substrates.
Dwg.0/15

L14 ANSWER 3 OF 3 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER: 2000-107929 [10] WPIDS
DOC. NO. CPI: C2000-032605
TITLE: New unsymmetrical **disulfide** compounds are
useful in aspects of solid phase polymer synthesis.
DERWENT CLASS: B02 B04
INVENTOR(S): BARONE, A D; DIGGELMANN, M; MCGALL, G H
PATENT ASSIGNEE(S): (AFFY-N) AFFYMETRIX INC
COUNTRY COUNT: 27
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
EP 967217	A2	19991229	(200010)*	EN	30
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI					
JP 2000044494	A	20000215	(200019)		26
US 2004106728	A1	20040603	(200436)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
EP 967217	A2	EP 1999-250202	19990621
JP 2000044494	A	JP 1999-176129	19990622
US 2004106728	A1 Div ex	US 1998-102986	19980622
		US 2003-619799	20030714

PRIORITY APPLN. INFO: US 1998-102986 19980622; US
2003-619799 20030714

AN 2000-107929 [10] WPIDS

AB EP 967217 A UPAB: 20000228

NOVELTY - New unsymmetrical **disulfide** compounds (I) with
activating and/or **protecting** groups at either end useful in
aspects of solid phase polymer synthesis.

DETAILED DESCRIPTION - A compound of formula (I) is new:

P1, P2 = H, activating group, or **protecting** group;

X1, X2 = bond, -O-, -NH-, -NR-, and -CO2-;

R = 1-4C alkyl;

W1, W2 = methylene, oxyethylene, or oxypropylene; and

n, m = 2-12, provided n and m are not the same when W1 and W2 are the
same, and that P1 and P2 are not both H.

INDEPENDENT CLAIMS are also included for the following:

(1) a modified substrate of formula (II) for use in solid phase
chemical synthesis;

(2) a method of synthesizing small ligand molecules on a support with
optional spacers, the small ligand molecules being removable by treatment
with a **disulfide cleaving** reagent, comprising:

(a) contacting a solid support with a group of formula (IIb) to
produce a derivatized solid support with attached unsymmetrical
disulfide linking groups suitably **protected**
with **protecting** groups;

(b) optionally removing the **protecting** groups from the
derivatized solid support to provide a derivatized solid support with
unsymmetrical **disulfide linking** groups with synthesis
initiation sites; and

(c) coupling the small ligand molecules to the synthesis initiation
sites on the derivatized solid support to produce a solid support with

attached small ligand molecules which are removable therefrom upon application of the **disulfide cleaving** reagent;

(3) a compound of formula (VI);

(4) a substrate of formula (III) for solid phase nucleic acid synthesis;

(5) a substrate of formula (IIIa) for solid phase nucleic acid synthesis;

(6) a substrate bound fluorescently labeled nucleic acid of formula (IIIb); where the fluorescent moiety (FI) = (VI; P11+P12 = bond);

(7) a selectively **cleavable linkage** molecule of formula (V) useful in solid phase compound synthesis; and

(8) a modified substrate of formula (X) for use in solid phase chemical synthesis:

A1 = solid support;

B1 = bond or derivatizing group; and

L1 = **linking** group of formula (IIa):

asterisk = attachment point to B1:

P11, P12 = H, a **protecting** group, or a phosphodiester-forming group (especially a phosphoramidite group):

All = solid support;

B11 = bond or derivatizing group;

L11 = **linking** group;

Nu = nucleic acid; and

FI = fluorescent moiety of formula (VI):

P21, P22 = protecting groups; provided that P21 can be removed without removing P22 and vice versa;

X21 = linking moiety selected from alkylene or aryl;

Y = -C(=O)R', -S(O)R', -SO2R', -SO2NR'R'', CN, CF3, NO2, or phenyl (substituted by 1-3 halogen, NO2, CN and/or CF3);

Z' = -C(=O)-, -S(O)-, -SO2-, or -SO2NR'-;

R', R'' = H, 1-12C alkyl or aryl; and

Q = phosphate ester-forming group selected from phosphoramidate and trialkylammonium H-phosphonate:

A21 = solid support;

B21 = bond or derivatizing group; and

L21 = is of formula (Xa); and

Q21 = phosphate ester linking group:

USE - The compounds may be used for aspects of solid phase polymer synthesis, specifically for oligomer arrays and combinatorial chemistry libraries.

ADVANTAGE - (I) can be used to prepare high-density arrays of diverse polymer sequences such as diverse peptides and oligonucleotides.

Dwg.0/7

=> logoff hold

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
95.91	270.40

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-1.46

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NEWS EXPRESS NOVEMBER 18 CURRENT VERSION FOR WINDOWS IS V8.01,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005.
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=> fil reg

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FULL ESTIMATED COST	0.42	0.42

FILE 'REGISTRY' ENTERED AT 16:36:22 ON 18 NOV 2005

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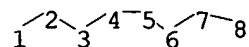
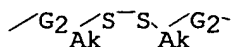
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chain nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 25

chain bonds :

1-2 2-3 3-4 4-5 5-6 6-7 7-8 9-10 11-12 12-13 14-15 15-16 16-17 18-19
19-20 20-21 21-22 23-24 23-25

exact/norm bonds :

1-2 2-3 3-4 4-5 5-6 6-7 7-8 9-10 11-12 14-15 18-19 23-24 23-25

exact bonds :

12-13 15-16 16-17 19-20 20-21 21-22

G2:O,NH,[*1-*2],[*3-*4],[*5-*6],[*7-*8],[*9-*10]

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS
18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> l1 sam

SAMPLE SEARCH INITIATED 16:36:54 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2750 TO ITERATE

72.7% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 51855 TO 58145

PROJECTED ANSWERS: 7032 TO 9468

L2 50 SEA SSS SAM L1

=> l1 full

FULL SEARCH INITIATED 16:37:15 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 56027 TO ITERATE

100.0% PROCESSED 56027 ITERATIONS 8586 ANSWERS
SEARCH TIME: 00.00.04

L3 8586 SEA SSS FUL L1

=> fil caplus

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SINCE FILE	TOTAL
ENTRY	SESSION
161.76	162.18

FULL ESTIMATED COST

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=> l3

L4 12040 L3

=> scan

ENTER TERM OR (END):1

ENTER FIELD CODE (BI):end

=> d scan

L4 12040 ANSWERS CAPLUS COPYRIGHT 2005 ACS on STN
CC 14-14 (Mammalian Pathological Biochemistry)
TI Dynamic simulation of red blood cell metabolism and its application to the analysis of a pathological condition
ST red blood cell model glucose phosphate dehydrogenase deficiency; glutathione synthesis GSSG export system
IT Disease models
Erythrocyte
Human
(de novo glutathione synthesis pathway and glutathione disulfide export system partially compensated for lowered GSH concentration resulting from
G6PD deficiency, thereby improved ability of human RBC model to reflect real diseased RBC)
IT 70-18-8, Glutathione, biological studies
RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); BIOL (Biological study)
(de novo glutathione synthesis pathway partially compensated for lowered GSH concentration resulting from glucose-6-phosphate dehydrogenase deficiency, thereby improved ability of human RBC model to reflect real diseased RBC)
IT 9001-40-5
RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); BIOL (Biological study)
(deficiency; de novo glutathione synthesis pathway and glutathione disulfide export system partially compensated for lowered GSH concentration resulting from G6PD deficiency, thereby improved ability of human RBC model to reflect real diseased RBC)
IT 27025-41-8, Glutathione disulfide
RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); BIOL (Biological study)
(glutathione disulfide concentration was kept at very low level due to active export system which improved ability of human red blood cell model to reflect real diseased RBC due to glucose-6-phosphate dehydrogenase deficiency)
IT 56-65-5, Adenosine triphosphate, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(human red blood cell model with de novo glutathione synthesis pathway and glutathione disulfide export system expansion maintained high ATP concentration in glucose-6-phosphate dehydrogenase deficiency)

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> d fbib abs hitstr l3 1

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n

=> d fbib abs hitstr l4 1

L4 ANSWER 1 OF 12040 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:1173832 CAPLUS
TI Skin compositions containing Punica granatum flower extracts
IN Yamahara, Joji
PA Sakamoto Yakusoen Y. K., Japan
SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2005306831	A2	20051104	JP 2004-151064 JP 2004-151064	20040420 20040420

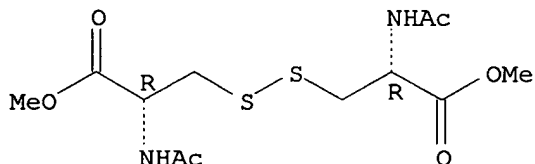
AB The invention provides a skin composition characterized by containing Punica granatum flower extract as fibroblast-derived elastase inhibitor, wherein the composition has anti-aging and skin-lightening effect. Skin compns. containing further specified components are also disclosed. For example, a skin lotion containing Punica granatum flower extract 1, glycerin 3, 1,3-butylene glycol 2, polyethylene glycol 2, ethanol 5, Me paraben 0.1, xanthan gum 0.1, citric acid 0.01, sodium citrate 0.03, trimethylglycine 1, and water balance to 100 % was formulated.

IT **32381-28-5, N,N'-Diacetylcystine dimethyl ester**
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(skin compns. containing punica granatum flower extract and other active components)

RN 32381-28-5 CAPLUS

CN L-Cystine, N,N'-diacetyl-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d his

(FILE 'HOME' ENTERED AT 16:35:19 ON 18 NOV 2005)

FILE 'REGISTRY' ENTERED AT 16:36:22 ON 18 NOV 2005

L1 STRUCTURE UPLOADED

L2 50 L1 SAM

L3 8586 L1 FULL

FILE 'CAPLUS' ENTERED AT 16:37:32 ON 18 NOV 2005

L4 12040 L3

=> 14 and (linker or spacer)

18454 LINKER

41991 SPACER

L5 157 L4 AND (LINKER OR SPACER)

=> py>1998 and l5

6914537 PY>1998

L6 103 PY>1998 AND L5

=> 15 not 16

L7 54 L5 NOT L6

=> 17 and (solid or support or substrate)

988435 SOLID

430949 SUPPORT

833425 SUBSTRATE

L8 14 L7 AND (SOLID OR SUPPORT OR SUBSTRATE)

=> d fbib abs l8 1-14

L8 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:21586 CAPLUS

DN 130:86223

TI **Solid**-phase method for attaching a biomolecule to a **substrate** surface with a photoreactive crosslinking agent

IN Mooradian, Daniel L.; Fields, Gregg B.

PA Regents of the University of Minnesota, USA

SO U.S., 14 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5853744	A	19981229	US 1996-699965	19960820
				US 1996-699965	19960820

AB A method for making a medical device having a biomol. immobilized on a **substrate** surface is provided. The method includes providing an immobilized biomol. comprising a biomol. covalently attached to a **support** material; attaching a photoreactive crosslinking agent to the immobilized biomol. to form a photoreactive analog of the biomol.; and removing the photoreactive analog of the biomol. from the **support** material. The photoreactive analog of the biomol. can then be attached to a **substrate** surface, such as a biomaterial that forms part of a medical device. The immobilized biomol. may contain a peptide having an N α -terminus. The photoreactive crosslinking agent is attached to the peptide at the N α -terminus to form the photoreactive analog of the biomol. The peptide can be an adhesion peptide containing the sequence Trp-Gln-Pro-Arg-Ala-Arg-Ile. Attachment of the peptide to a **substrate** surface promotes cell adhesion to the surface. The photoreactive crosslinking agent can be heterobifunctional or contain two photoreactive groups. The photoreactive analog of the biomol. is attached to the **substrate** surface by activating a photoreactive group of the analog such as by exposing the analog to UV radiation.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:269352 CAPLUS

DN 128:270823

TI Disulfide-Tethered **Solid** Supports for Synthesis of Photoluminescent Oligonucleotide Conjugates: Hydrolytic Stability and Labeling on the **Support**

AU Salo, Harri; Guzaev, Andrei; Loennberg, Harri

CS Department of Chemistry, University of Turku, Turku, FIN-20014, Finland

SO Bioconjugate Chemistry (1998), 9(3), 365-371

CODEN: BCCHE5; ISSN: 1043-1802

PB American Chemical Society

DT Journal

LA English

AB Several new disulfide-tethered **solid** supports were synthesized, and their resistance against ammonolysis was tested. Among these supports, only the one bearing an N-[15-[(4,4'-dimethoxytrityl)oxy]-12,13-dithiapentadecanoyl] **linker** tolerated ammonolysis and exhibited properties compatible with the oligodeoxyribonucleotide synthesis by phosphoramidite strategy. The applicability of this disulfide **linker** structure in postsynthetic oligonucleotide labeling on the **support** was demonstrated by introduction of two photoluminescent

lanthanide chelates or two dansyl groups to the N4-(6-aminoethyl) amino-modified cytosine residues at the 5' end of the oligonucleotide sequence. Subsequent release of the resulting conjugates as their 3'-phosphates was achieved by reductive cleavage of the disulfide bond and precipitation of the conjugate from the solution with ethanol. The fluorescently

tagged oligomer obtained showed hybridization properties similar to those of oligodeoxyribonucleotides labeled in solution

RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:221394 CAPLUS

DN 128:205073

TI **Solid**-Phase Enzymic Synthesis of a Sialyl Lewis X Tetrasaccharide on a Sepharose Matrix

AU Blixt, O.; Norberg, T.

CS Department of Chemistry, Swedish University of Agricultural Sciences, Uppsala, S-750 07, Swed.

SO Journal of Organic Chemistry (1998), 63(8), 2705-2710

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

AB Thiopyridyl sepharoses with different **linker** arm lengths were prepared from epoxy sepharose 6B by reaction first with 1,8-diamino-3,6-dioxaoctane and then with, successively, diethoxy-3-cyclobutene-1,2-dione (squaric acid di-Et ester) and 1,8-diamino-3,6-dioxaoctane in several cycles, followed by reaction of the obtained amino sepharoses with, successively, thiobutyrolactone and 2,2'-dithiopyridine. The thiopyridyl sepharoses were reacted with the glucosamine derivative 2-(3'-mercaptobutyrylamido)ethyl 2-acetamido-2-deoxy- β -D-glucopyranoside, giving GlcNAc sepharoses with different **linker** lengths. Enzymic galactosylation of these with β -(1-4)-galactosyltransferase and UDP-galactose gave yields varying between 70 and 98%, and there was a clear correlation between **linker** length and yield. A GlcNAc sepharose with a long **linker** was then used in a **solid** -phase synthesis of a sialyl Lex tetrasaccharide. The three required enzymes (galactosyl-, sialyl, and fucosyltransferase) and nucleotide sugars were reacted consecutively with the GlcNAc sepharose, giving, after cleavage from sepharose with DTT, the free sialyl Lex tetrasaccharide derivative in a 57% total yield after purification

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:41525 CAPLUS

DN 126:89779

TI Process for preparing two-chain peptides coupled with disulfide or lactam bridges

IN Pavlik, Manfred; Rinnova, Marketa; Blaha, Ivo

PA Ustav Organické Chemie A Biochemie Avcr, Czech Rep.

SO Czech Rep., 10 pp.

CODEN: CZXXED

DT Patent

LA Czech

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	----	-----	-----
PI	CZ 280549	B6	19960214	CZ 1993-989	19930524
				CZ 1993-989	19930524
GI	For diagram(s), see printed CA Issue.				

AB Two-chain peptides, connected by disulfide or lactam bridges, are prepared. The method uses a **solid support** equipped with a bifunctional, orthogonally protected **linker**, the 1st and 2nd functional groups of which are successively and specifically deprotected. To one group are bound the primary chain amino acids, using the Fmoc strategy, with Boc protection of side chains. On the other group are bound the amino acids of the other chain, using the Boc strategy of peptide synthesis. After finishing both chains, they are joined by at least 1 disulfide or lactam bridge, and then the two-chain peptide is cleaved from the **solid support** and transferred to solution. For example, starting from Boc-Lys(Fmoc)-X [X = **solid support**], and using DCC as condensing agent, the lactam-bridged cyclic peptide I was prepared in 40% yield.

L8 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:609917 CAPLUS

DN 125:248492

TI Preparation of peptides and compounds that bind to SH2 (src homology region 2) domains of proteins and methods for their identification

IN Patel, Dinesh V.; Gordeev, Mikhail F.; Gordon, Eric; Grove, J. Russell; Hart, Charles P.; Kim, Moon H.; Szardenings, Anna Katrin

PA Affymax Technologies N.V., Neth.

SO PCT Int. Appl., 204 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9623813	A1	19960808	WO 1996-US1544	19960131
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
				US 1995-382100	A 19950201
	AU 9649720	A1	19960821	AU 1996-49720	19960131
				US 1995-382100	A 19950201
				WO 1996-US1544	W 19960131

AB SH2-binding peptides comprising a core sequence of amino acids Z7XZ8X (X = a member independently selected from the group consisting of the 20 genetically coded L-amino acids and the stereoisomeric D-amino acids; Z7 = phosphotyrosine or an isostere thereof; Z8 = asparagine or an isostere thereof; the amino acid terminus is acylated; the peptide is less than 14 amino acids; provided that if Z7 is phosphotyrosine and Z8 is asparagine, then the peptide is not GDGZ7XZ8XPLL), which bind to the SH2 domain or domains of various proteins, are prepared. These peptides and compds. have application as agonists and antagonists of SH2 domain containing proteins, and as diagnostic or. A library of peptides bound to a **solid support**, useful for identifying ligands capable of binding to SH2 domains, is also prepared. Therapeutic agents for the diagnosis or treatment of disease conditions. A method for identifying an SH2-binding peptide comprises contacting the resp. members of a library with an SH2 domain containing protein or SH2 domain fragment and identifying SH2-binding peptides on the basis of a binding affinity of $\leq 1 + 10^{-4}$ M. In particular, a method for treating a disease associated with aberrant cell growth, differentiation, or regulation which is associated with defects in receptor tyrosine kinase pathways comprises administering to a patient above peptide in an amount sufficient to partially block or inhibit a cellular signal transduction pathway. Said disease is selected from cancer, developmental and differentiation disease, and insulin-resistant

(or non-insulin dependent) diabetes. Thus, a phosphotyrosine-containing peptide library on a **solid support** with the general sequence A-pY-X1-X2-X3-S-V (pY = phosphotyrosine residue, X1 - X3 = Ala, Arg, Asn, Asp, Glu, Gln, Gly, His, Ile, Leu, Lys, Met, Phe, Pro, Ser, Thr, Val, Tyr, Trp, Vvl, Nle, etc.) representing 17,576 peptides was prepared and one of the library sequence (ApYLNESV) showed greater affinity for the SH2 domain than did the pos. control sequence (ApYINQSV, residue from the SH2-binding domain of human EGF) (4.5 μ M vs. 12 μ M).

L8 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1996:171801 CAPLUS
 DN 124:233024
 TI Preparation of **solid** supports for oligonucleotide synthesis.
 IN Watanabe, Kyoichi A.; Ren, Wu-Yun; Weil, Roger
 PA Sloan-Kettering Institute for Cancer Research, USA; Z. W. Biomedical Research, A.G.
 SO PCT Int. Appl., 67 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9531434	A1	19951123	WO 1995-US6379	19950512
	W: AU, CA, JP, MX				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5571937	A	19961105	US 1994-242664	A 19940513
	CA 2190145	AA	19951123	US 1994-242664	19940513
				CA 1995-2190145	19950512
				US 1994-242664	A 19940513
	AU 9526425	A1	19951205	AU 1995-26425	19950512
	AU 691300	B2	19980514		
				US 1994-242664	A 19940513
				WO 1995-US6379	W 19950512
	EP 804414	A1	19971105	EP 1995-921314	19950512
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
				US 1994-242664	A 19940513
				WO 1995-US6379	W 19950512
	JP 10504022	T2	19980414	JP 1995-529909	19950512
				US 1994-242664	A 19940513
				WO 1995-US6379	W 19950512
	US 5652350	A	19970729	US 1995-484138	19950607
				US 1994-242664	A3 19940513

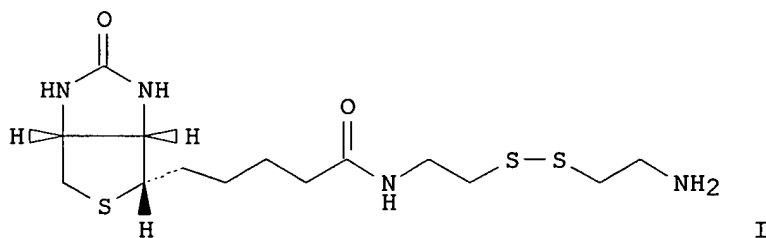
OS MARPAT 124:233024

AB QLZCH2CH2R [Q = **solid support**; L = bond, (in)organic **linker**; Z = SO₂, SS; R = OH, H-phosphonate, alkane-phosphonate, phosphotriester, phosphite triester, phosphite diester, phosphorothioate, phosphorodithioate, phosphoroamidate, phosphoroamidite, OR1, SR1, (oligo)nucleotide which may be substituted or modified; R1 = protecting group; R2 = H-phosphonate, alkanephosphonate, phosphotriester, phosphite triester, phosphite diester, phosphorothioate, phosphorodithioate, phosphoroamidate, phosphoroamidite, OH, OR1, SR1, OP(OCH2CH2CN)OCH2CH2ZCH2CH2OR1], were prepared Thus, HOCH2CH2SSCH2CH2OH was stirred with 4,4'-dimethoxytrityl chloride (DMTr-Cl), p-dimethylaminopyridine, and pyridine for 18 h at room temperature to give 57% monotritylated product. This was coupled to succinoylated controlled pore glass (CPG) by shaking with 2,4,6-triisopropylbenzenesulfonyl chloride and N-methylimidazole in pyridine for 18 h to give CPG-NHCOCH2CH2CO2CH2CH2SSCH2CH2ODMTr, a **support** which may be used to prepare oligonucleotides which are phosphorylated at both termini.

L8 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:145351 CAPLUS
 DN 124:290233
 TI Activation Method to Prepare a Highly Reactive Acylsulfonamide
 "Safety-Catch" **Linker** for **Solid-Phase** Synthesis
 AU Backes, Bradley J.; Virgilio, Alex A.; Ellman, Jonathan A.
 CS Department of Chemistry, University of California, Berkeley, CA, 94720,
 USA
 SO Journal of the American Chemical Society (1996), 118(12), 3055-6
 CODEN: JACSAT; ISSN: 0002-7863
 PB American Chemical Society
 DT Journal
 LA English
 AB An activation method to prepare a highly reactive acylsulfonamide
 "safety-catch" **linker** for **solid-phase** peptide and
 nonpeptide synthesis is reported. Activation of the **support**
 -bound acylsulfonamide is accomplished by alkylation with
 bromoacetonitrile or iodoacetonitrile. Nucleophilic cleavage of the
 N-cyanomethylated acylsulfonamide proceeds in high yield for a variety of
 amines including nonbasic or sterically hindered amines. Due to the high
 reactivity of the N-cyanomethyl acylsulfonamide, limiting amts. of amines
 may be added to provide the amide products in pure form. Novel pooling
 strategies are demonstrated whereby equimolar mixts. of limiting amts. of
 five different amines are added to provide equimolar amts. of the
 corresponding five amide products. Finally, peptide bond formation is
 demonstrated employing this activation method.

L8 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1995:731259 CAPLUS
 DN 124:8441
 TI Bifunctional activity labels for selection of filamentous bacteriophages
 displaying enzymes
 AU Vanwetswinkel, Sophie; Touillaux, Roland; Fastrez, Jacques;
 Marchand-Brynaert, Jacqueline
 CS Laboratoire Biochimie Physique Biopolymères, Université Catholique
 Louvain, Louvain-la-Neuve, B-1348, Belg.
 SO Bioorganic & Medicinal Chemistry (1995), 3(7), 907-15
 CODEN: BMECEP; ISSN: 0968-0896
 PB Elsevier
 DT Journal
 LA English
 GI



AB Two bifunctional activity labels of β -lactamases or
 penicillin-binding proteins were prepared. They feature a penicillin sulfone
 derivative, i.e. a suicide **substrate** of serine β -lactamases, or
 a penicillin derivative connected to a biotin moiety through a **spacer**
 containing a disulfide bridge. The biotinyl **spacer** I was prepared by
 coupling biotin to ϵ -aminocaproic acid, then to cystamine, and
 purified by transient protection with Boc. An acid derivative was prepared
 from

biotinyl **spacer** I with glutaric anhydride and converted to and activated as pentafluorophenyl ester. Reaction of said activated ester with 6-aminopenicillanic acid gave a penicillin binding protein label. Selection of the most active β -lactamase displayed on phage from a mixture containing less active enzymes could be accomplished in three rounds of labeling and affinity chromatog. using a suicide inhibitor.

L8 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1994:117698 CAPLUS

DN 120:117698

TI Molecular recognition at a self-assembled monolayers: optimization of surface functionalization

AU Spinke, J.; Liley, M.; Schmitt, F. J.; Guder, H. J.; Angermaier, L.; Knoll, W.

CS Max Planck Inst. Polymerforsch., Mainz, 6500, Germany

SO Journal of Chemical Physics (1993), 99(9), 7012-19

CODEN: JCPSA6; ISSN: 0021-9606

DT Journal

LA English

AB Some S-based mols. containing biotin and hydroxyl groups were used to create a wide variety of self-assembled monolayers on Au surfaces. Surface plasmon resonance was used to study in situ the binding of streptavidin to these monolayers from solution. The self-assembled monolayers allow a high degree of control over the surface properties. The choice of an appropriate biotin-containing mol., with a **spacer** segment, and the dilution of this mol. within the monolayer by hydroxythiols, allows optimization of the binding properties of the monolayer-nonspecific interactions between streptavidin and the surface are below detection limits, and specific binding between the streptavidin and biotin groups can be maximized.

L8 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1994:63303 CAPLUS

DN 120:63303

TI A new class of thiolipids for the attachment of lipid bilayers on gold surfaces

AU Lang, Holger; Duschl, Claus; Vogel, Horst

CS Inst. Phys. Chem., Swiss Fed. Inst. Technol., Lausanne, CH-1015, Switz.

SO Langmuir (1994), 10(1), 197-210

CODEN: LANGD5; ISSN: 0743-7463

DT Journal

LA English

AB A new class of lipid mols. is synthesized, based on two dipalmitoylphosphatidic mols., each extended at the lipid phosphate by a hydrophilic **spacer** chain of ethoxy groups of variable length, which are then coupled as a bilipid via a terminal disulfide group at the hydrophilic **spacer**. These anchor-bearing "thiolipids" can attach to gold substrates by forming stable gold-sulfur bonds. In this way, the authors can couple lipid bilayers to gold surfaces, with the possibility of preserving a water layer between the **support** and the first monolayer. The thiolipid mols. are characterized on a Langmuir film balance using fluorescence microscopy. The mol. areas of the thiolipids on the water surface are 80-90 Å² at a fully compressed state. The thiolipid monolayers show a typical first-order phase transition on the water surface with regular, starlike domains. The formation of thiolipid-attached mono- and bilayers on gold surfaces was studied by surface plasmon resonance (SPR), impedance measurements, and cyclic voltammetry. Four different supported membrane systems are studied in detail: (1) pure thiolipid layers; (2) mixed lipid bilayers containing a first pure thiolipid monolayer and a second one of conventional phospholipids; (3) bilayers, where the first gold-attached monolayer is composed of a mixture of thio- and conventional phospholipids with another second phospholipid layer on top; (4) monolayers of pure 1-hexadecanethiol

and layers with a second phospholipid film on top of the 1-hexadecanethiol. The electrochem. expts. reveal elec. blocking layers for all lipid systems investigated with specific resistances of 104-105 Ω cm². The capacitance values for pure thiolipid bilayers are in the range of 0.5-0.7 μ F/cm² for the pure thiolipid bilayers and 0.7-0.8 μ F/cm² for the mixed thiolipid/phospholipid bilayers, which is comparable to the values found for unsupported, so-called black lipid membranes. SPR measurements confirm qual. the results of the electrochem. expts.

L8 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1992:605314 CAPLUS

DN 117:205314

TI Isolation and partial purification of a melanocyte-stimulating hormone receptor from B16 murine melanoma cells. A novel approach using a cleavable biotinylated photoactivated ligand and streptavidin-coated magnetic beads

AU Ahmed, Abdel R. H.; Olivier, George W. J.; Adams, Gail; Erskine, Mary E.; Kinsman, Richard G.; Branch, Sarah K.; Moss, Stephen H.; Notarianni, Lidia J.; Pouton, Colin W.

CS Sch. Pharm. Pharmacol., Univ. Bath, Bath, BA2 7AY, UK

SO Biochemical Journal (1992), 286(2), 377-82

CODEN: BIJOAK; ISSN: 0306-3275

DT Journal

LA English

AB The α -MSH receptor of B16 mouse melanoma cells was characterized by photoaffinity labeling using radiolabeled photoactive derivs. of α -MSH. A doublet band of 43-46 kDa representing a ligand-receptor complex was identified. A novel adaptation of the streptavidin/biotin-based affinity system was used to isolate the α -MSH receptor. A probe was synthesized which contained biotin connected to a photolabeled α -MSH analog via a cleavable disulfide **linker** and which displayed high affinity for the α -MSH receptor. Streptavidin-coated magnetic beads were used as a **solid support** instead of an affinity column. Covalently linked probe-receptor complexes solubilized in Triton X-100 were equilibrated with the beads, and after magnetic separation and washing, specifically bound complexes were treated with dithiothreitol to cleave the disulfide bridge in the biotin-peptide **spacer** arm and so release the receptor-ligand complex. The identity of the isolated protein was established by SDS/PAGE anal. Methods to achieve purification to homogeneity and to allow quant. isolation of the receptor are discussed.

L8 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1992:455949 CAPLUS

DN 117:55949

TI Oligonucleotide-transport agent disulfide conjugates

IN Latham, John A.; Lin, Kuei Ying; Matteucci, Mark

PA Gilead Sciences, Inc., USA

SO PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 9114696	A1	19911003	WO 1991-US2224	19910329
	W: AU, CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
				US 1990-502361	A2 19900329
	CA 2079109	AA	19910930	CA 1991-2079109	19910329
				US 1990-502361	A 19900329

AU 9177592	A1	19911021	AU 1991-77592	19910329
			US 1990-502361	A 19900329
			WO 1991-US2224	A 19910329
EP 537299	A1	19930421	EP 1991-918074	19910329
R: DE, FR, GB				
			US 1990-502361	A 19900329
			WO 1991-US2224	W 19910329
JP 05505941	T2	19930902	JP 1991-508586	19910329
			US 1990-502361	A 19900329
			WO 1991-US2224	W 19910329

OS MARPAT 117:55949

AB Compns. and methods for enhancing the delivery of an oligonucleotide into a cell are described. The compns. of the invention comprise oligonucleotide conjugates which consist of an oligonucleotide, conjugated via a mol. **linker** containing ≥ 1 disulfide bond, to an agent which facilitates transport across an outer cell membrane, or across the blood-brain barrier. In a preferred aspect, the disulfide linkage is cleaved upon uptake of the composition by the cell. Pharmaceutical compns. comprising an oligonucleotide conjugate of the invention may be used to treat a wide variety of diseases and disorders. Methods for inhibiting the expression of a nucleic acid sequence within a cell, and methods for detecting a nucleic acid sequence within a cell are also provided. In a specific embodiment, an oligonucleotide conjugated to cholesterol via a **linker** containing a disulfide linkage can be used for therapeutic or diagnostic purposes, by hybridization of the oligonucleotide to a complementary nucleic acid sequence in a procaryotic or eucaryotic cell. Cholesterol-TC-R-SS-R-CAGTGA(T)9CTCCAT (I; R = O3PCH2CH2) was prepared I could be cleaved with a reducing agent. I was stable in blood serum and was taken up by cells. The disulfide linkage was cleaved once I was taken up by the cell.

L8 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1990:441209 CAPLUS

DN 113:41209

TI New **solid**-phase for automated synthesis of oligonucleotides containing an amino-alkyl **linker** at their 3'-end

AU Asseline, Ulysse; Nguyen Thanh Thuong

CS Cent. Biophys. Mol., CNRS, Orleans, 45071, Fr.

SO Tetrahedron Letters (1990), 31(1), 81-4

CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

OS CASREACT 113:41209

AB Immobilization of an aliphatic amino alc. on a 2,2'-dithioethanol-derivatized **support** via a carbamate linkage formation allows automated synthesis of oligonucleotides involving aminoalkyl derivatizations at their 3'-end.

L8 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1985:419262 CAPLUS

DN 103:19262

TI A chemically cleavable biotinylated nucleotide: usefulness in the recovery of protein-DNA complexes from avidin affinity columns

AU Shimkus, Mary; Levy, Janina; Herman, Timothy

CS Dep. Biochem., Med. Coll. Wisconsin, Milwaukee, WI, 53226, USA

SO Proceedings of the National Academy of Sciences of the United States of America (1985), 82(9), 2593-7

CODEN: PNASA6; ISSN: 0027-8424

DT Journal

LA English

GI

support material; attaching a photoreactive crosslinking agent to the immobilized biomol. to form a photoreactive analog of the biomol.; and removing the photoreactive analog of the biomol. from the **support** material. The photoreactive analog of the biomol. can then be attached to a **substrate** surface, such as a biomaterial that forms part of a medical device. The immobilized biomol. may contain a peptide having an N α -terminus. The photoreactive crosslinking agent is attached to the peptide at the N α -terminus to form the photoreactive analog of the biomol. The peptide can be an adhesion peptide containing the sequence Trp-Gln-Pro-Pro-Arg-Ala-Arg-Ile. Attachment of the peptide to a **substrate** surface promotes cell adhesion to the surface. The photoreactive crosslinking agent can be heterobifunctional or contain two photoreactive groups. The photoreactive analog of the biomol. is attached to the **substrate** surface by activating a photoreactive group of the analog such as by exposing the analog to UV radiation.

IT 180050-46-8P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

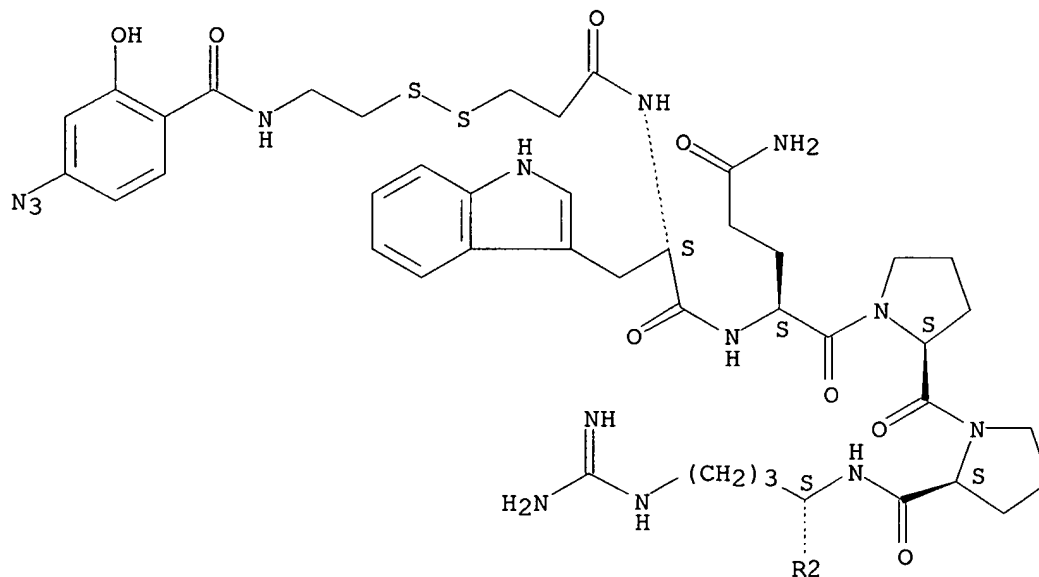
(solid-phase method for attachment of biomol. to **substrate** surface with photoreactive crosslinking agent)

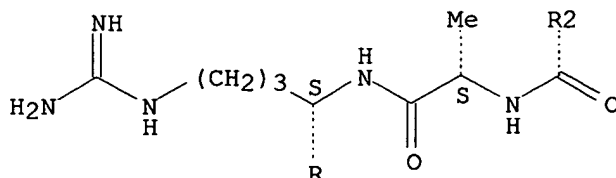
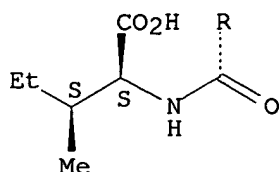
RN 180050-46-8 CAPLUS

CN L-Isoleucine, N-[3-[[2-[(4-azido-2-hydroxybenzoyl)amino]ethyl]dithio]-1-oxopropyl]-L-tryptophyl-L-glutamyl-L-prolyl-L-prolyl-L-arginyl-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:269352 CAPLUS

DN 128:270823

TI Disulfide-Tethered **solid** Supports for Synthesis of
Photoluminescent Oligonucleotide Conjugates: Hydrolytic Stability and
Labeling on the **Support**

AU Salo, Harri; Guzaev, Andrei; Loennberg, Harri

CS Department of Chemistry, University of Turku, Turku, FIN-20014, Finland

SO Bioconjugate Chemistry (1998), 9(3), 365-371

CODEN: BCCHE5; ISSN: 1043-1802

PB American Chemical Society

DT Journal

LA English

AB Several new disulfide-tethered **solid** supports were synthesized,
and their resistance against ammonolysis was tested. Among these
supports, only the one bearing an N-[15-[(4,4'-dimethoxytrityl)oxy]-12,13-
dithiapentadecanoyl] **linker** tolerated ammonolysis and exhibited
properties compatible with the oligodeoxyribonucleotide synthesis by
phosphoramidite strategy. The applicability of this disulfide
linker structure in postsynthetic oligonucleotide labeling on the
support was demonstrated by introduction of two photoluminescent
lanthanide chelates or two dansyl groups to the N4-(6-aminoethyl)
amino-modified cytosine residues at the 5' end of the oligonucleotide
sequence. Subsequent release of the resulting conjugates as their
3'-phosphates was achieved by reductive cleavage of the disulfide bond and
precipitation of the conjugate from the solution with ethanol. The

fluorescently

tagged oligomer obtained showed hybridization properties similar to those
of oligodeoxyribonucleotides labeled in solution

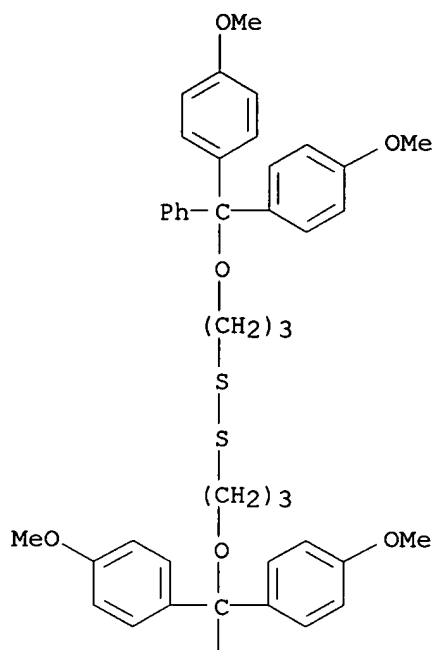
IT 205449-82-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(disulfidetethered **solid** supports for synthesis of
photoluminescent oligodeoxyribonucleotide conjugates hydrolytic
stability and labeling on the **support**)

RN 205449-82-7 CAPLUS

CN Disulfide, bis[3-[bis(4-methoxyphenyl)phenylmethoxy]propyl] (9CI) (CA
INDEX NAME)



|
Ph

RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:221394 CAPLUS
DN 128:205073
TI **Solid**-Phase Enzymic Synthesis of a Sialyl Lewis X
Tetrasaccharide on a Sepharose Matrix
AU Blixt, O.; Norberg, T.
CS Department of Chemistry, Swedish University of Agricultural Sciences,
Uppsala, S-750 07, Swed.
SO Journal of Organic Chemistry (1998), 63(8), 2705-2710
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
AB Thiopyridyl sepharoses with different **linker** arm lengths were prepared from epoxy sepharose 6B by reaction first with 1,8-diamino-3,6-dioxaoctane and then with, successively, diethoxy-3-cyclobutene-1,2-dione (squaric acid di-Et ester) and 1,8-diamino-3,6-dioxaoctane in several cycles, followed by reaction of the obtained amino sepharoses with, successively, thiobutyrolactone and 2,2'-dithiopyridine. The thiopyridyl sepharoses were reacted with the glucosamine derivative 2-(3'-mercaptobutyrylamido)ethyl 2-acetamido-2-deoxy- β -D-glucopyranoside, giving GlcNAc sepharoses with different **linker** lengths. Enzymic galactosylation of these with β -(1-4)-galactosyltransferase and

UDP-galactose gave yields varying between 70 and 98%, and there was a clear correlation between **linker** length and yield. A GlcNAc sepharose with a long **linker** was then used in a **solid**-phase synthesis of a sialyl Lex tetrasaccharide. The three required enzymes (galactosyl-, sialyl, and fucosyltransferase) and nucleotide sugars were reacted consecutively with the GlcNAc sepharose, giving, after cleavage from sepharose with DTT, the free sialyl Lex tetrasaccharide derivative in a 57% total yield after purification

IT 204004-74-0P

RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

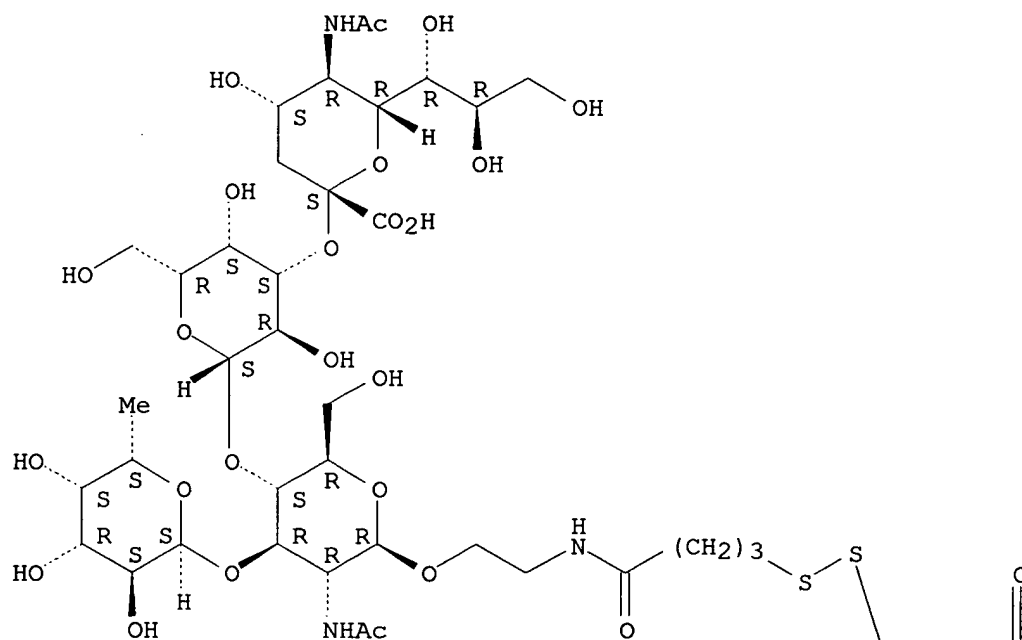
(**solid**-phase enzymic synthesis of a sialyl Lewisx tetrasaccharide on a sepharose matrix)

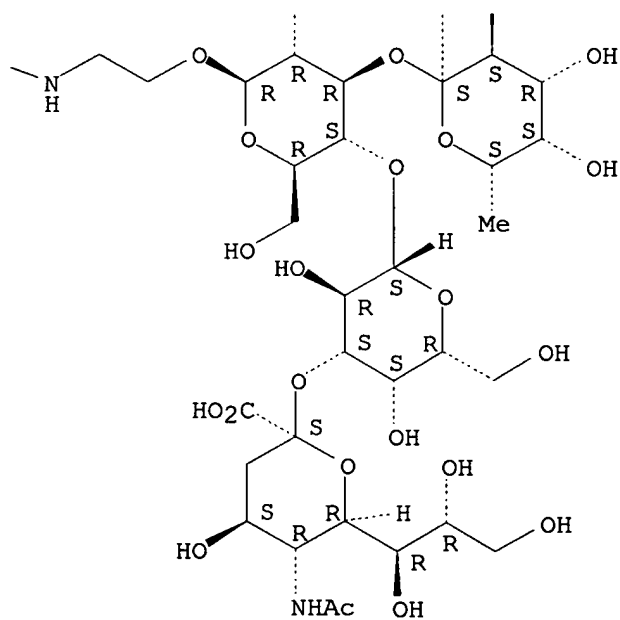
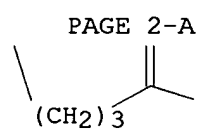
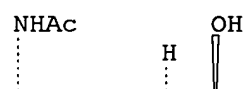
RN 204004-74-0 CAPLUS

CN Butanamide, 4,4'-dithiobis[N-[2-[[O-(N-acetyl- α -neuraminosyl)-(2 \rightarrow 3)-O- β -D-galactopyranosyl-(1 \rightarrow 4)-O-[6-deoxy- α -L-galactopyranosyl-(1 \rightarrow 3)]-2-(acetylamino)-2-deoxy- β -D-glucopyranosyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

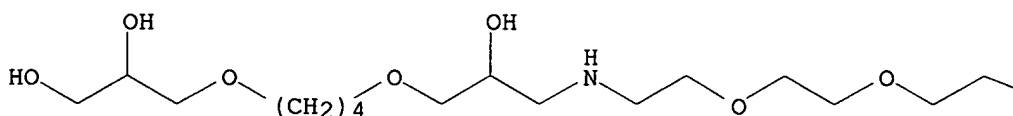




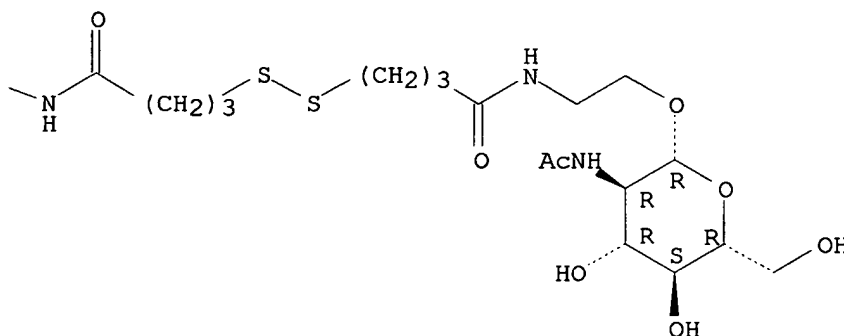
IT 204004-68-2DP, Sepharose 6B bound 204004-69-3DP,
 Sepharose 6B bound 204004-70-6DP, Sepharose 6B bound
 204004-71-7DP, Sepharose 6B bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (solid-phase enzymic synthesis of a sialyl Lewisx
 tetrasaccharide on a sepharose matrix)
 RN 204004-68-2 CAPLUS
 CN 4,9,16,19-Tetraoxa-27,28-dithia-13,22-diazadotriacontan-32-amide,
 N-[2-[[2-(acetylamino)-2-deoxy-β-D-glucopyranosyl]oxy]ethyl]-1,2,11-
 trihydroxy-23-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

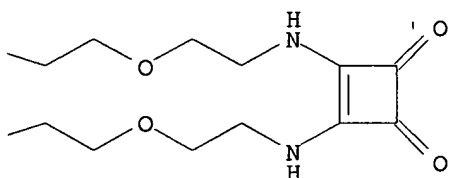
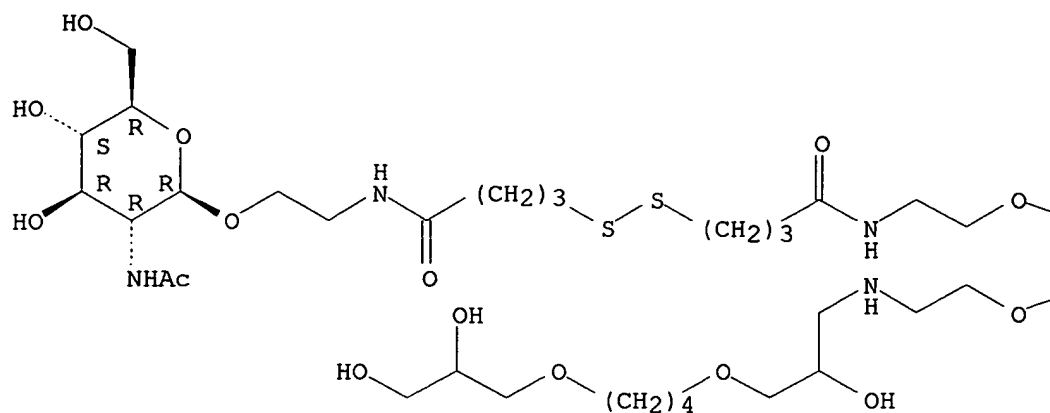


PAGE 1-B



RN 204004-69-3 CAPLUS
 CN 3,6-Dioxa-14,15-dithia-9-azanonadecan-19-amide, N-[2-[[2-(acetylamino)-2-
 deoxy-β-D-glucopyranosyl]oxy]ethyl]-1-[[3,4-dioxo-2-[(11,20,21-
 trihydroxy-3,6,13,18-tetraoxa-9-azaheneicos-1-yl)amino]-1-cyclobuten-1-
 yl]amino]-10-oxo- (9CI) (CA INDEX NAME)

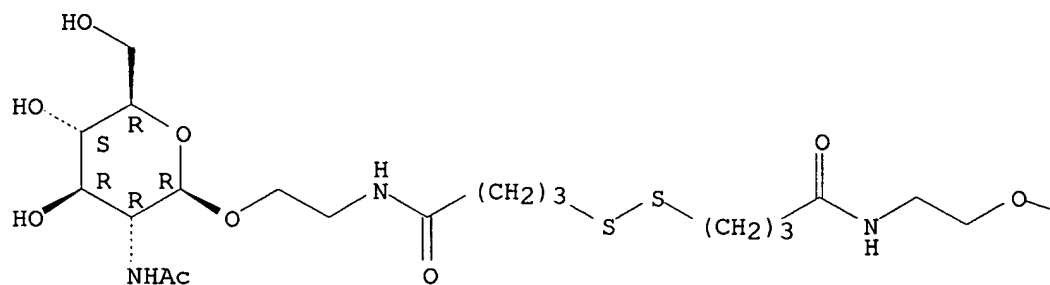
Absolute stereochemistry.

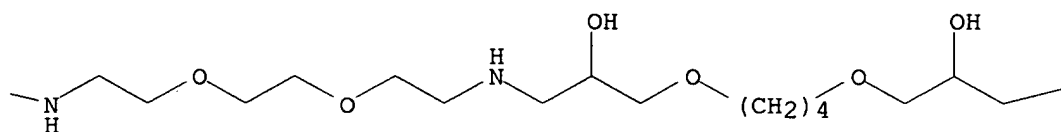
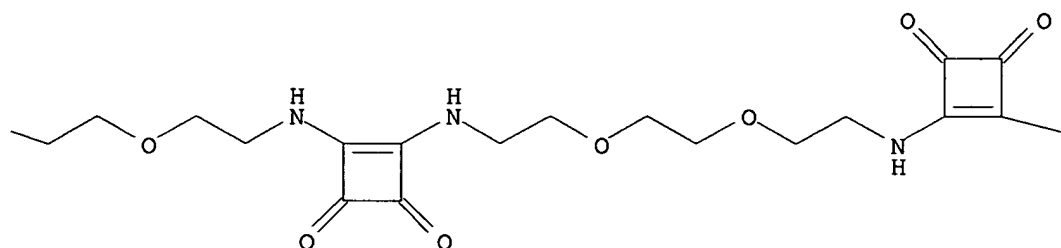


RN 204004-70-6 CAPLUS

CN 3,6-Dioxa-14,15-dithia-9-azanonadecan-19-amide, N-[2-[[2-(acetylamino)-2-deoxy-β-D-glucopyranosyl]oxy]ethyl]-1-[[2-[[2-[2-[2-[3,4-dioxo-2-[[11,20,21-trihydroxy-3,6,13,18-tetraoxa-9-azaheneicos-1-yl]amino]-1-cyclobuten-1-yl]amino]ethoxy]ethoxy]ethyl]amino]-3,4-dioxo-1-cyclobuten-1-yl]amino]-10-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



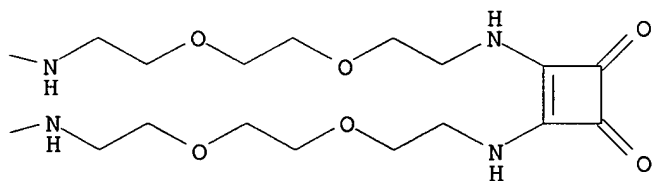
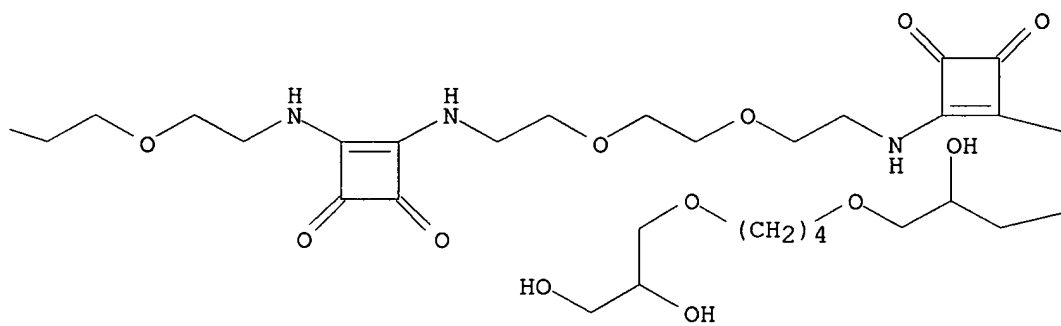
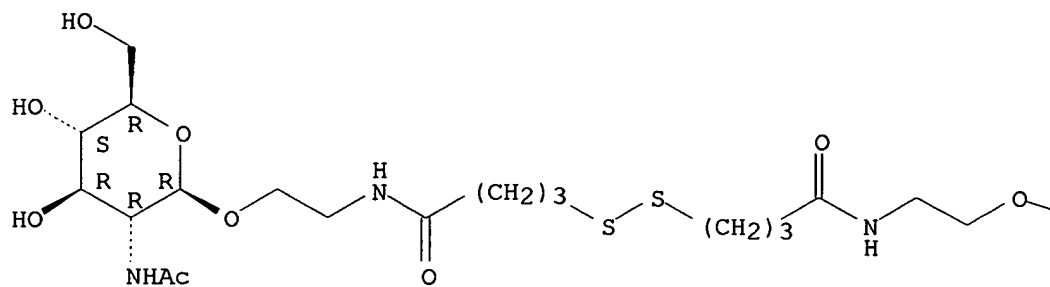


—OH

RN 204004-71-7 CAPLUS

CN 3,6-Dioxa-14,15-dithia-9-azanonadecan-19-amide, N-[2-[[2-(acetylamino)-2-deoxy-β-D-glucopyranosyl]oxy]ethyl]-1-[[2-[[2-[[2-[[2-[[2-[[2-[[2-[[3,4-dioxo-2-[(11,20,21-trihydroxy-3,6,13,18-tetraoxa-9-azaheneicos-1-yl)amino]-1-cyclobuten-1-yl]amino]ethoxy]ethoxy]ethyl]amino]-3,4-dioxo-1-cyclobuten-1-yl]amino]ethoxy]ethoxy]ethyl]amino]-3,4-dioxo-1-cyclobuten-1-yl]amino]-10-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:41525 CAPLUS

DN 126:89779

TI Process for preparing two-chain peptides coupled with disulfide or lactam bridges

IN Pavlik, Manfred; Rinnova, Marketa; Blaha, Ivo
 PA Ustav Organické Chemie A Biochemie Avcr, Czech Rep.
 SO Czech Rep., 10 pp.
 CODEN: CZXXED

DT Patent

LA Czech

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CZ 280549	B6	19960214	CZ 1993-989	19930524
				CZ 1993-989	19930524

GI For diagram(s), see printed CA Issue.

AB Two-chain peptides, connected by disulfide or lactam bridges, are prepared. The method uses a **solid support** equipped with a bifunctional, orthogonally protected **linker**, the 1st and 2nd functional groups of which are successively and specifically deprotected. To one group are bound the primary chain amino acids, using the Fmoc strategy, with Boc protection of side chains. On the other group are bound the amino acids of the other chain, using the Boc strategy of peptide synthesis. After finishing both chains, they are joined by at least 1 disulfide or lactam bridge, and then the two-chain peptide is cleaved from the **solid support** and transferred to solution. For example, starting from Boc-Lys(Fmoc)-X [X = **solid support**], and using DCC as condensing agent, the lactam-bridged cyclic peptide I was prepared in 40% yield.

IT 185215-91-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

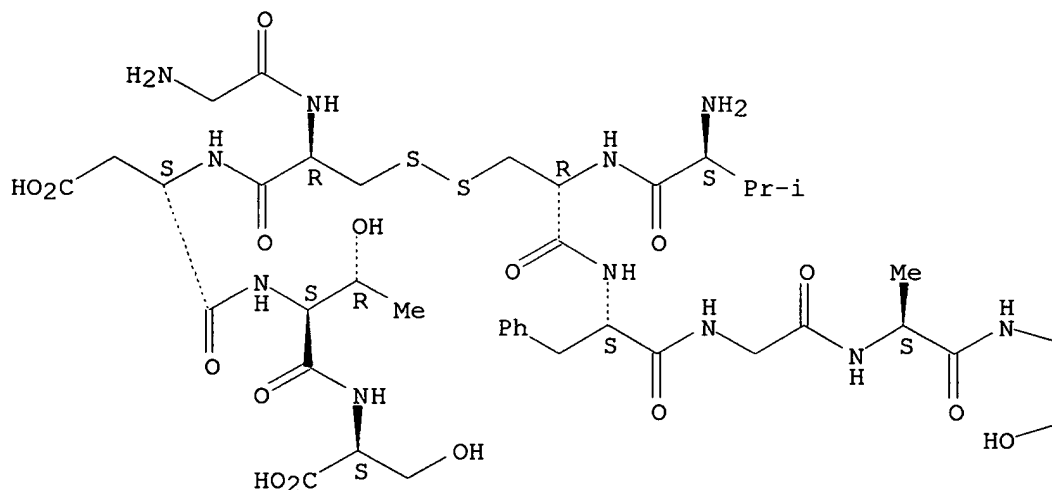
(preparation of two-chain peptides coupled with disulfide or lactam bridges)

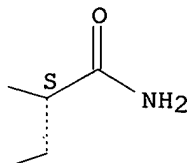
RN 185215-91-2 CAPLUS

CN L-Serinamide, L-valyl-L-cysteinyl-L-phenylalanylglycyl-L-alanyl-, (2→2')-disulfide with glycyl-L-cysteinyl-L-α-aspartyl-L-threonyl-L-serine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





L8 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:609917 CAPLUS

DN 125:248492

TI Preparation of peptides and compounds that bind to SH2 (src homology region 2) domains of proteins and methods for their identification

IN Patel, Dinesh V.; Gordeev, Mikhail F.; Gordon, Eric; Grove, J. Russell; Hart, Charles P.; Kim, Moon H.; Szardenings, Anna Katrin

PA Affymax Technologies N.V., Neth.

SO PCT Int. Appl., 204 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9623813	A1	19960808	WO 1996-US1544	19960131
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
				US 1995-382100	A 19950201
	AU 9649720	A1	19960821	AU 1996-49720	19960131
				US 1995-382100	A 19950201
				WO 1996-US1544	W 19960131

AB SH2-binding peptides comprising a core sequence of amino acids Z7XZ8X (X = a member independently selected from the group consisting of the 20 genetically coded L-amino acids and the stereoisomeric D-amino acids; Z7 = phosphotyrosine or an isostere thereof; Z8 = asparagine or an isostere thereof; the amino acid terminus is acylated; the peptide is less than 14 amino acids; provided that if Z7 is phosphotyrosine and Z8 is asparagine, then the peptide is not GDGZ7XZ8XPLL), which bind to the SH2 domain or domains of various proteins, are prepared These peptides and compds. have application as agonists and antagonists of SH2 domain containing proteins, and as diagnostic or. A library of peptides bound to a **solid support**, useful for identifying ligands capable of binding to SH2 domains, is also prepared therapeutic agents for the diagnosis or treatment of disease conditions. A method for identifying an SH2-binding peptide comprises contacting the resp. members of a library with an SH2 domain containing protein or SH2 domain fragment and identifying SH2-binding peptides on the basis of a binding affinity of $\leq 1 + 10^{-4}$ M. In

particular, a method for treating a disease associated with aberrant cell growth, differentiation, or regulation which is associated with defects in receptor tyrosine kinase pathways comprises administering to a patient above peptide in an amount sufficient to partially block or inhibit a cellular signal transduction pathway. Said disease is selected from cancer, developmental and differentiation disease, and insulin-resistant (or non-insulin dependent) diabetes. Thus, a phosphotyrosine-containing peptide library on a **solid support** with the general sequence A-pY-X1-X2-X3-S-V (pY = phosphotyrosine residue, X1 - X3 = Ala, Arg, Asn, Asp, Glu, Gln, Gly, His, Ile, Leu, Lys, Met, Phe, Pro, Ser, Thr, Val, Tyr, Trp, Vvl, Nle, etc.) representing 17,576 peptides was prepared and one of the library sequence (ApYLNESV) showed greater affinity for the SH2 domain than did the pos. control sequence (ApYINQSV, residue from the SH2-binding domain of human EGF) (4.5 μ M vs. 12 μ M).

IT **64957-09-1P**

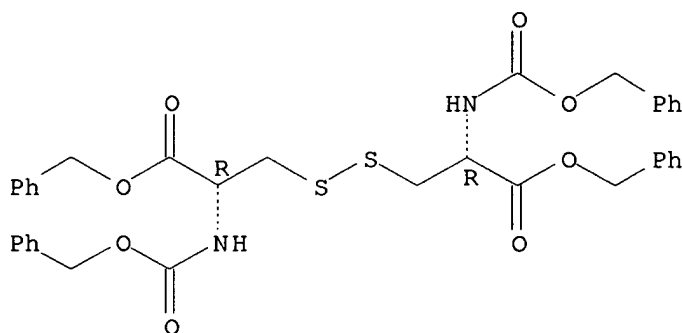
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of peptides and peptide library having binding affinity to SH2 domains for diagnosis and treatment of diseases)

RN 64957-09-1 CAPLUS

CN L-Cystine, N,N'-bis[(phenylmethoxy)carbonyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:171801 CAPLUS

DN 124:233024

TI Preparation of **solid** supports for oligonucleotide synthesis.

IN Watanabe, Kyoichi A.; Ren, Wu-Yun; Weil, Roger

PA Sloan-Kettering Institute for Cancer Research, USA; Z. W. Biomedical Research, A.G.

SO PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9531434	A1	19951123	WO 1995-US6379	19950512
	W: AU, CA, JP, MX				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5571937	A	19961105	US 1994-242664	A 19940513
	CA 2190145	AA	19951123	US 1994-242664	19940513
				CA 1995-2190145	19950512
				US 1994-242664	A 19940513

AU 9526425	A1	19951205	AU 1995-26425	19950512
AU 691300	B2	19980514		
			US 1994-242664	A 19940513
			WO 1995-US6379	W 19950512
EP 804414	A1	19971105	EP 1995-921314	19950512
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
			US 1994-242664	A 19940513
			WO 1995-US6379	W 19950512
JP 10504022	T2	19980414	JP 1995-529909	19950512
			US 1994-242664	A 19940513
			WO 1995-US6379	W 19950512
US 5652350	A	19970729	US 1995-484138	19950607
			US 1994-242664	A3 19940513

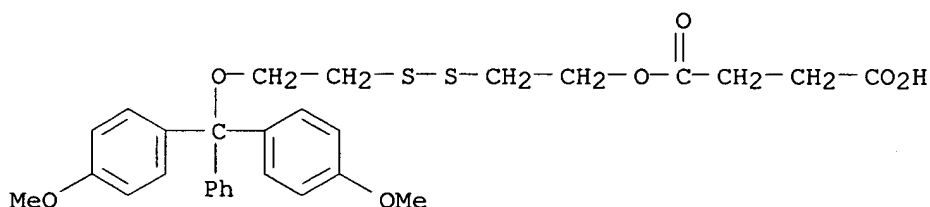
OS MARPAT 124:233024

AB QLZCH2CH2R [Q = **solid support**; L = bond, (in)organic **linker**; Z = SO2, SS; R = OH, H-phosphonate, alkane-phosphonate, phosphotriester, phosphite triester, phosphite diester, phosphorothioate, phosphorodithioate, phosphoroamidate, phosphoroamidite, OR1, SR1, (oligo)nucleotide which may be substituted or modified; R1 = protecting group; R2 = H-phosphonate, alkanephosphonate, phosphotriester, phosphite triester, phosphite diester, phosphorothioate, phosphorodithioate, phosphoroamidate, phosphoroamidite, OH, OR1, SR1, OP(OCH2CH2CN)OCH2CH2ZCH2CH2OR1], were prepared Thus, HOCH2CH2SSCH2CH2OH was stirred with 4,4'-dimethoxytrityl chloride (DMTr-Cl), p-dimethylaminopyridine, and pyridine for 18 h at room temperature to give 57% monotritylated product. This was coupled to succinoylated controlled pore glass (CPG) by shaking with 2,4,6-triisopropylbenzenesulfonyl chloride and N-methylimidazole in pyridine for 18 h to give CPG-NHCOCH2CH2CO2CH2CH2SSCH2CH2ODMTr, a **support** which may be used to prepare oligonucleotides which are phosphorylated at both termini.

IT **124685-38-7DP**, controlled pore glass-bound
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of **solid** supports for oligonucleotide synthesis)

RN 124685-38-7 CAPLUS

CN Butanedioic acid, mono[2-[[2-[bis(4-methoxyphenyl)phenylmethoxy]ethyl]dithio]ethyl] ester (9CI) (CA INDEX NAME)



L8 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:145351 CAPLUS

DN 124:290233

TI Activation Method to Prepare a Highly Reactive Acylsulfonamide
 "Safety-Catch" **Linker** for **Solid-Phase Synthesis**

AU Backes, Bradley J.; Virgilio, Alex A.; Ellman, Jonathan A.

CS Department of Chemistry, University of California, Berkeley, CA, 94720, USA

SO Journal of the American Chemical Society (1996), 118(12), 3055-6
 CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

AB An activation method to prepare a highly reactive acylsulfonamide "safety-catch" **linker** for **solid**-phase peptide and nonpeptide synthesis is reported. Activation of the **support**-bound acylsulfonamide is accomplished by alkylation with bromoacetonitrile or iodoacetonitrile. Nucleophilic cleavage of the N-cyanomethylated acylsulfonamide proceeds in high yield for a variety of amines including nonbasic or sterically hindered amines. Due to the high reactivity of the N-cyanomethyl acylsulfonamide, limiting amts. of amines may be added to provide the amide products in pure form. Novel pooling strategies are demonstrated whereby equimolar mixts. of limiting amts. of five different amines are added to provide equimolar amts. of the corresponding five amide products. Finally, peptide bond formation is demonstrated employing this activation method.

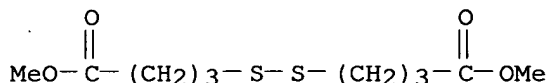
IT **60457-62-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(activation method to prepare a highly reactive acylsulfonamide (safety-catch) **linker** for **solid**-phase synthesis of carboamides and peptides)

RN 60457-62-7 CAPLUS

CN Butanoic acid, 4,4'-dithiobis-, dimethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1995:731259 CAPLUS

DN 124:8441

TI Bifunctional activity labels for selection of filamentous bacteriophages displaying enzymes

AU Vanwetswinkel, Sophie; Touillaux, Roland; Fastrez, Jacques; Marchand-Brynaert, Jacqueline

CS Laboratoire Biochimie Physique Biopolymères, Université Catholique Louvain, Louvain-la-Neuve, B-1348, Belg.

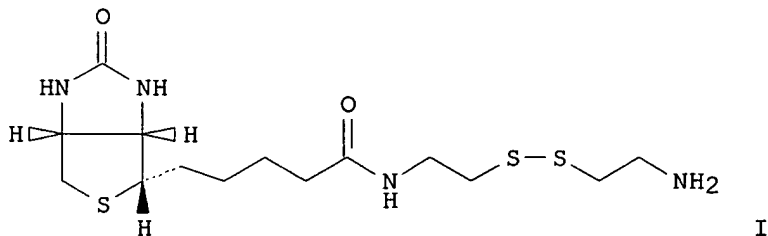
SO Bioorganic & Medicinal Chemistry (1995), 3(7), 907-15
CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier

DT Journal

LA English

GI



AB Two bifunctional activity labels of β -lactamases or

penicillin-binding proteins were prepared. They feature a penicillin sulfone derivative, i.e. a suicide **substrate** of serine β -lactamases, or a penicillin derivative connected to a biotin moiety through a **spacer** containing a disulfide bridge. The biotinyl **spacer** I was prepared by coupling biotin to ϵ -aminocaproic acid, then to cystamine, and purified by transient protection with Boc. An acid derivative was prepared from

biotinyl **spacer** I with glutaric anhydride and converted to and activated as pentafluorophenyl ester. Reaction of said activated ester with 6-aminopenicillanic acid gave a penicillin binding protein label. Selection of the most active β -lactamase displayed on phage from a mixture containing less active enzymes could be accomplished in three rounds of labeling and affinity chromatog. using a suicide inhibitor.

IT 171029-57-5

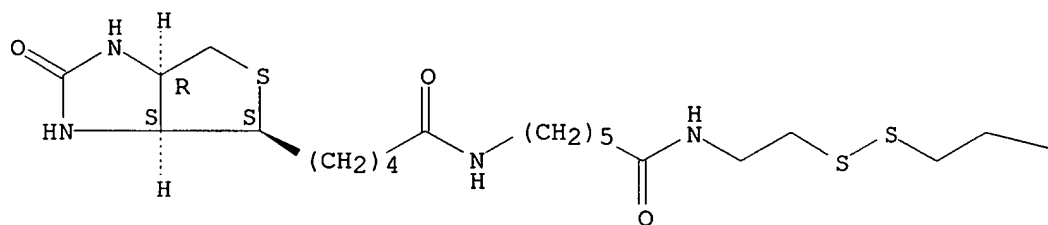
RL: RCT (Reactant); RACT (Reactant or reagent)
(bifunctional affinity labels for β -lactamases on filamentous bacteriophages and penicillin-binding protein)

RN 171029-57-5 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[22-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-2,11,18-trioxo-6,7-dithia-3,10,17-triazadocos-1-yl]sulfonyl]amino]-3,3-dimethyl-7-oxo-, 4,4-dioxide, monosodium salt, [3 α S-[3 α ,4 β (2R*,5S*,6S*),6 α]]- (9CI)
(CA INDEX NAME)

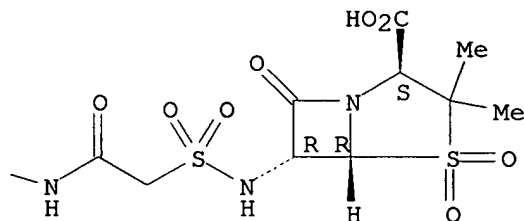
Absolute stereochemistry.

PAGE 1-A



● Na

PAGE 1-B



IT 170797-69-0P 170797-70-3P 170797-72-5P
170797-75-8P

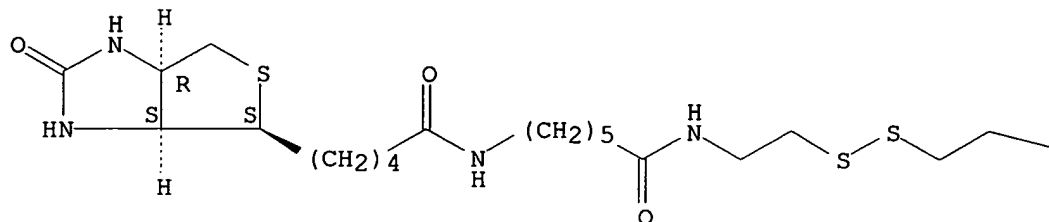
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(bifunctional affinity labels for β -lactamases on filamentous bacteriophages and penicillin-binding protein)

RN 170797-69-0 CAPLUS

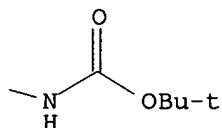
CN 5,6-Dithia-2,9,16-triazaheneicosanoic acid, 21-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-10,17-dioxo-, 1,1-dimethylethyl ester, [3aS-(3a α ,4 β ,6a α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

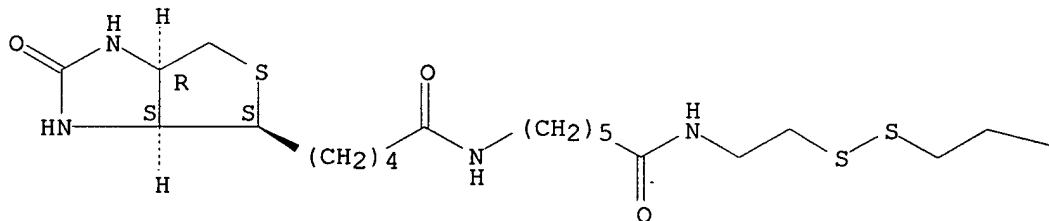


RN 170797-70-3 CAPLUS

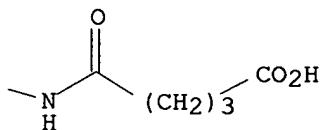
CN 9,10-Dithia-6,13,20-triazapentacosanoic acid, 25-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-5,14,21-trioxo-, [3aS-(3a α ,4 β ,6a α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



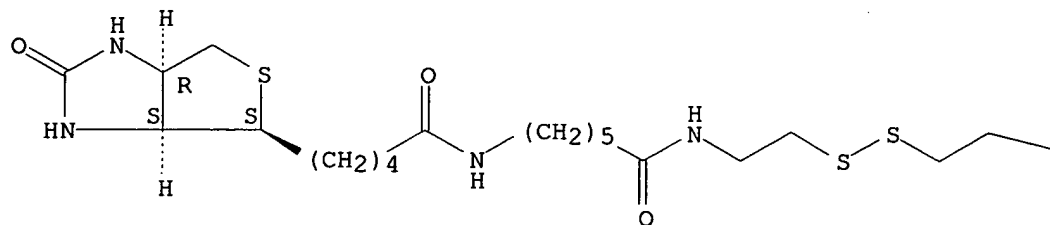
PAGE 1-B



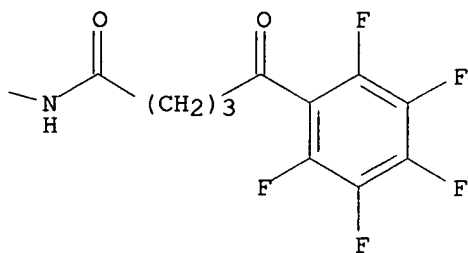
CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, N-[6-[[2-[[2-[[1,5-dioxo-5-(pentafluorophenyl)pentyl]amino]ethyl]dithio]ethyl]amino]-6-oxohexyl]hexahydro-2-oxo-, [3aS-(3a α ,4 β ,6a α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



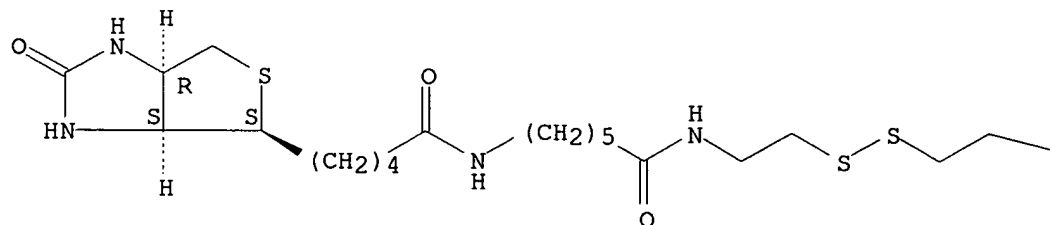
PAGE 1-B

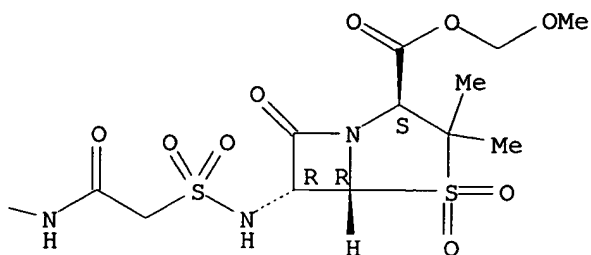


CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[22-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-2,11,18-trioxo-6,7-dithia-3,10,17-triazadocose-1-yl]sulfonyl]amino]-3,3-dimethyl-7-oxo-, methoxymethyl ester, 4,4-dioxide, [2S-[2 α ,5 α ,6 β (3aR*,4R*,6aS*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





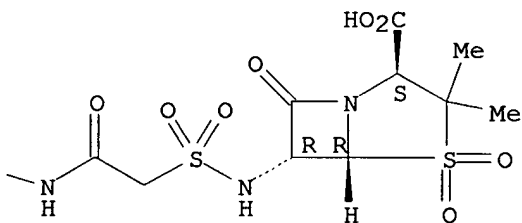
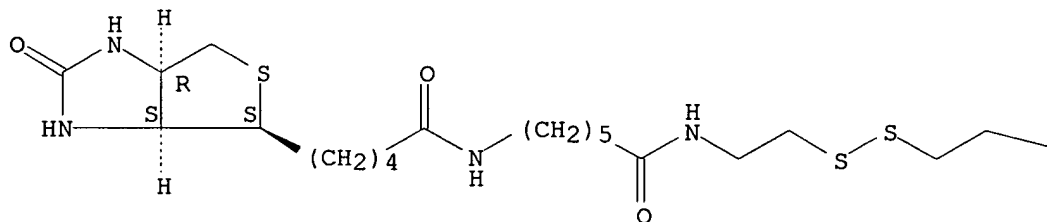
IT 170797-76-9P 170797-77-0P 170797-79-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(bifunctional affinity labels for β -lactamases on filamentous
bacteriophages and penicillin-binding protein)

RN 170797-76-9 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[22-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-2,11,18-trioxo-6,7-dithia-3,10,17-triazadocos-1-yl]sulfonyl]amino]-3,3-dimethyl-7-oxo-, 4,4-dioxide, [2S-[2 α ,5 α ,6 β (3aR*,4R*,6aS*)]]- (9CI) (CA INDEX NAME)

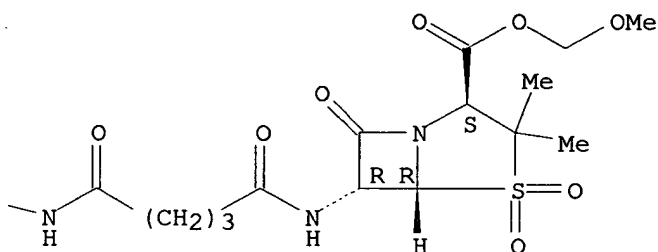
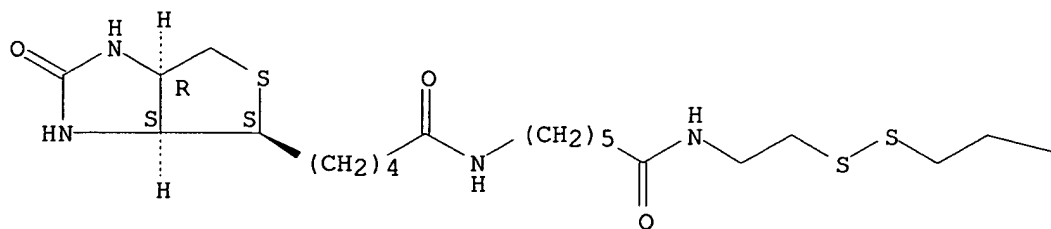
Absolute stereochemistry.



RN 170797-77-0 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[25-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1,5,14,21-tetraoxo-9,10-dithia-6,13,20-triazapentacos-1-yl]amino]-3,3-dimethyl-7-oxo-, methoxymethyl ester, 4,4-dioxide, [2S-[2 α ,5 α ,6 β (3aR*,4R*,6aS*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 170797-79-2 CAPLUS

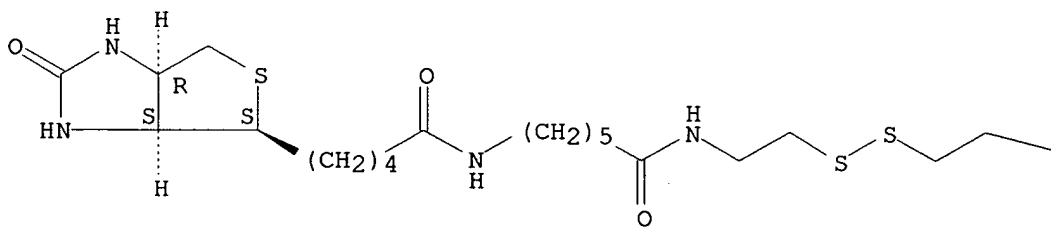
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[25-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1,5,14,21-tetraoxo-9,10-dithia-6,13,20-triazapentacos-1-yl]amino]-3,3-dimethyl-7-oxo-, 4,4-dioxide, [2S-[2 α ,5 α ,6 β (3aR*,4R*,6aS*)]]-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

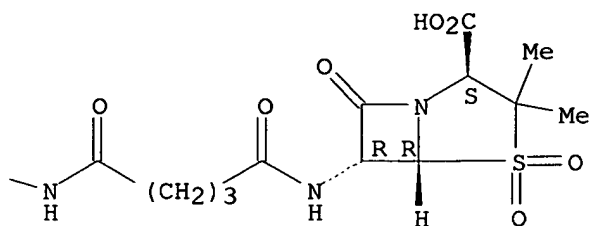
CM 1

CRN 170797-78-1

CMF C33 H53 N7 O10 S4

Absolute stereochemistry.

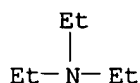




CM 2

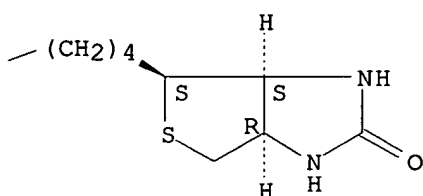
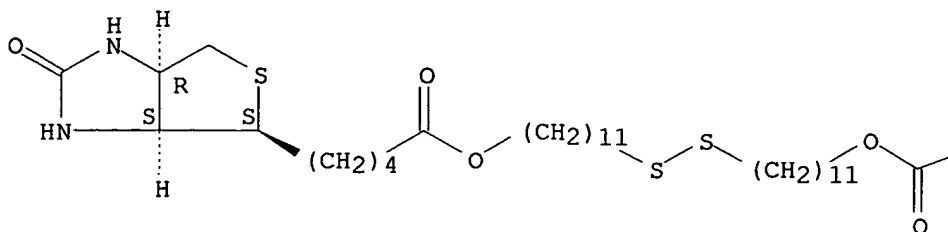
CRN 121-44-8

CMF C6 H15 N



L8 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1994:117698 CAPLUS
 DN 120:117698
 TI Molecular recognition at a self-assembled monolayers: optimization of surface functionalization
 AU Spinke, J.; Liley, M.; Schmitt, F. J.; Guder, H. J.; Angermaier, L.; Knoll, W.
 CS Max Planck Inst. Polymerforsch., Mainz, 6500, Germany
 SO Journal of Chemical Physics (1993), 99(9), 7012-19
 CODEN: JCPSA6; ISSN: 0021-9606
 DT Journal
 LA English
 AB Some S-based mols. containing biotin and hydroxyl groups were used to create a wide variety of self-assembled monolayers on Au surfaces. Surface plasmon resonance was used to study in situ the binding of streptavidin to these monolayers from solution. The self-assembled monolayers allow a high degree of control over the surface properties. The choice of an appropriate biotin-containing mol., with a **spacer** segment, and the dilution of this mol. within the monolayer by hydroxythiols, allows optimization of the binding properties of the monolayer-nonspecific interactions between streptavidin and the surface are below detection limits, and specific binding between the streptavidin and biotin groups can be maximized.
 IT **132722-88-4**, Biotin 11,11'-dithiobis(undecyl ester)
 RL: PRP (Properties)
 (self-assembled monolayer formation by, on gold **substrate**, protein interaction with)
 RN 132722-88-4 CAPLUS
 CN 1H-Thieno[3,4-d]imidazole-4-pentanoic acid, hexahydro-2-oxo-, dithiodi-11,1'-undecanedyl ester, (3aS,3'aS,4S,4'S,6aR,6'aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1994:63303 CAPLUS

DN 120:63303

TI A new class of thiolipids for the attachment of lipid bilayers on gold surfaces

AU Lang, Holger; Duschl, Claus; Vogel, Horst

CS Inst. Phys. Chem., Swiss Fed. Inst. Technol., Lausanne, CH-1015, Switz.

SO Langmuir (1994), 10(1), 197-210

CODEN: LANGD5; ISSN: 0743-7463

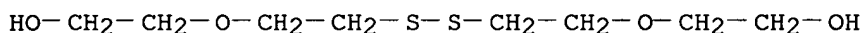
DT Journal

LA English

AB A new class of lipid mols. is synthesized, based on two dipalmitoylphosphatidic mols., each extended at the lipid phosphate by a hydrophilic **spacer** chain of ethoxy groups of variable length, which are then coupled as a bilipid via a terminal disulfide group at the hydrophilic **spacer**. These anchor-bearing "thiolipids" can attach to gold substrates by forming stable gold-sulfur bonds. In this way, the authors can couple lipid bilayers to gold surfaces, with the possibility of preserving a water layer between the **support** and the first monolayer. The thiolipid mols. are characterized on a Langmuir film balance using fluorescence microscopy. The mol. areas of the thiolipids on the water surface are 80-90 Å² at a fully compressed state. The thiolipid monolayers show a typical first-order phase transition on the water surface with regular, starlike domains. The formation of thiolipid-attached mono- and bilayers on gold surfaces was studied by surface plasmon resonance (SPR), impedance measurements, and cyclic voltammetry. Four different supported membrane systems are studied in detail: (1) pure thiolipid layers; (2) mixed lipid bilayers containing a first pure thiolipid monolayer and a second one of conventional phospholipids; (3) bilayers, where the first gold-attached monolayer is composed of a mixture of thio- and conventional phospholipids with another second phospholipid layer on top; (4) monolayers of pure 1-hexadecanethiol

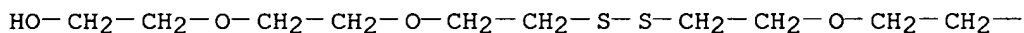
and layers with a second phospholipid film on top of the 1-hexadecanethiol. The electrochem. expts. reveal elec. blocking layers for all lipid systems investigated with specific resistances of 104-105 Ω cm². The capacitance values for pure thiolipid bilayers are in the range of 0.5-0.7 μ F/cm² for the pure thiolipid bilayers and 0.7-0.8 μ F/cm² for the mixed thiolipid/phospholipid bilayers, which is comparable to the values found for unsupported, so-called black lipid membranes. SPR measurements confirm qual. the results of the electrochem. expts.

IT **5980-54-1P**, Bis(5-hydroxy-3-oxapentyl) disulfide
97463-42-8P, Bis(8-hydroxy-3,6-dioxaoctyl) disulfide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of)
 RN 5980-54-1 CAPLUS
 CN Ethanol, 2,2'-[dithiobis(2,1-ethanedioxy)]bis- (9CI) (CA INDEX NAME)

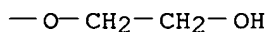


RN 97463-42-8 CAPLUS
 CN 3,6,13,16-Tetraoxa-9,10-dithiaoctadecane-1,18-diol (9CI) (CA INDEX NAME)

PAGE 1-A



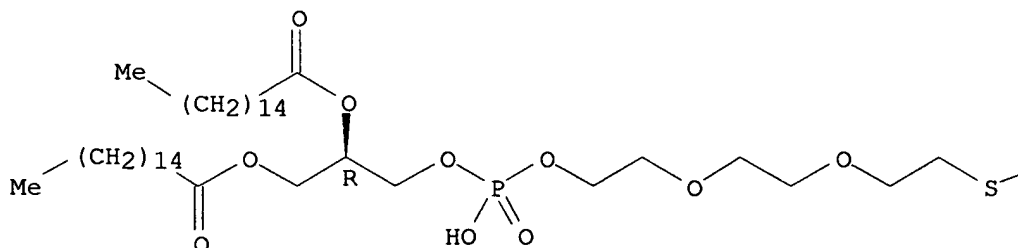
PAGE 1-B

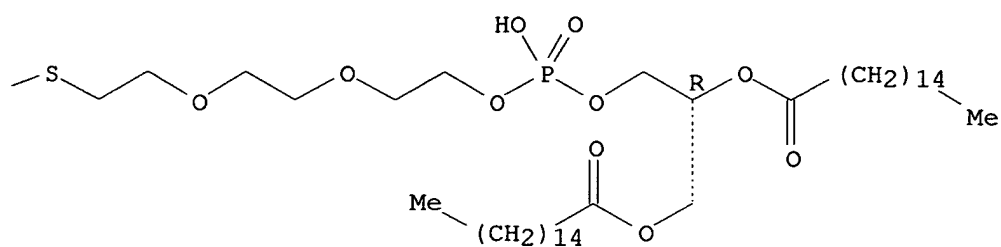


IT **151863-20-6P**, Bis[2-(1,2-dipalmitoyl-sn-glycero-3-phosphoryl)-3,6-dioxaoctyl] disulfide monohydrate **151863-22-8P**, Bis[2-(1,2-dipalmitoyl-sn-glycero-3-phosphoryl)-3-oxapentyl] disulfide monohydrate
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, mixed bilayer formation on gold electrodes in relation to)
 RN 151863-20-6 CAPLUS
 CN Hexadecanoic acid, (2R,29R)-5,26-dihydroxy-5,26-dioxido-4,6,9,12,19,22,25,27-octaoxa-15,16-dithia-5,26-diphosphatriciacontane-1,2,29,30-tetrayl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

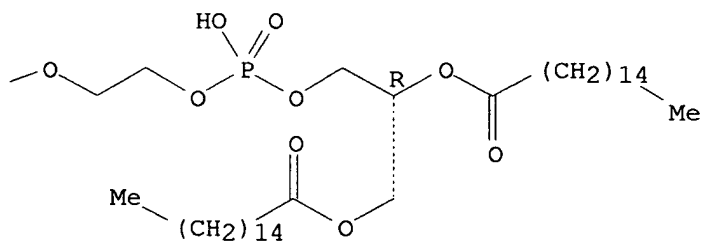
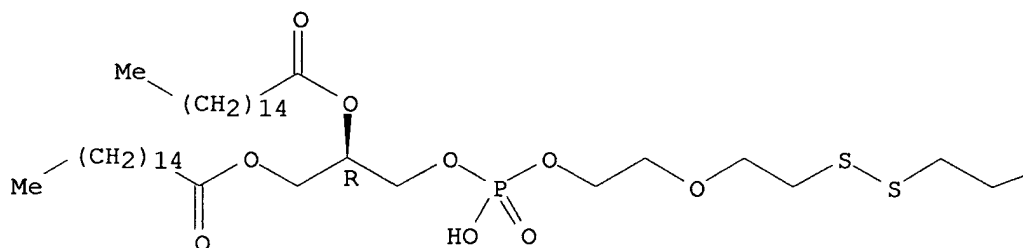




RN 151863-22-8 CAPLUS

CN Hexadecanoic acid, 5,20-dihydroxy-5,20-dioxido-4,6,9,16,19,21-hexaoxa-12,13-dithia-5,20-diphosphatetracosane-1,2,23,24-tetrayl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1992:605314 CAPLUS

DN 117:205314

TI Isolation and partial purification of a melanocyte-stimulating hormone receptor from B16 murine melanoma cells. A novel approach using a cleavable biotinylated photoactivated ligand and streptavidin-coated

magnetic beads

AU Ahmed, Abdel R. H.; Olivier, George W. J.; Adams, Gail; Erskine, Mary E.; Kinsman, Richard G.; Branch, Sarah K.; Moss, Stephen H.; Notarianni, Lidia J.; Pouton, Colin W.

CS Sch. Pharm. Pharmacol., Univ. Bath, Bath, BA2 7AY, UK

SO Biochemical Journal (1992), 286(2), 377-82
CODEN: BIJOAK; ISSN: 0306-3275

DT Journal

LA English

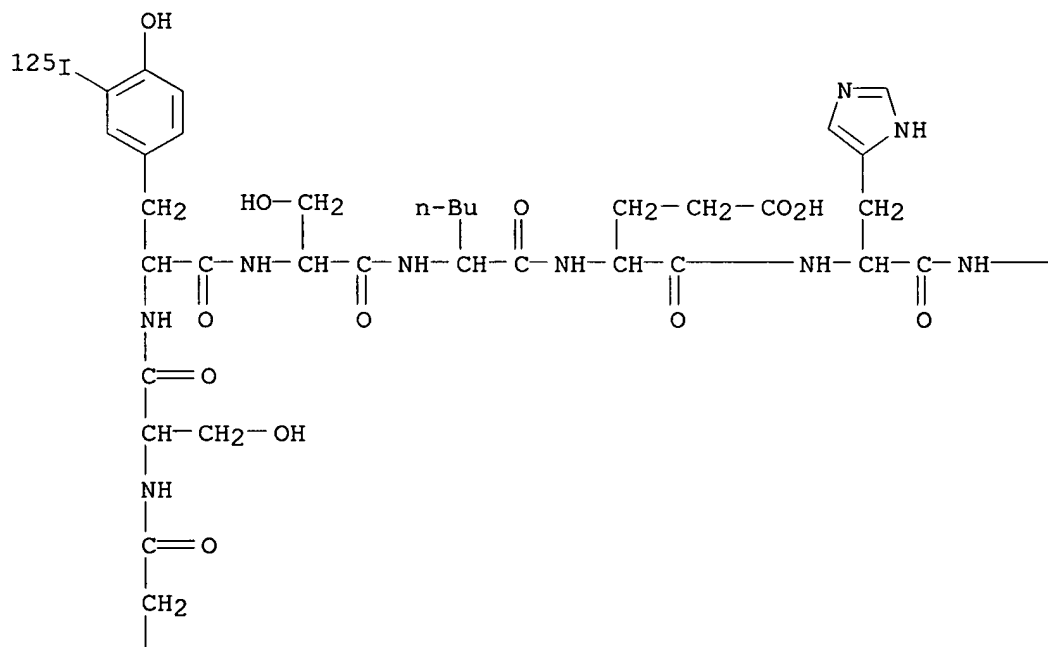
AB The α -MSH receptor of B16 mouse melanoma cells was characterized by photoaffinity labeling using radiolabeled photoactive derivs. of α -MSH. A doublet band of 43-46 kDa representing a ligand-receptor complex was identified. A novel adaptation of the streptavidin/biotin-based affinity system was used to isolate the α -MSH receptor. A probe was synthesized which contained biotin connected to a photolabeled α -MSH analog via a cleavable disulfide **linker** and which displayed high affinity for the α -MSH receptor. Streptavidin-coated magnetic beads were used as a **solid support** instead of an affinity column. Covalently linked probe-receptor complexes solubilized in Triton X-100 were equilibrated with the beads, and after magnetic separation and washing, specifically bound complexes were treated with dithiothreitol to cleave the disulfide bridge in the biotin-peptide **spacer** arm and so release the receptor-ligand complex. The identity of the isolated protein was established by SDS/PAGE anal. Methods to achieve purification to homogeneity and to allow quant. isolation of the receptor are discussed.

IT **144120-01-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and α -MSH receptors photoaffinity labeling by)

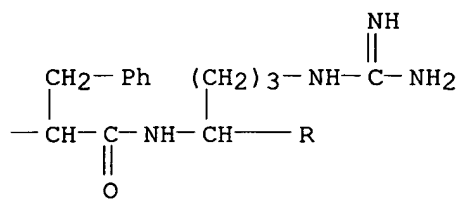
RN 144120-01-4 CAPLUS

CN α 1-13-Corticotropin, 1-[N-[3-[[2-[[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1-oxopentyl]amino]ethyl]dithio]-1-oxopropyl]-L-serine]-2-[3-(iodo-125I)-L-tyrosine]-4-L-norleucine-7-D-phenylalanine-11-[N6-[4-[3-(trifluoromethyl)-3H-diazirin-3-yl]benzoyl]-L-lysine]-13-L-valinamide-, [3aS-(3a α ,4 β ,6a α)]- (9CI) (CA INDEX NAME)

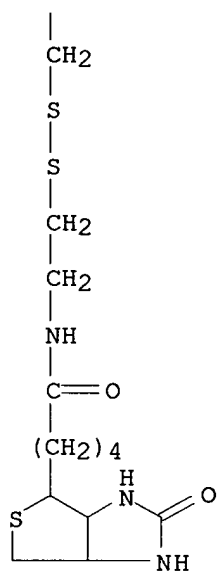
PAGE 1-A

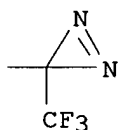
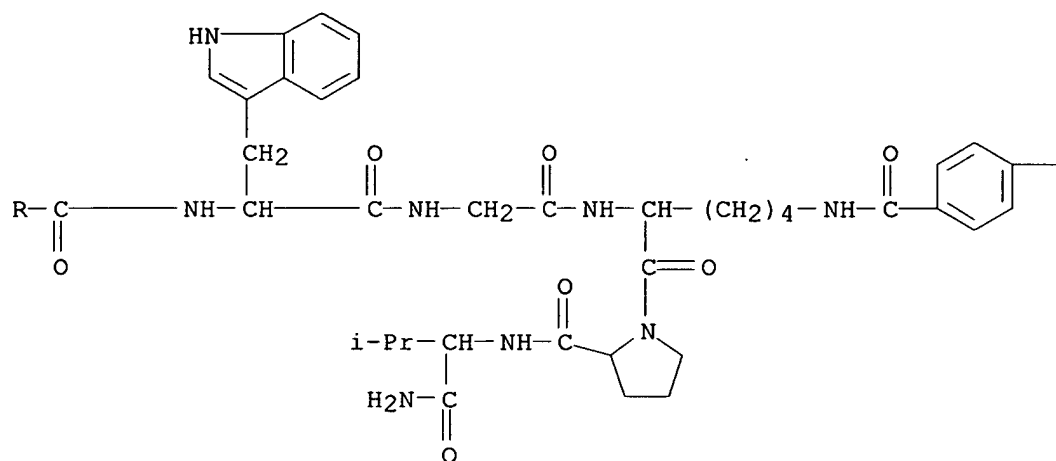


PAGE 1-B



PAGE 2-A



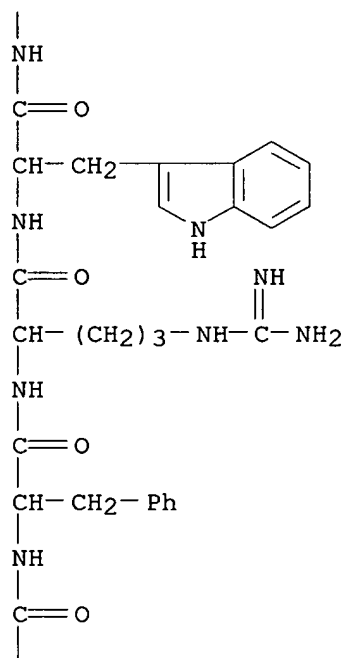
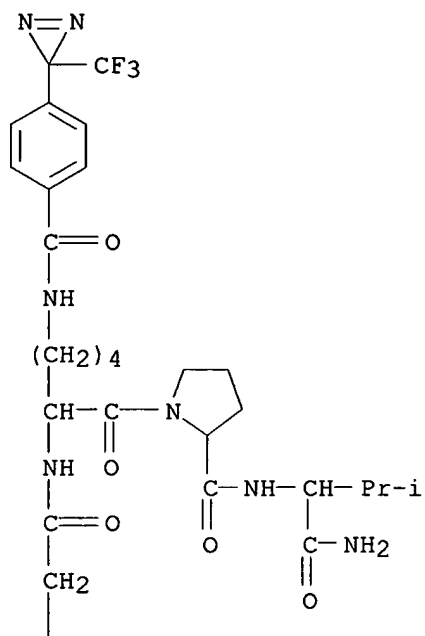


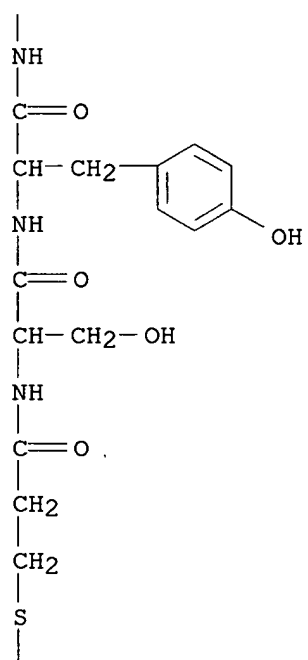
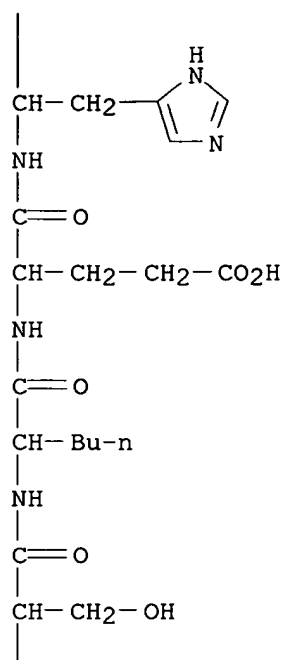
IT 144119-99-3P

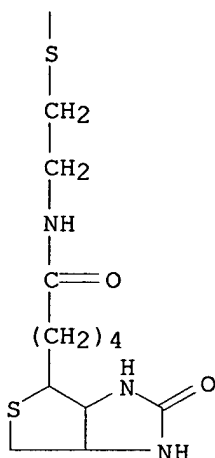
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 144119-99-3 CAPLUS

CN	<p> α1-13-Corticotropin, 1-[N-[3-[2-[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1-oxopentyl]amino]ethyl]dithio]-1-oxopropyl]-L-serine]-4-L-norleucine-7-D-phenylalanine-11-[N6-[4-[3-(trifluoromethyl)-3H-diazirin-3-yl]benzoyl]-L-lysine]-13-L-valinamide-, [3aS-(3aα,4b,6aα)]- (9CI) (CA INDEX NAME) </p>
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L8 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1992:455949 CAPLUS
 DN 117:55949
 TI Oligonucleotide-transport agent disulfide conjugates
 IN Latham, John A.; Lin, Kuei Ying; Matteucci, Mark
 PA Gilead Sciences, Inc., USA
 SO PCT Int. Appl., 67 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9114696	A1	19911003	WO 1991-US2224	19910329
	W: AU, CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	CA 2079109	AA	19910930	US 1990-502361	A2 19900329
				CA 1991-2079109	19910329
				US 1990-502361	A 19900329
	AU 9177592	A1	19911021	AU 1991-77592	19910329
				US 1990-502361	A 19900329
				WO 1991-US2224	A 19910329
	EP 537299	A1	19930421	EP 1991-918074	19910329
	R: DE, FR, GB				
				US 1990-502361	A 19900329
				WO 1991-US2224	W 19910329
	JP 05505941	T2	19930902	JP 1991-508586	19910329
				US 1990-502361	A 19900329
				WO 1991-US2224	W 19910329

OS MARPAT 117:55949

AB Compns. and methods for enhancing the delivery of an oligonucleotide into a cell are described. The compns. of the invention comprise oligonucleotide conjugates which consist of an oligonucleotide, conjugated via a mol. **linker** containing ≥ 1 disulfide bond, to an agent which facilitates transport across an outer cell membrane, or across the blood-brain barrier. In a preferred aspect, the disulfide linkage is cleaved upon uptake of the composition by the cell. Pharmaceutical compns. comprising an oligonucleotide conjugate of the invention may be used to treat a wide variety of diseases and disorders. Methods for inhibiting the expression of a nucleic acid sequence within a cell, and methods for detecting a nucleic acid sequence within a cell are also provided. In a

specific embodiment, an oligonucleotide conjugated to cholesterol via a **linker** containing a disulfide linkage can be used for therapeutic or diagnostic purposes, by hybridization of the oligonucleotide to a complementary nucleic acid sequence in a procaryotic or eucaryotic cell. Cholesterol-TC-R-SS-R-CAGTGA(T)9CTCCAT (I; R = O3PCH2CH2) was prepared. I could be cleaved with a reducing agent. I was stable in blood serum and was taken up by cells. The disulfide linkage was cleaved once I was taken up by the cell.

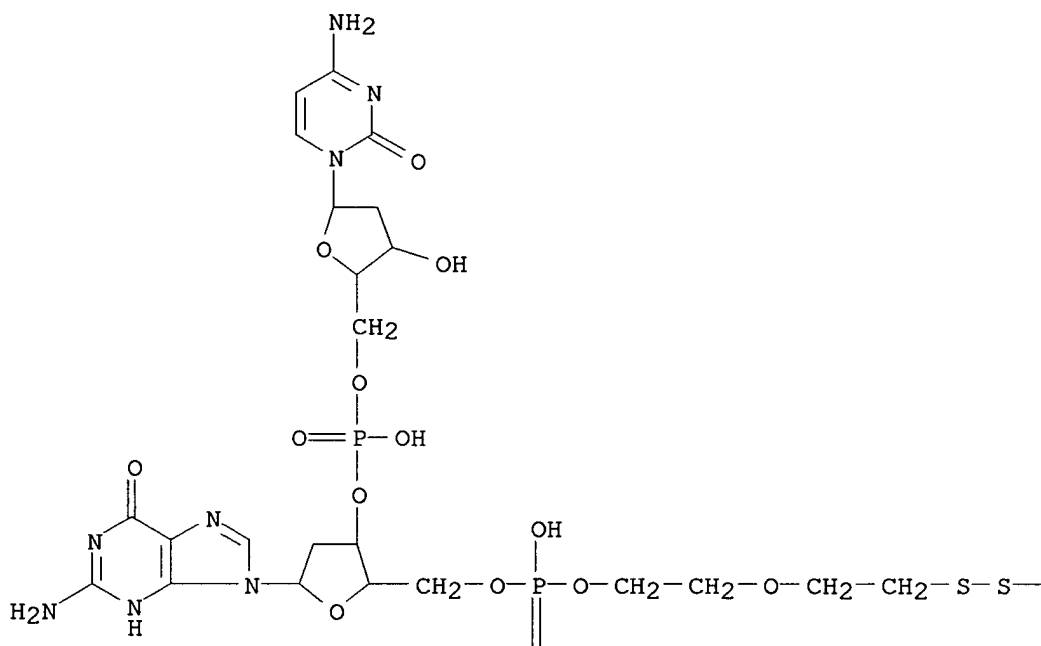
IT **139398-38-2P**

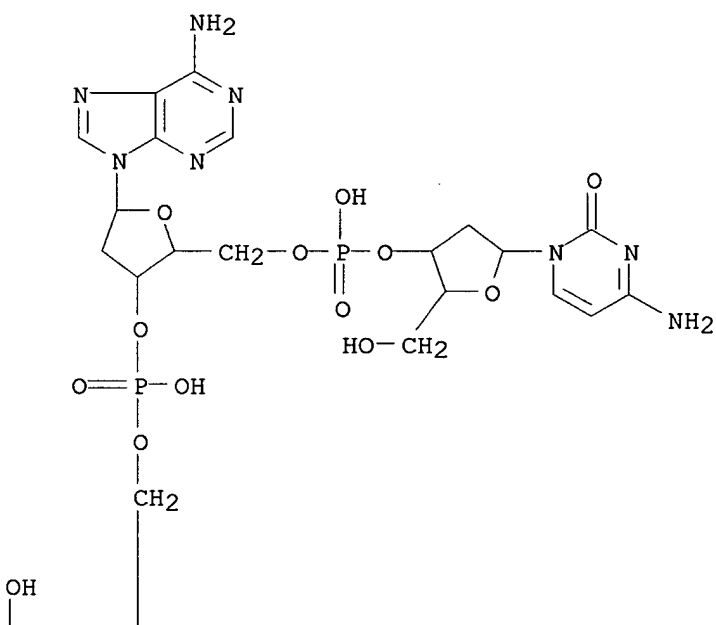
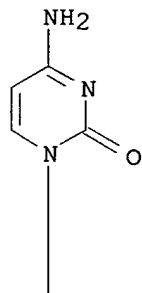
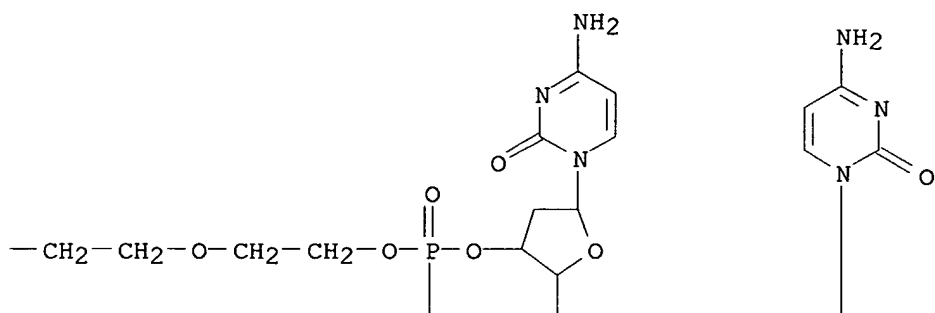
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and anal. of)

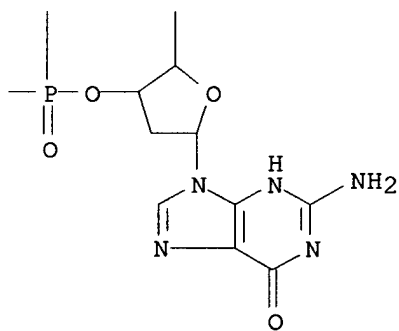
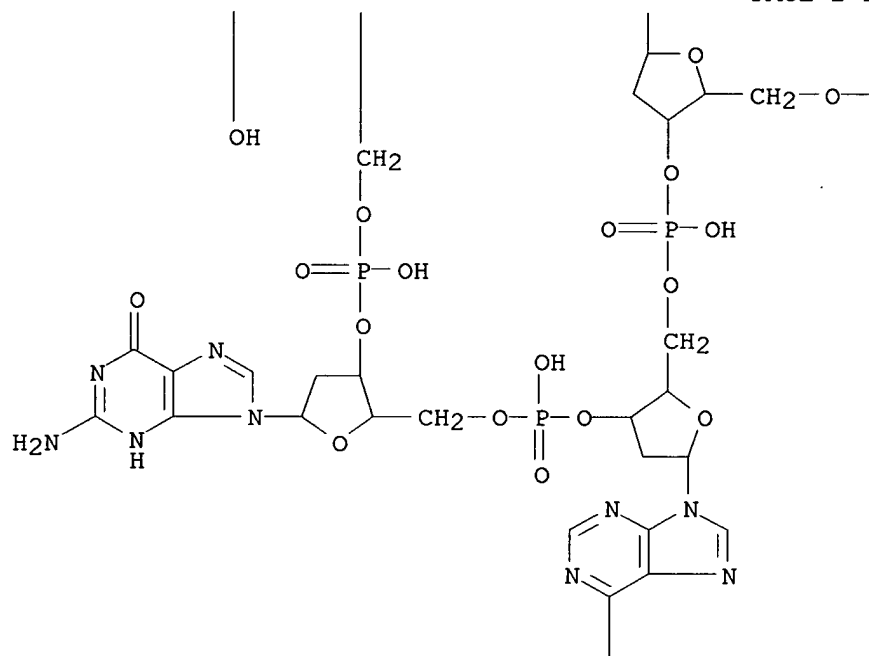
RN 139398-38-2 CAPLUS

CN Cytidine, 2'-deoxycytidylyl-(3'→5')-2'-deoxyadenylyl-(3'→5')-2'-deoxyguanylyl-(3'→5')-2'-deoxycytidylyl-(3'→5')-2'-deoxyadenylyl-(3'→5')-2'-deoxyguanylyl-(3'→5')-2'-deoxycytidylyloxy-1,2-ethanediylloxy-1,2-ethanediylldithio-1,2-ethanediylloxy-1,2-ethanediylloxyphosphinico-(3'→5')-2'-deoxyguanylyl-(3'→5')-2'-deoxy- (9CI) (CA INDEX NAME)

PAGE 1-A







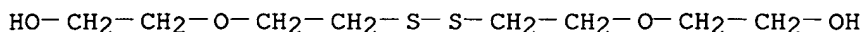
IT 5980-54-1P 139398-39-3P 139398-45-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of oligonucleotides having internal disulfide bond linkage)

RN 5980-54-1 CAPLUS

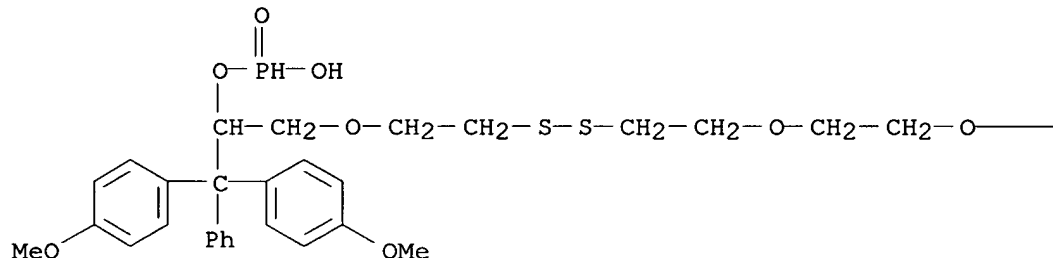
CN Ethanol, 2,2'-[dithiobis(2,1-ethanedioxy)]bis- (9CI) (CA INDEX NAME)



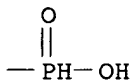
RN 139398-39-3 CAPLUS

CN Phosphonic acid, mono[1-[bis(4-methoxyphenyl)phenylmethyl]-14-hydroxy-14-oxido-3,10,13-trioxa-6,7-dithia-14-phosphatetradec-1-yl] ester (9CI) (CA INDEX NAME)

PAGE 1-A

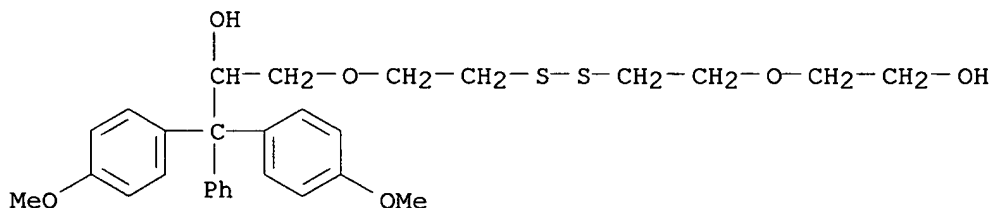


PAGE 1-B



RN 139398-45-1 CAPLUS

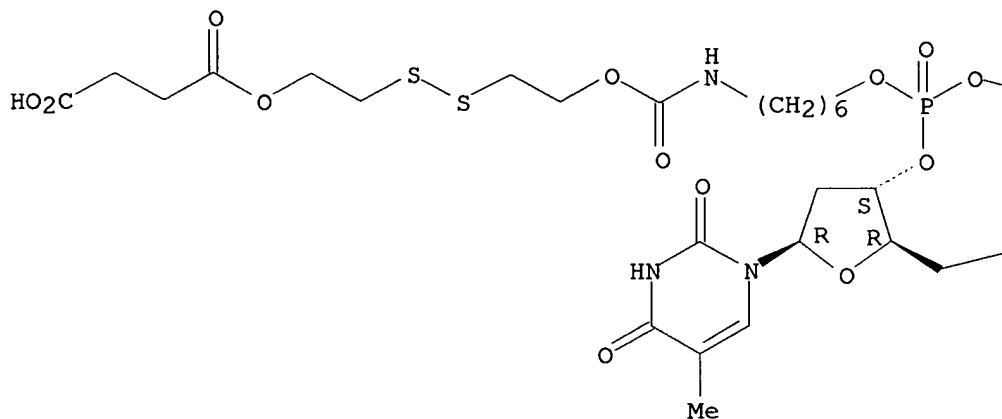
CN Benzeneethanol, α-[[2-[[2-(2-hydroxyethoxy)ethyl]dithio]ethoxy]methyl]-4-methoxy-β-(4-methoxyphenyl)-β-phenyl- (9CI) (CA INDEX NAME)

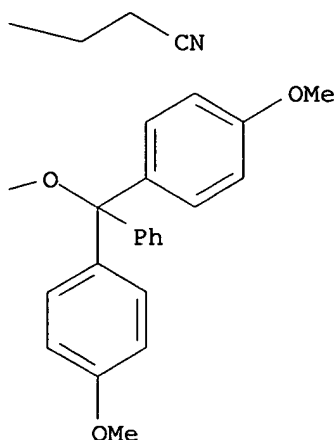


L8 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1990:441209 CAPLUS
 DN 113:41209
 TI New **solid**-phase for automated synthesis of oligonucleotides
 containing an amino-alkyl **linker** at their 3'-end
 AU Asseline, Ulysse; Nguyen Thanh Thuong
 CS Cent. Biophys. Mol., CNRS, Orleans, 45071, Fr.
 SO Tetrahedron Letters (1990), 31(1), 81-4
 CODEN: TELEAY; ISSN: 0040-4039
 DT Journal
 LA English
 OS CASREACT 113:41209
 AB Immobilization of an aliphatic amino alc. on a 2,2'-dithioethanol-derivatized
support via a carbamate linkage formation allows automated
 synthesis of oligonucleotides involving aminoalkyl derivatizations at
 their 3'-end.
 IT **128072-18-4DP, solid-supported**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and use of, in **solid**-phase automated synthesis of
 oligonucleotides)
 RN 128072-18-4 CAPLUS
 CN 3'-Thymidylic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-,
 19-carboxy-8,17-dioxo-9,16-dioxo-12,13-dithia-7-azanonadec-1-yl
 2-cyanoethyl ester (9CI) (CA INDEX NAME)

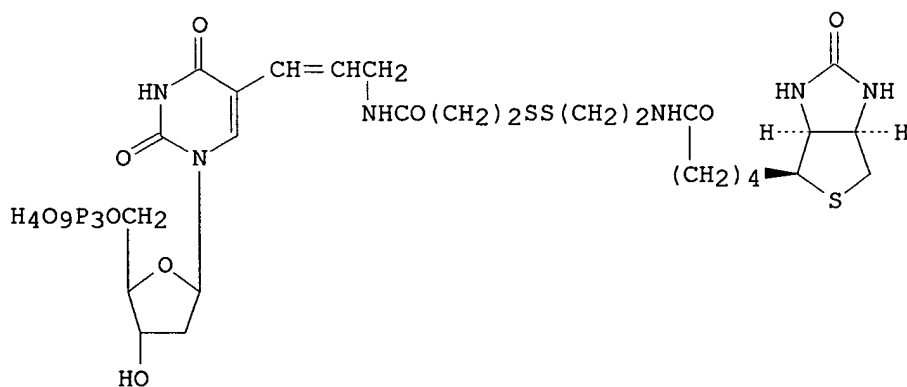
Absolute stereochemistry.

PAGE 1-A





L8 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1985:419262 CAPLUS
 DN 103:19262
 TI A chemically cleavable biotinylated nucleotide: usefulness in the
 recovery of protein-DNA complexes from avidin affinity columns
 AU Shimkus, Mary; Levy, Janina; Herman, Timothy
 CS Dep. Biochem., Med. Coll. Wisconsin, Milwaukee, WI, 53226, USA
 SO Proceedings of the National Academy of Sciences of the United States of
 America (1985), 82(9), 2593-7
 CODEN: PNASA6; ISSN: 0027-8424
 DT Journal
 LA English
 GI



I

AB A biotinylated nucleotide analog containing a disulfide bond in the 12-atom
linker joining biotin to the C-5 of the pyrimidine ring was
 synthesized. This analog, Bio-SS-dUTP (I), is an efficient
substrate for Escherichia coli DNA polymerase I. Bio-SS-dUTP
 supported DNA synthesis in a standard nick-translation reaction at 35%-40% the
 rate of an equal concentration of the normal nucleotide, TTP. DNA containing
 this

analog was bound to an avidin-agarose affinity column and subsequently eluted after reduction of the disulfide bond by dithiothreitol. The ability to recover biotinylated DNA from an avidin affinity column under nondenaturing conditions should prove useful in the isolation of specific protein-DNA complexes. As a demonstration of this approach, Bio-SS-DNA was reconstituted with histones to form 11S monomer nucleosomes. Bio-SS-nucleosomes were selectively bound to avidin-agarose. Ninety percent of the bound Bio-SS-nucleosomes were recovered from the affinity column by elution with buffer containing 50-500 mM dithiothreitol. The recovered nucleosomes were intact 11S particles as judged by velocity sedimentation in a sucrose gradient. This approach may prove to be generally useful in the isolation of protein-DNA complexes in a form suitable for further anal. of their native unperturbed structure.

IT **97068-12-7P**

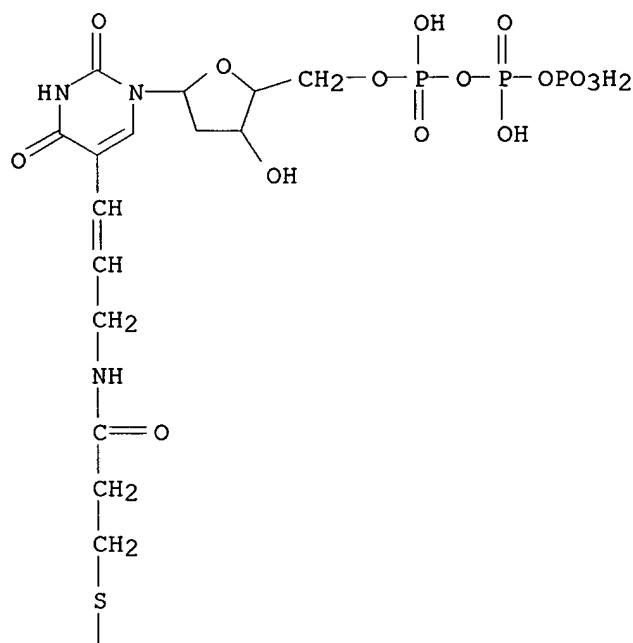
RL: PREP (Preparation)

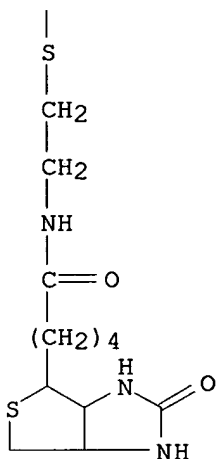
(preparation of, of chemical cleavable nucleotide analogs for recovery of protein-DNA complexes from avidin affinity columns)

RN 97068-12-7 CAPLUS

CN Uridine 5'-(tetrahydrogen triphosphate), 2'-deoxy-5-[3-[[[3-[[[2-[[[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1-oxopentyl]amino]ethyl]dithio]-1-oxopropyl]amino]-1-propenyl]-, [3aR-(3a α , 4 β , 6a α)]- (9CI) (CA INDEX NAME)

PAGE 1-A





=> d his

(FILE 'HOME' ENTERED AT 16:35:19 ON 18 NOV 2005)

FILE 'REGISTRY' ENTERED AT 16:36:22 ON 18 NOV 2005

L1 STRUCTURE UPLOADED

L2 50 L1 SAM

L3 8586 L1 FULL

FILE 'CAPLUS' ENTERED AT 16:37:32 ON 18 NOV 2005

L4 12040 L3

L5 157 L4 AND (LINKER OR SPACER)

L6 103 PY>1998 AND L5

L7 54 L5 NOT L6

L8 14 L7 AND (SOLID OR SUPPORT OR SUBSTRATE)

=> 17 and assymmetr?

5 ASSYMMETR?

L9 0 L7 AND ASSYMMETR?

=> 14 and assymmetr?

5 ASSYMMETR?

L10 0 L4 AND ASSYMMETR?

=> 17 and asymmetr?

108869 ASYMMETR?

L11 0 L7 AND ASYMMETR?

=> 14 and asymmetr?

108869 ASYMMETR?

L12 66 L4 AND ASYMMETR?

=> 15 and asymmetr?

108869 ASYMMETR?

L13 0 L5 AND ASYMMETR?

=> 112 and (solid or support or substrate)

988435 SOLID

430949 SUPPORT

833425 SUBSTRATE

L14 7 L12 AND (SOLID OR SUPPORT OR SUBSTRATE)

=> py>1998 and l14

6914537 PY>1998

L15 2 PY>1998 AND L14

=> l14 not l15

L16 5 L14 NOT L15

=> d fbib abs l16 1-5 hitstr

L16 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:113989 CAPLUS

DN 124:261707

TI Synthesis of **asymmetric** disulfides as potential alternative substrates for trypanothione reductase and glutathione reductase: Part 2

AU Jaouhari, R.; Besheya, T.; McKie, J. H.; Douglas, K. T.

CS Pharmacy Dep., Univ. Manchester, Manchester, UK

SO Amino Acids (1995), 9(4), 343-51

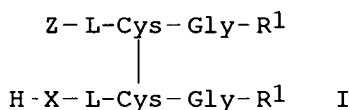
CODEN: AACIE6; ISSN: 0939-4451

PB Springer

DT Journal

LA English

GI



AB The synthesis of asym. disulfides, based on Zervas' intermediate, monocarbobenzoxyl-L-cystine, has been developed. A series of **substrate** analogs of trypanothione disulfide (TSST) and glutathione disulfide (GSSG) are described, e.g. I (Z = PhCH₂O₂C, X = L-Phe, L-Trp, L-Glu, R¹ = DMAPA, OH). The spermidine ring of (TSST) has been replaced by 3-dimethylaminopropylamine (DMAPA). The free amino group in Zervas' product was condensed with phenylalanyl, tryptophanyl or glutamyl residues, while the carbobenzoxyl group was unaffected under the reaction conditions employed. The same synthetic approach was applied in the design of analogs of glutathione disulfide (GSSG).

IT 175088-60-5P 175088-61-6P 175088-62-7P

175088-63-8P 175088-64-9P 175088-65-0P

175088-72-9P 175088-73-0P 175088-74-1P

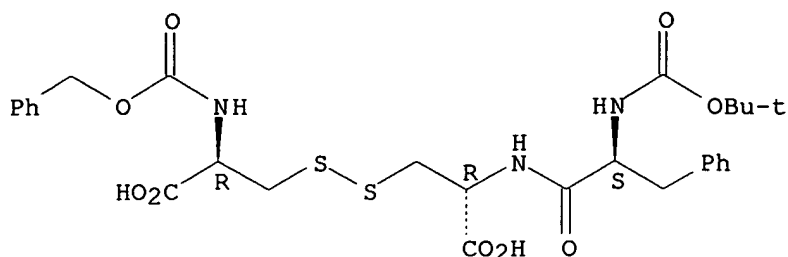
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of asym. disulfides as potential alternative substrates for trypanothione and glutathione reductase)

RN 175088-60-5 CAPLUS

CN L-Cysteine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-, (2->1')-disulfide with N-[(phenylmethoxy)carbonyl]-L-cysteine (9CI) (CA INDEX NAME)

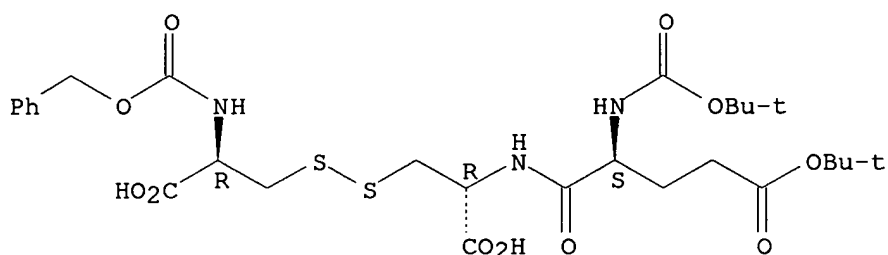
Absolute stereochemistry.



RN 175088-61-6 CAPLUS

CN L-Cysteine, N-[(1,1-dimethylethoxy)carbonyl]-L- α -glutamyl-,
1-(1,1-dimethylethyl) ester, (2->1')-disulfide with
N-[(phenylmethoxy)carbonyl]-L-cysteine (9CI) (CA INDEX NAME)

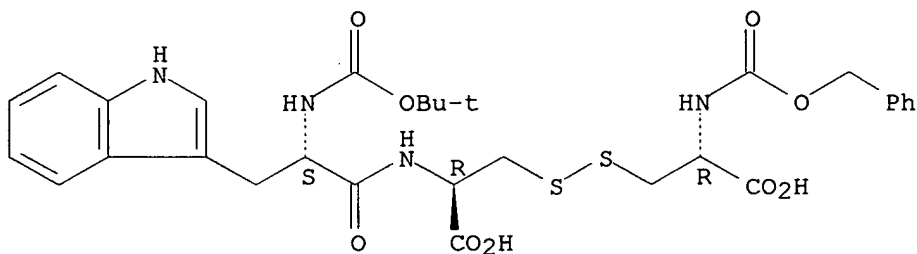
Absolute stereochemistry.



RN 175088-62-7 CAPLUS

CN L-Cysteine, N-[(1,1-dimethylethoxy)carbonyl]-L-tryptophyl-,
(2->1')-disulfide with N-[(phenylmethoxy)carbonyl]-L-cysteine (9CI)
(CA INDEX NAME)

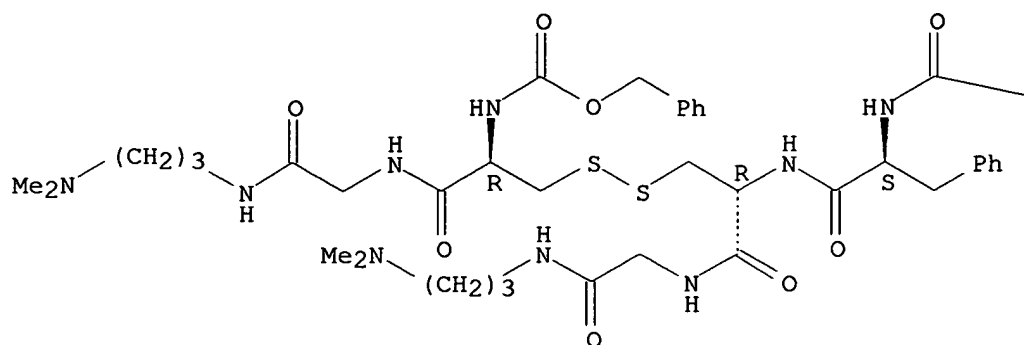
Absolute stereochemistry.



RN 175088-63-8 CAPLUS

CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-L-cysteinyl-N-
[3-(dimethylamino)propyl]-, (2->1')-disulfide with
N-[(phenylmethoxy)carbonyl]-L-cysteinyl-N-[3-(dimethylamino)propyl]glycina
mide (9CI) (CA INDEX NAME)

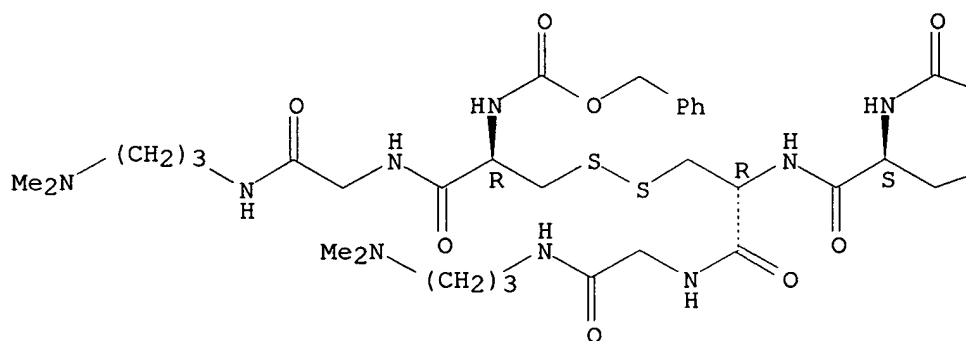
Absolute stereochemistry.



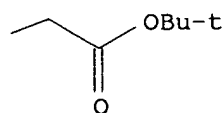
—OBu-t

RN 175088-64-9 CAPLUS
 CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]-L- α -glutamyl-L-cysteinyl-N-[3-(dimethylamino)propyl]-, 1,1-dimethylethyl ester, (2 \rightarrow 1')-disulfide with N-[(phenylmethoxy)carbonyl]-L-cysteinyl-N-[3-(dimethylamino)propyl]glycinamide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



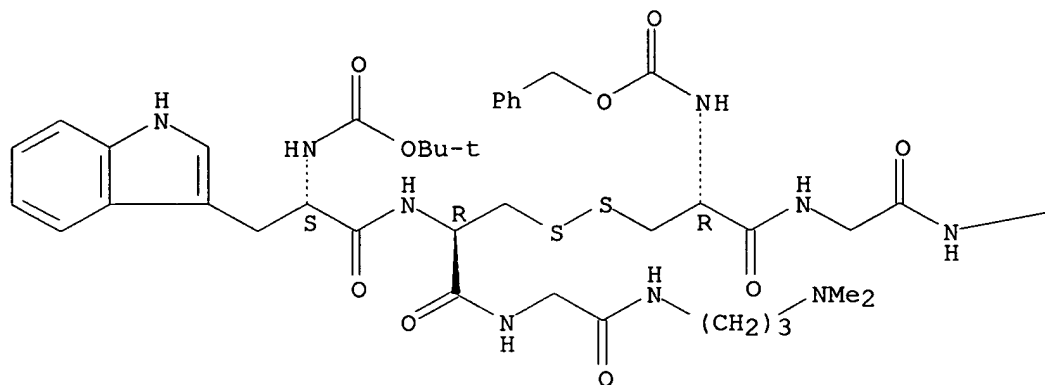
—OBu-t



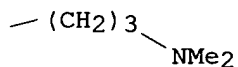
RN 175088-65-0 CAPLUS
 CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-tryptophyl-L-cysteinyl-N-[3-(dimethylamino)propyl]-, (2→1')-disulfide with N-[(phenylmethoxy)carbonyl]-L-cysteinyl-N-[3-(dimethylamino)propyl]glycinamide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

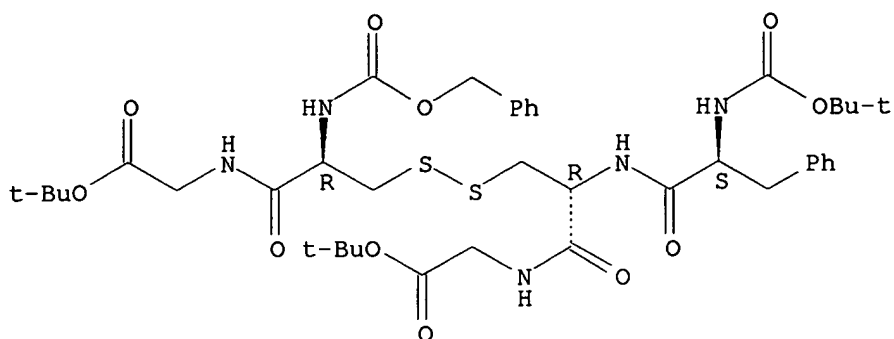


PAGE 1-B



RN 175088-72-9 CAPLUS
 CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-L-cysteinyl-, 1,1-dimethylethyl ester, (2→1')-disulfide with N-[(phenylmethoxy)carbonyl]-L-cysteinylglycine 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

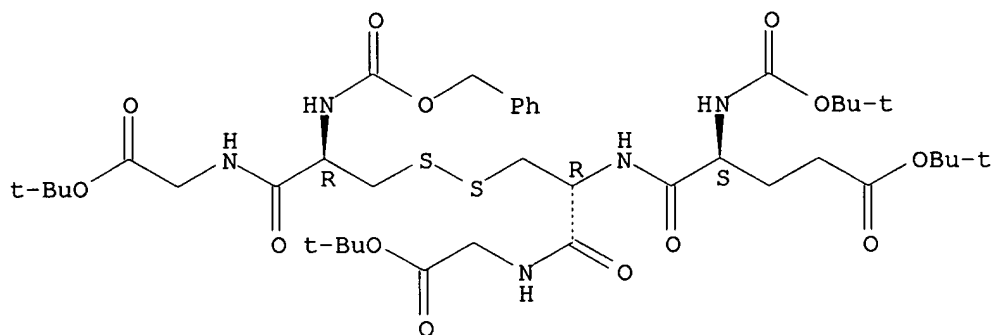
Absolute stereochemistry.



RN 175088-73-0 CAPLUS

CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-L- α -glutamyl-L-cysteinyl-, bis(1,1-dimethylethyl) ester, (2->1')-disulfide with N-[(phenylmethoxy)carbonyl]-L-cysteinylglycine 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

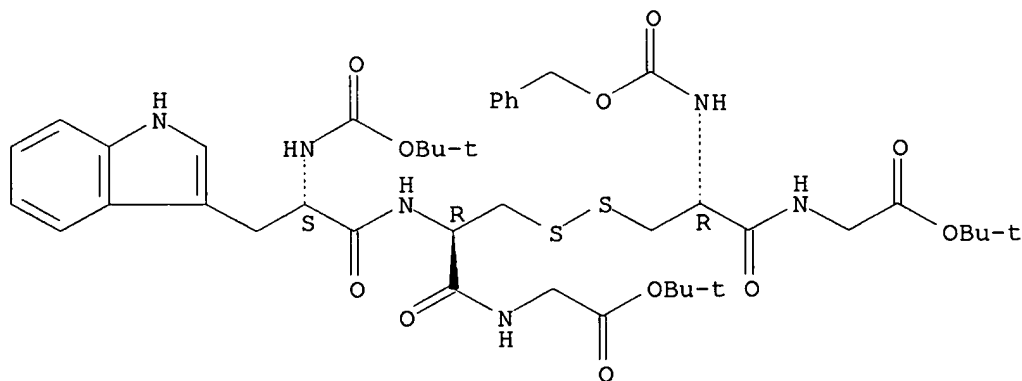
Absolute stereochemistry.



RN 175088-74-1 CAPLUS

CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-L-tryptophyl-L-cysteinyl-, 1,1-dimethylethyl ester, (2->1')-disulfide with N-[(phenylmethoxy)carbonyl]-L-cysteinylglycine 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 27025-41-8DP, Glutathione disulfide, analogs 175088-67-2P

175088-69-4P 175088-71-8P 175088-76-3P

175088-78-5P 175088-80-9P

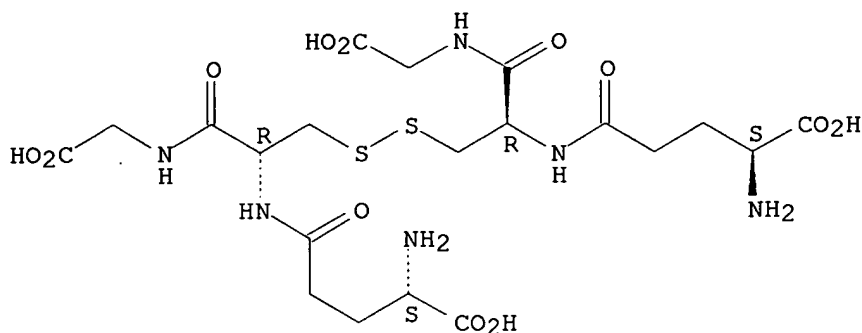
RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of asym. disulfides as potential alternative substrates for trypanothione and glutathione reductase)

RN 27025-41-8 CAPLUS

CN Glycine, L-γ-glutamyl-L-cysteinyl-, bimol. (2→2')-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175088-67-2 CAPLUS

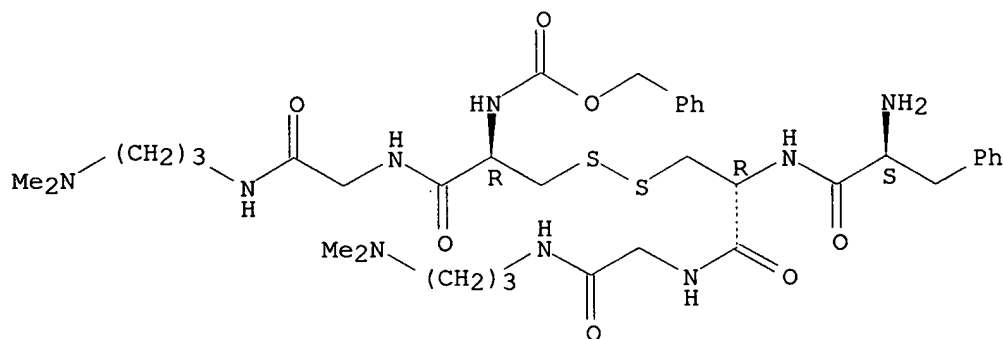
CN Glycinamide, L-phenylalanyl-L-cysteinyl-N-[3-(dimethylamino)propyl]-, (2→1')-disulfide with N-[(phenylmethoxy)carbonyl]-L-cysteinyl-N-[3-(dimethylamino)propyl]glycinamide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 175088-66-1

CMF C37 H57 N9 O7 S2

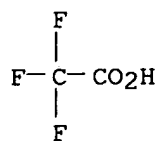
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 175088-69-4 CAPLUS

CN Glycinamide, L- α -glutamyl-L-cysteinyl-N-[3-(dimethylamino)propyl]-, (2 \rightarrow 1')-disulfide with N-[(phenylmethoxy)carbonyl]-L-cysteinyl-N-[3-(dimethylamino)propyl]glycinamide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

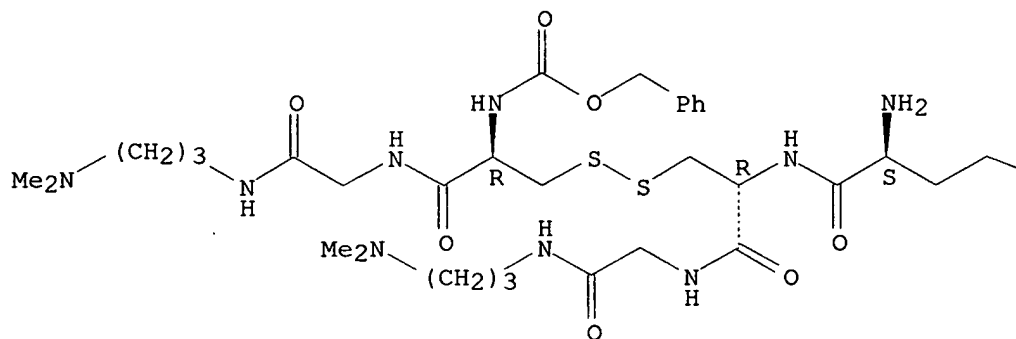
CM 1

CRN 175088-68-3

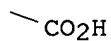
CMF C33 H55 N9 O9 S2

Absolute stereochemistry.

PAGE 1-A



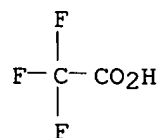
PAGE 1-B



CM 2

CRN 76-05-1

CMF C2 H F3 O2



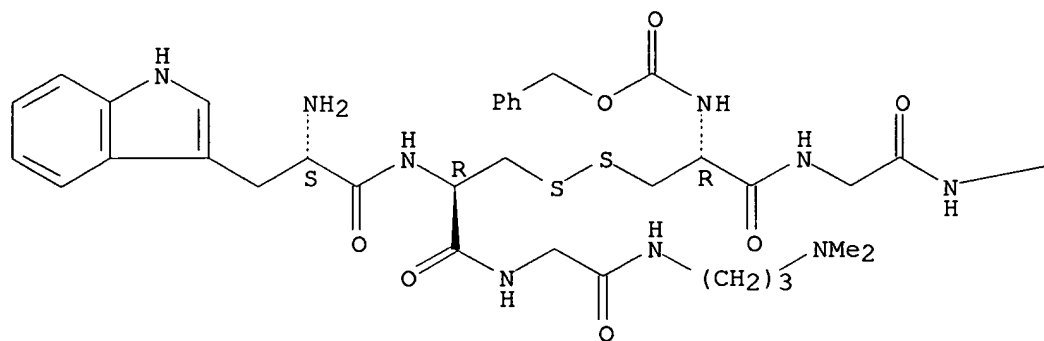
RN 175088-71-8 CAPLUS
 CN Glycinamide, L-tryptophyl-L-cysteinyl-N-[3-(dimethylamino)propyl]-, (2→1')-disulfide with N-[(phenylmethoxy)carbonyl]-L-cysteinyl-N-[3-(dimethylamino)propyl]glycinamide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

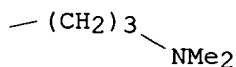
CRN 175088-70-7
 CMF C39 H58 N10 O7 S2

Absolute stereochemistry.

PAGE 1-A

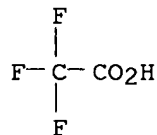


PAGE 1-B



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



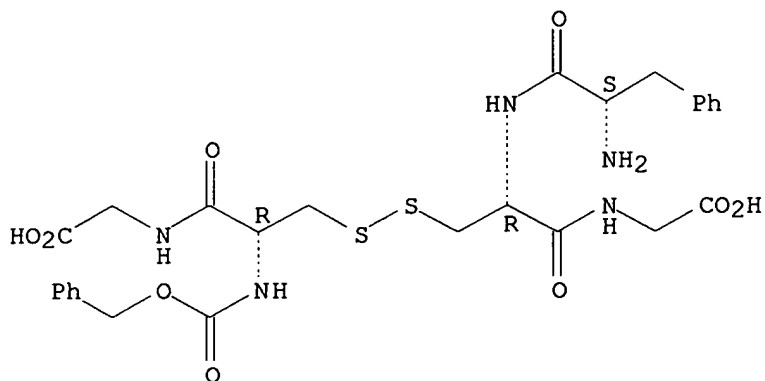
RN 175088-76-3 CAPLUS
 CN Glycine, L-phenylalanyl-L-cysteinyl-, (2→1')-disulfide with N-[(phenylmethoxy)carbonyl]-L-cysteinylglycine, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 175088-75-2

CMF C27 H33 N5 O9 S2

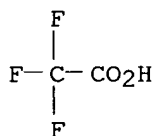
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 175088-78-5 CAPLUS

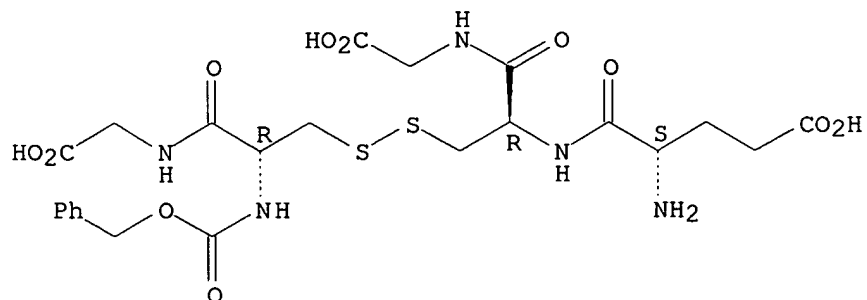
CN Glycine, L- α -glutamyl-L-cysteinyl-, (2 \rightarrow 1')-disulfide with
N-[(phenylmethoxy)carbonyl]-L-cysteinylglycine, mono(trifluoroacetate)
(9CI) (CA INDEX NAME)

CM 1

CRN 175088-77-4

CMF C23 H31 N5 O11 S2

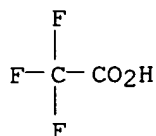
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 175088-80-9 CAPLUS

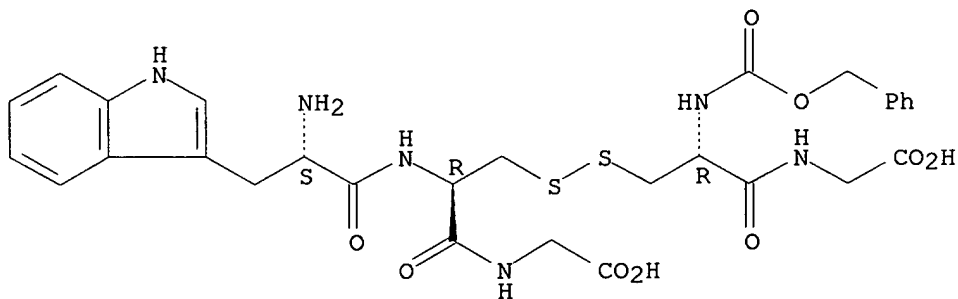
CN Glycine, L-tryptophyl-L-cysteinyl-, (2→1')-disulfide with
N-[(phenylmethoxy) carbonyl]-L-cysteinylglycine, mono(trifluoroacetate)
(9CI) (CA INDEX NAME)

CM 1

CRN 175088-79-6

CMF C29 H34 N6 O9 S2

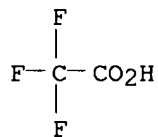
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



L16 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

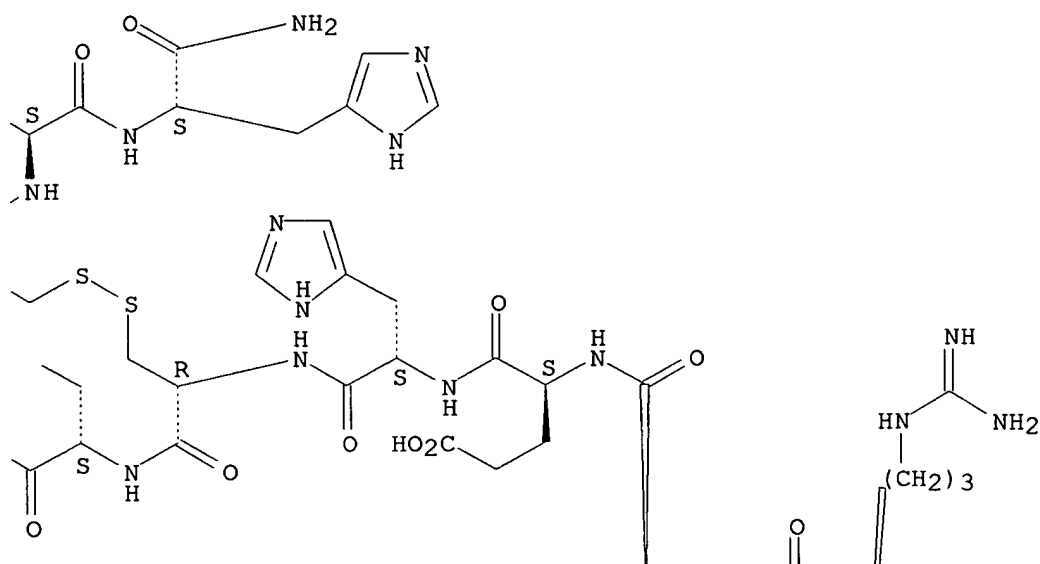
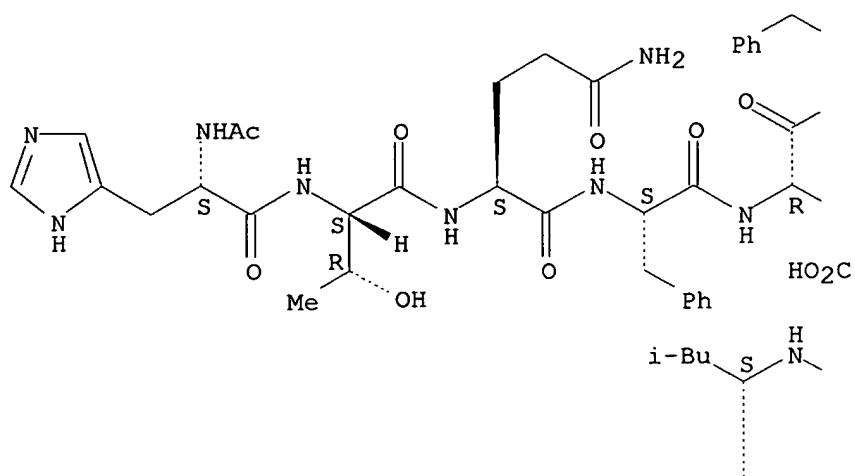
AN 1992:572084 CAPLUS

DN 117:172084

TI Efficient approach to synthesis of two-chain **asymmetric** cysteine

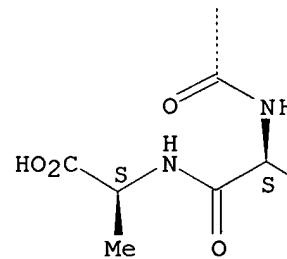
analogs of receptor-binding region of transforming growth factor- α
 AU Tam, James P.; Shen, Zhi Yi
 CS Rockefeller Univ., New York, NY, USA
 SO International Journal of Peptide & Protein Research (1992), 39(5), 464-71
 CODEN: IJPPC3; ISSN: 0367-8377
 DT Journal
 LA English
 AB An approach to the synthesis of two-chain analogs of transforming growth factor- α (TGF α) containing an intermol. disulfide linked A-chain and the 17-residue carboxyl fragment (C-fragment) possessing receptor-binding activity is described. The synthesis was achieved by the **solid**-phase method using the tert-butoxycarbonyl (Boc)-benzyl protecting group strategy. The single Cys of the A-chain was activated as a mixed disulfide with 2-thiopyridine to form the intermol. disulfide bond with Cys41 or Cys46 of the C-fragment on the resin **support**. The intramol. disulfide with two unprotected cysteines was formed in the presence of the intermol. disulfide. The desired product was obtained with a 60-70% yield. The purified two-chain analogs were unstable and rearranged to the homodimers. When assayed against A431 and NRK clone 49F cells, analogs showed low receptor-binding activity with an IC50 at 0.3 mM level. Unexpectedly, the dimeric C-fragment, which resulted from the rearrangement reaction, also showed receptor-binding activity. These results demonstrate that the two-chain analogs exhibit low but distinct biol. activity and provide evidence that the putative TGF α receptor binding region may be discontinuous. In addition, an efficient approach to further explore the two-chain receptor-binding analogs of TGF α is provided.
 IT **143738-64-1P 143738-65-2P 143754-66-9P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and transforming growth factor activity of)
 RN 143738-64-1 CAPLUS
 CN L-Alanine, L-cysteinyl-L-histidyl-L-serylglycyl-L-tyrosyl-L-valylglycyl-L-alanyl-L-arginyl-L-cysteinyl-L- α -glutamyl-L-histidyl-L-cysteinyl-L- α -aspartyl-L-leucyl-L-leucyl-, cyclic (1 \rightarrow 10)-disulfide, (13 \rightarrow 5')-disulfide with N-acetyl-L-histidyl-L-threonyl-L-glutaminyl-L-phenylalanyl-L-cysteinyl-L-phenylalanyl-L-histidinamide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



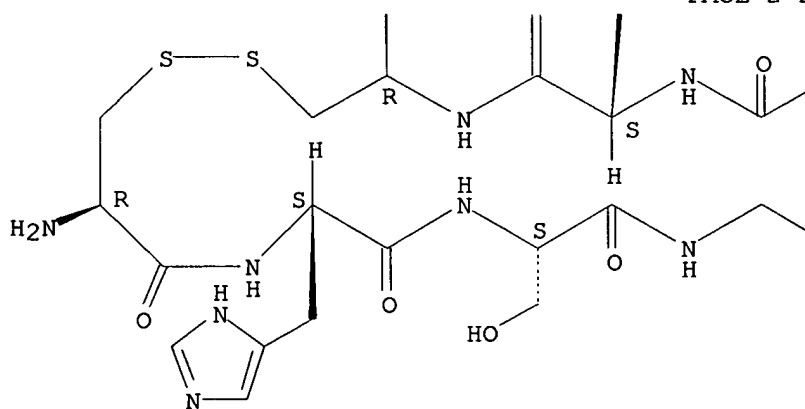


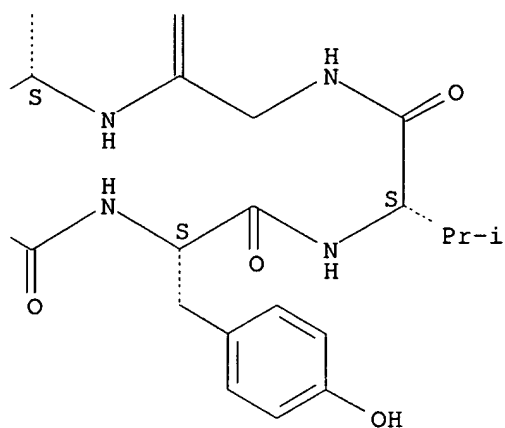
PAGE 2-A



PAGE 2-B

Bu-i

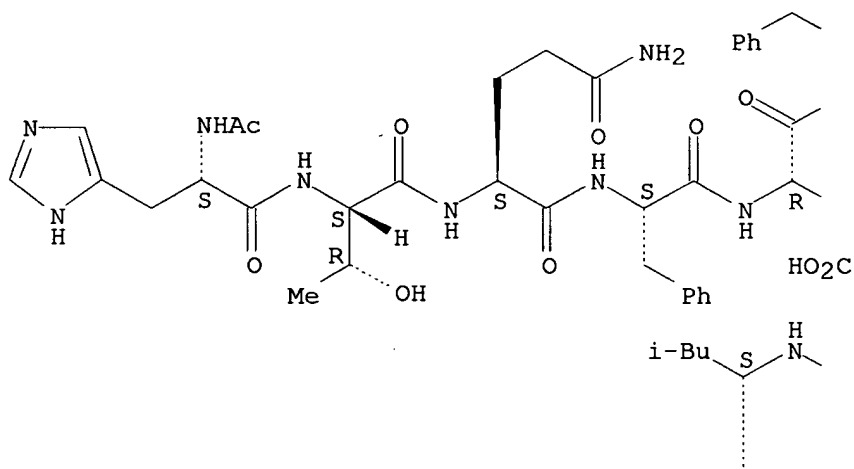


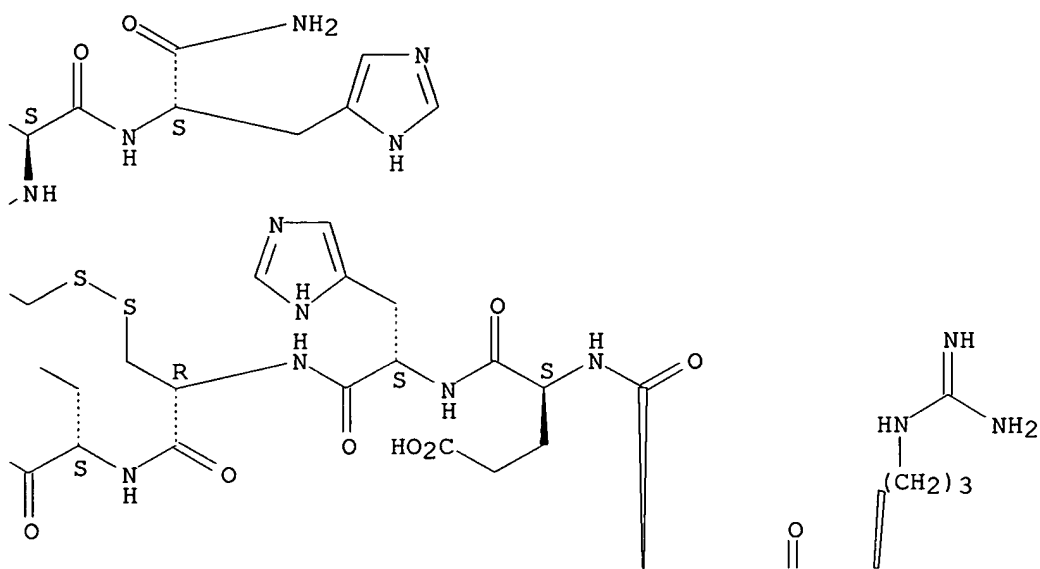


RN 143738-65-2 CAPLUS

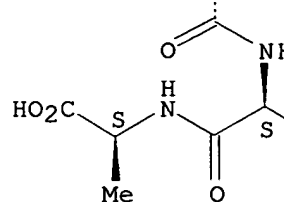
CN L-Alanine, N-acetyl-L-cysteinyl-L-histidyl-L-serylglycyl-L-tyrosyl-L-valylglycyl-L-alanyl-L-arginyl-L-cysteinyl-L- α -glutamyl-L-histidyl-L-cysteinyl-L- α -aspartyl-L-leucyl-L-leucyl-, cyclic (1 \rightarrow 10)-disulfide, (13 \rightarrow 5')-disulfide with N-acetyl-L-histidyl-L-threonyl-L-glutamyl-L-phenylalanyl-L-cysteinyl-L-phenylalanyl-L-histidinamide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

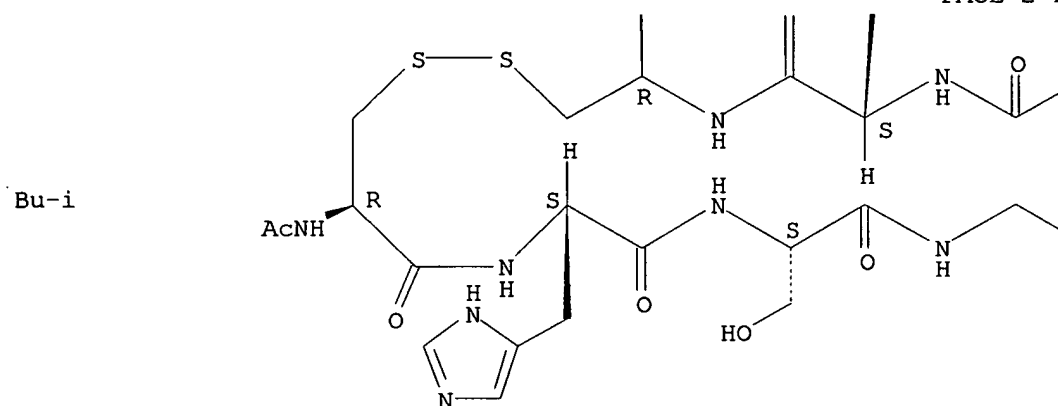




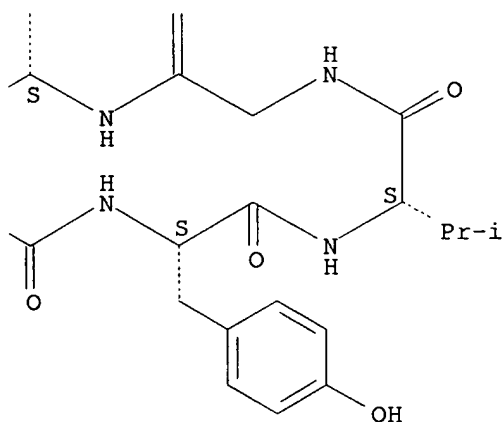
PAGE 2-A



PAGE 2-B



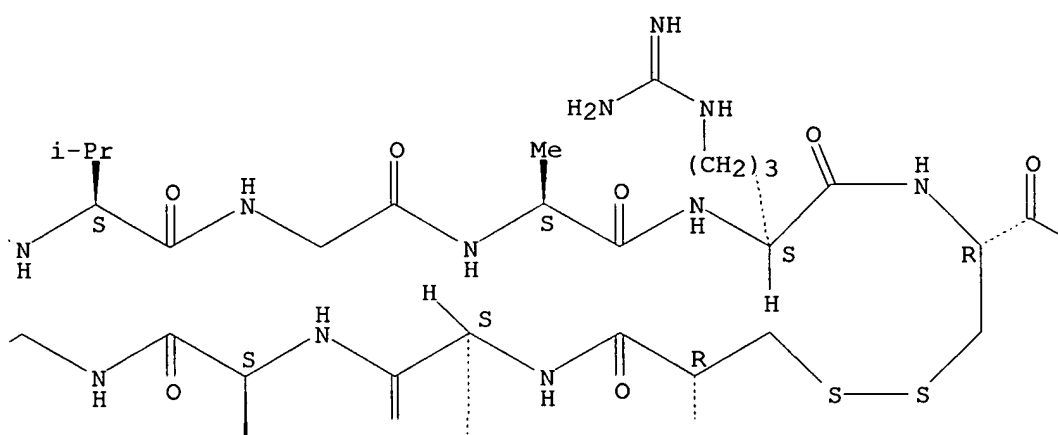
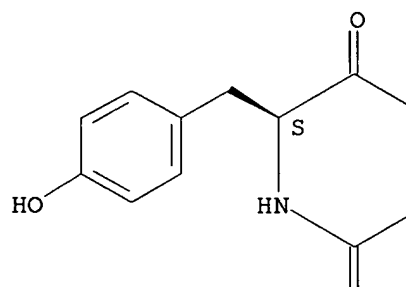
PAGE 2-C

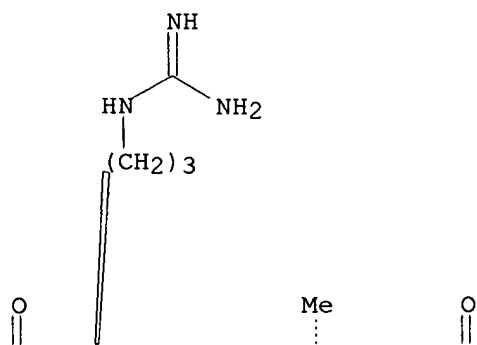
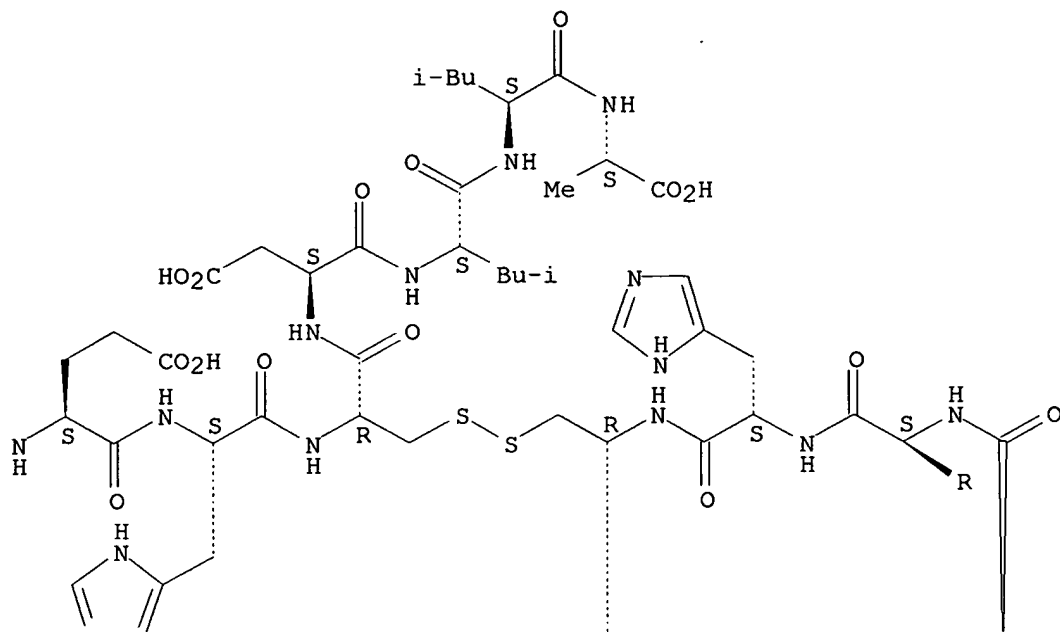


RN 143754-66-9 CAPLUS

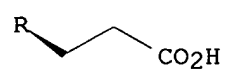
CN L-Alanine, L-cysteinyl-L-histidyl-L-serylglycyl-L-tyrosyl-L-valylglycyl-L-alanyl-L-arginyl-L-cysteinyl-L- α -glutamyl-L-histidyl-L-cysteinyl-L- α -aspartyl-L-leucyl-L-leucyl-, cyclic (1 \rightarrow 10)-disulfide, (13 \rightarrow 13')-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

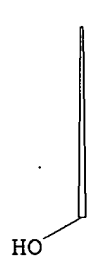




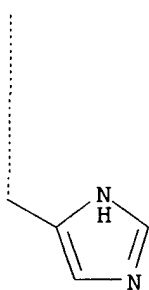
PAGE 2-A



PAGE_O 2-B



O

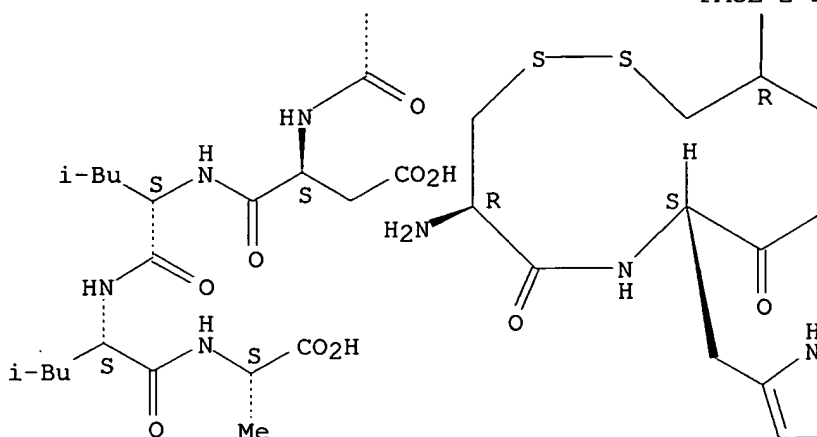


NH₂

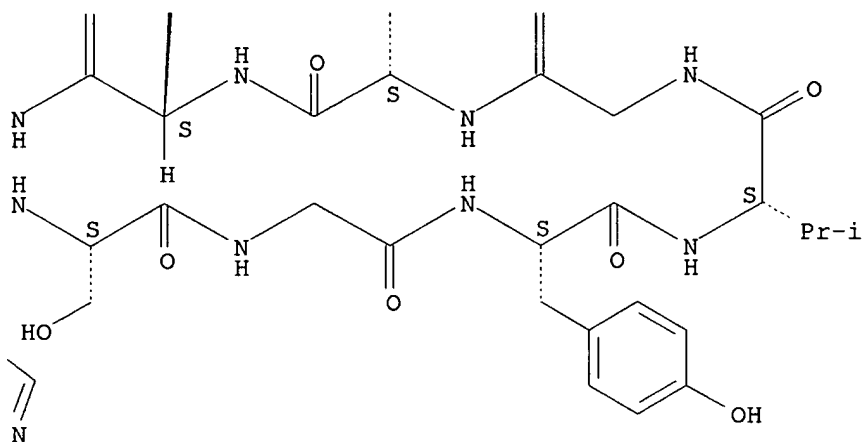
PAGE 2-C

N—

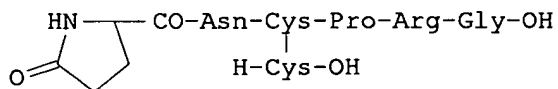
PAGE 2-D



PAGE 2-E



L16 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1989:633554 CAPLUS
 DN 111:233554
 TI Formation of open-chain **asymmetrical** cystine peptides on a **solid support**. Synthesis of pGlu-Asn-Cyt-Pro-Arg-Gly-OH
 AU Ten Kortenaar, Paul B. W.; Van Nispen, Jan W.
 CS Organon Sci. Dev. Group, Oss, 5340 BH, Neth.
 SO Collection of Czechoslovak Chemical Communications (1988), 53(11A), 2537-41
 CODEN: CCCCAK; ISSN: 0010-0765
 DT Journal
 LA English
 OS CASREACT 111:233554
 GI



I

AB The feasibility of the synthesis of asym. disulfide-containing peptides on **solid** phase resins was investigated. Using a fragment of [8-arginine]vasopressin as a model, the conversion of the S-acetamidomethylcysteine-containing peptide-resin into the corresponding S-methoxycarbonylsulfonyl derivative followed by reaction with free cysteine was studied. Both reactions proceeded smoothly under mild conditions. After cleavage from the resin and deblocking, the title peptide (I) was obtained.

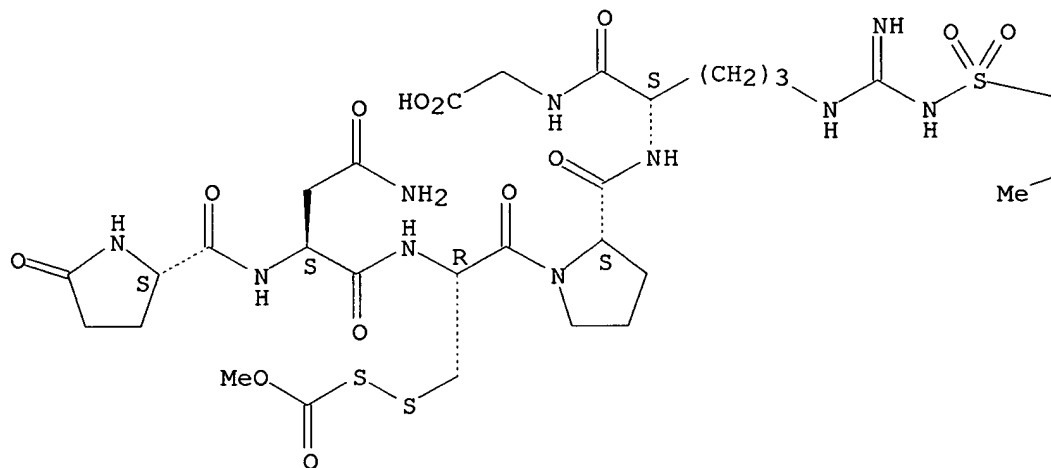
IT **123795-53-9DP**, resin-bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and disulfide coupling reaction of, with cysteine)

RN 123795-53-9 CAPLUS

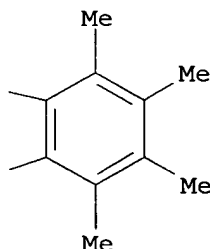
CN Glycine, N-[N5-[imino[[(pentamethylphenyl) sulfonyl] amino] methyl]-N2-[1-[3-[(methoxycarbonyl) dithio]-N-[N2-(5-oxo-L-prolyl)-L-asparaginyl]-L-alanyl]-L-prolyl]-L-ornithyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

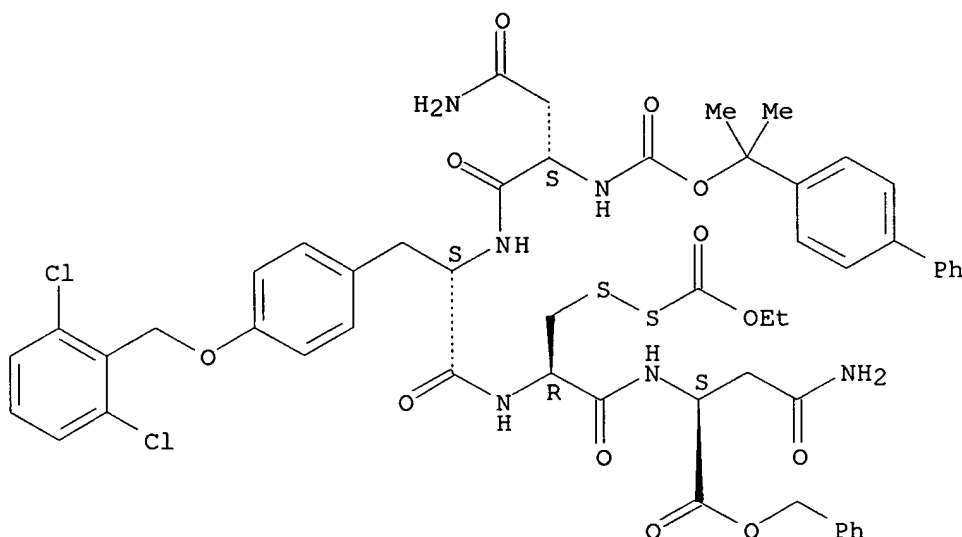


PAGE 1-B



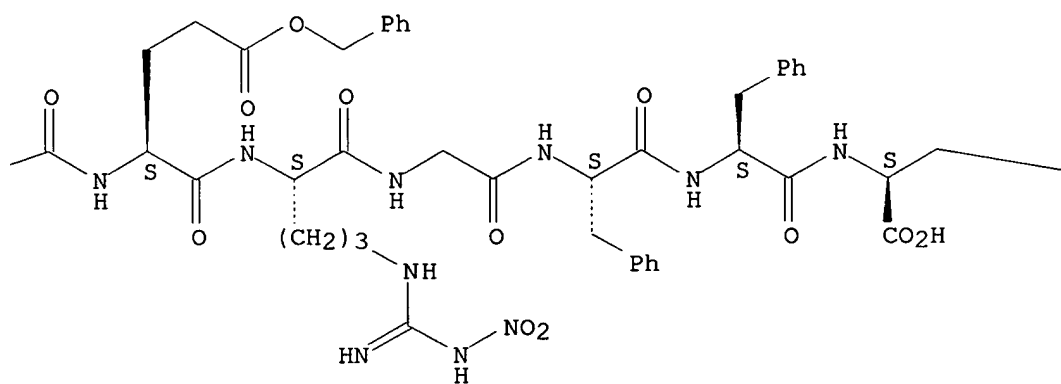
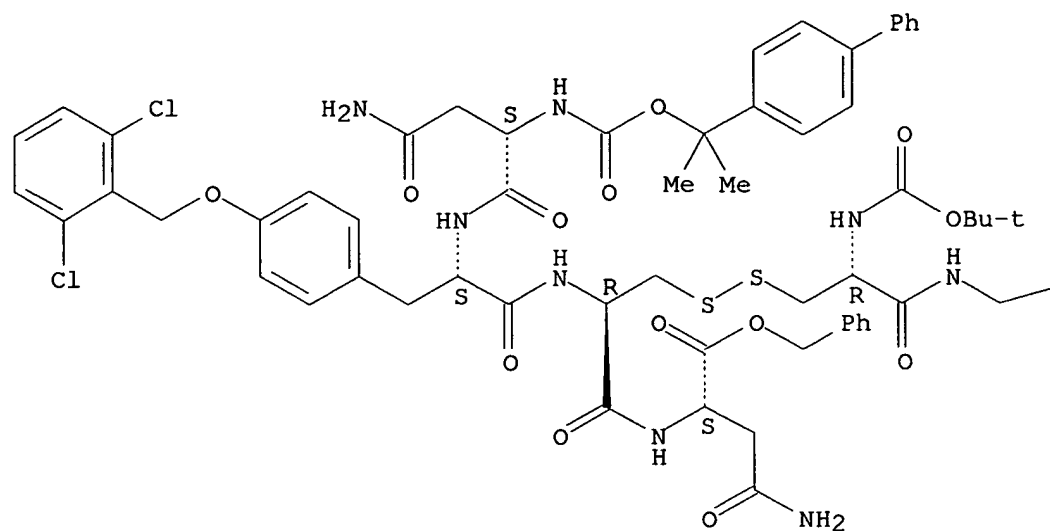
TI Synthesis of an open-chain cystine peptide corresponding to the
asymmetrical insulin intermediate A1-21-B18-26
 AU Kullmann, W.
 CS Max-Planck Inst. Biophys. Chem., Goettingen, D-3400, Fed. Rep. Ger.
 SO Tetrahedron Letters (1980), 21(7), 589-92
 CODEN: TELEAY; ISSN: 0040-4039
 DT Journal
 LA English
 AB The title peptide, containing a disulfide link from A20 to B19, was prepared by
 fragment condensations on a **solid-phase support**.
 IT **68558-43-0P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and disulfide coupling of, with cysteine-containing dipeptide
 derivative)
 RN 68558-43-0 CAPLUS
 CN L-Asparagine, N2-[N-[N-[N2-[(1-[1,1'-biphenyl]-4-yl-1-
 methylethoxy)carbonyl]-L-asparaginy]-O-[(2,6-dichlorophenyl)methyl]-L-
 tyrosyl]-3-[(ethoxycarbonyl)dithio]-L-alanyl]-, phenylmethyl ester (9CI)
 (CA INDEX NAME)

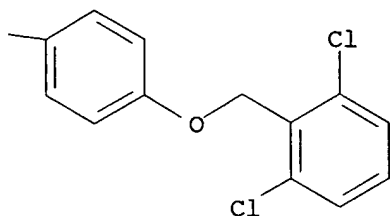
Absolute stereochemistry.



IT **68558-47-4DP**, resin-bound **75179-46-3DP**, resin-bound
75179-47-4DP, resin-bound **75185-89-6DP**, resin-bound
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and partial deblocking of)
 RN 68558-47-4 CAPLUS
 CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-cysteinylglycyl-L- α -
 glutamyl-N5-[imino(nitroamino)methyl]-L-ornithylglycyl-L-phenylalanyl-L-
 phenylalanyl-O-[(2,6-dichlorophenyl)methyl]-, 3-(phenylmethyl) ester,
 (1 \rightarrow 3')-disulfide with N2-[(1-[1,1'-biphenyl]-4-yl-1-
 methylethoxy)carbonyl]-L-asparaginy-O-[(2,6-dichlorophenyl)methyl]-L-
 tyrosyl-L-cysteinyl-L-asparagine phenylmethyl ester (9CI) (CA INDEX NAME)

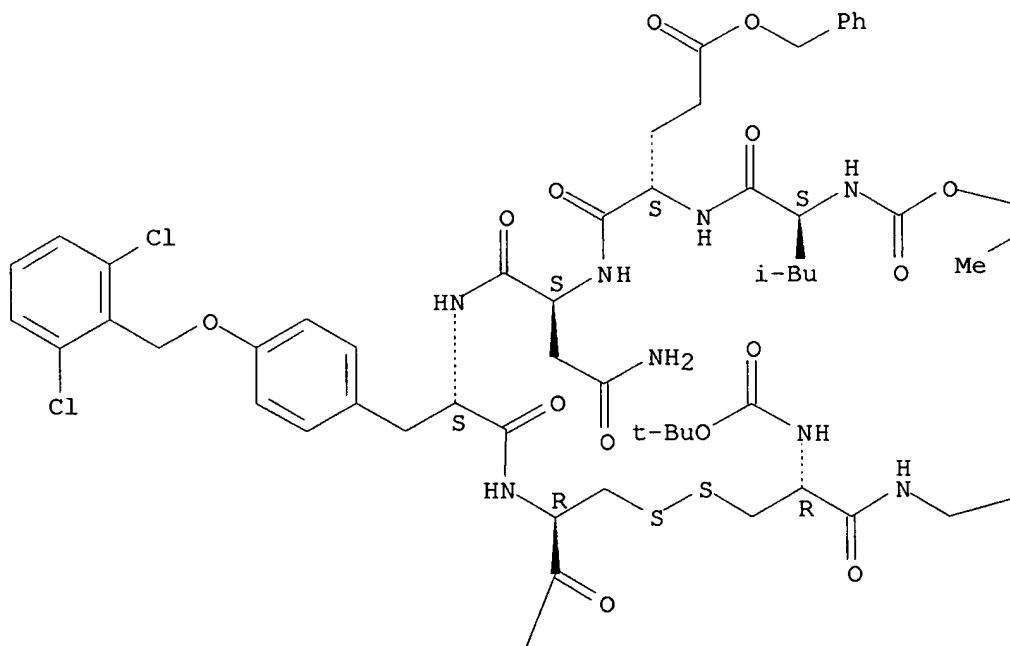
Absolute stereochemistry.

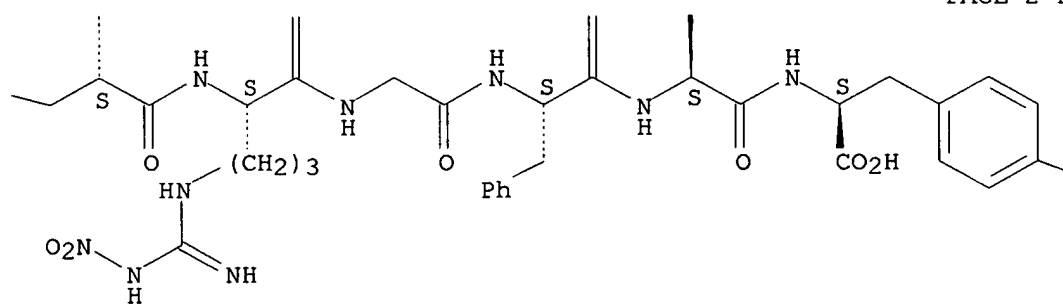
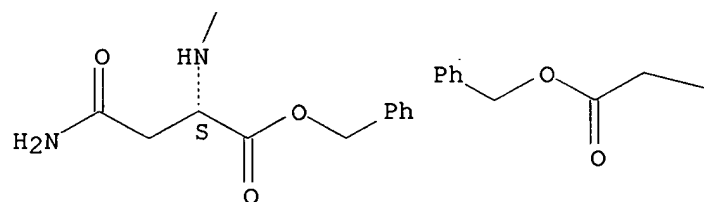
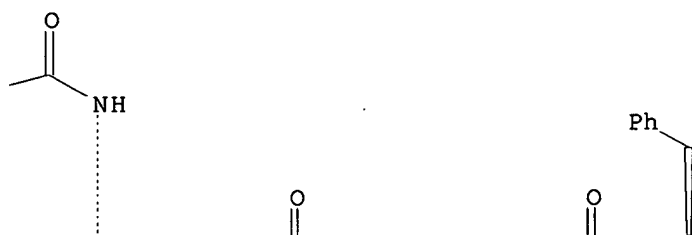
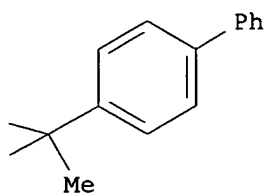


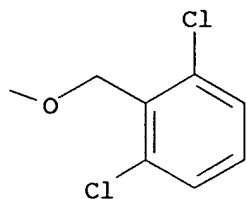


RN 75179-46-3 CAPLUS
 CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-cysteinylglycyl-L- α -glutamyl-N5-[imino(nitroamino)methyl]-L-ornithylglycyl-L-phenylalanyl-L-phenylalanyl-O-[(2,6-dichlorophenyl)methyl]-, 3-(phenylmethyl) ester, (1 \rightarrow 5')-disulfide with N-[(1-[1,1'-biphenyl]-4-yl-1-methylethoxy)carbonyl]-L-leucyl-L- α -glutamyl-L-asparaginyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-L-cysteinyl-L-asparagine bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.





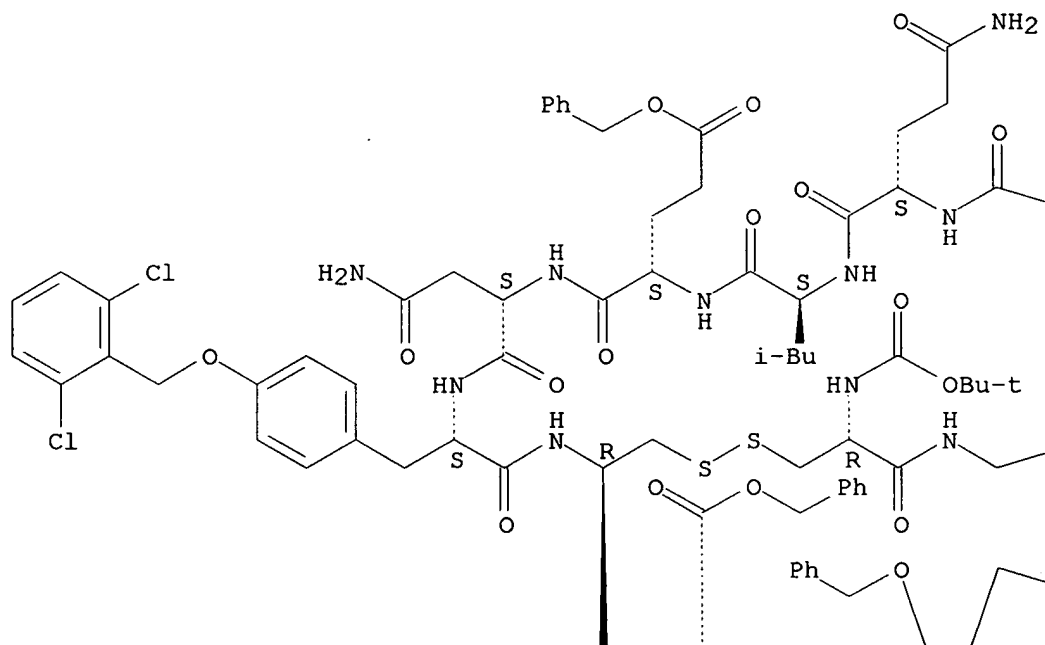


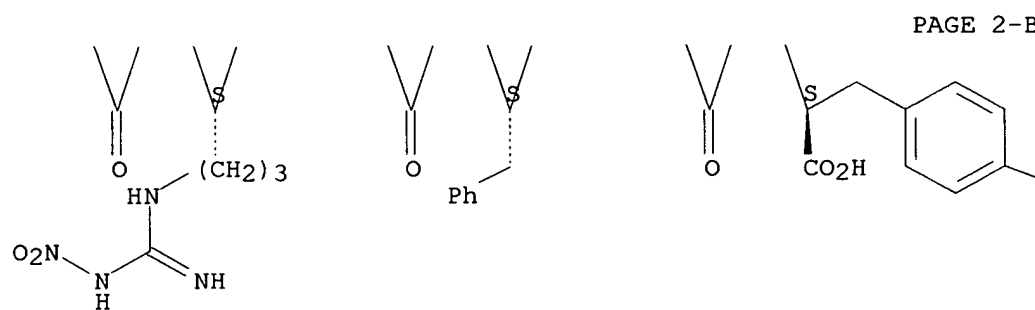
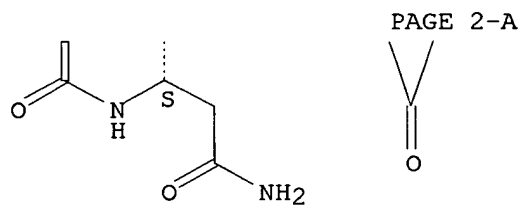
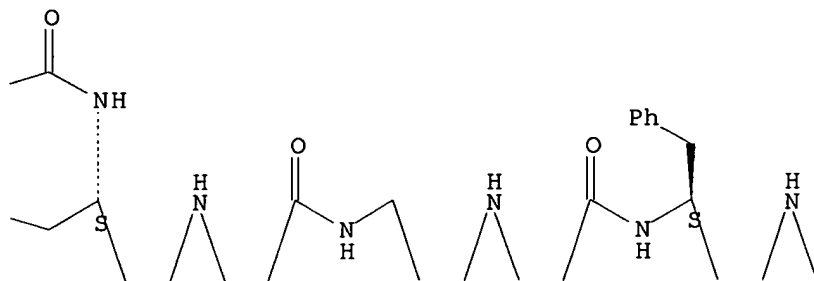
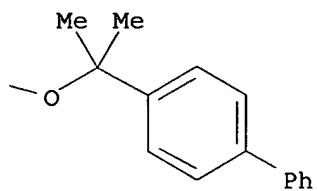
RN 75179-47-4 CAPLUS

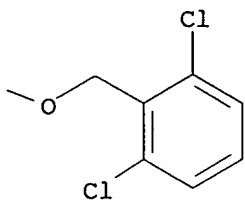
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-cysteinylglycyl-L- α -glutamyl-N5-[imino(nitroamino)methyl]-L-ornithylglycyl-L-phenylalanyl-L-phenylalanyl-O-[(2,6-dichlorophenyl)methyl]-, 3-(phenylmethyl) ester, (1 \rightarrow 6')-disulfide with N2-[(1-[1,1'-biphenyl]-4-yl-1-methylethoxy)carbonyl]-L-glutamyl-L-leucyl-L- α -glutamyl-L-asparaginyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-L-cysteinyl-L-asparagine bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





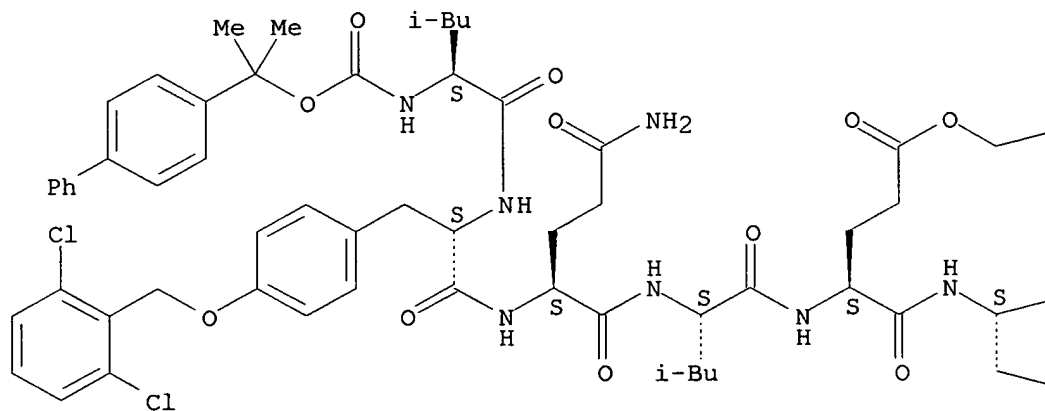


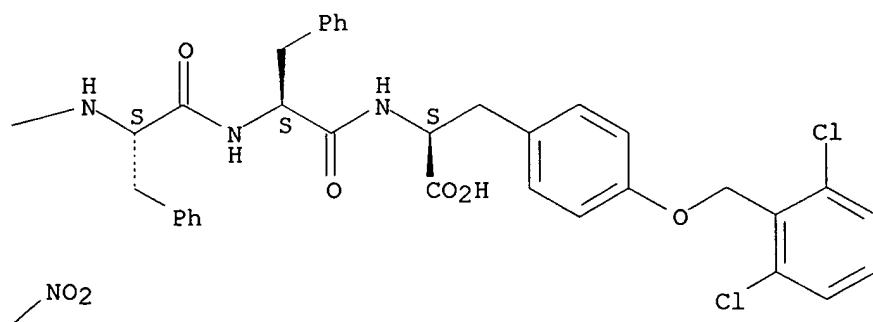
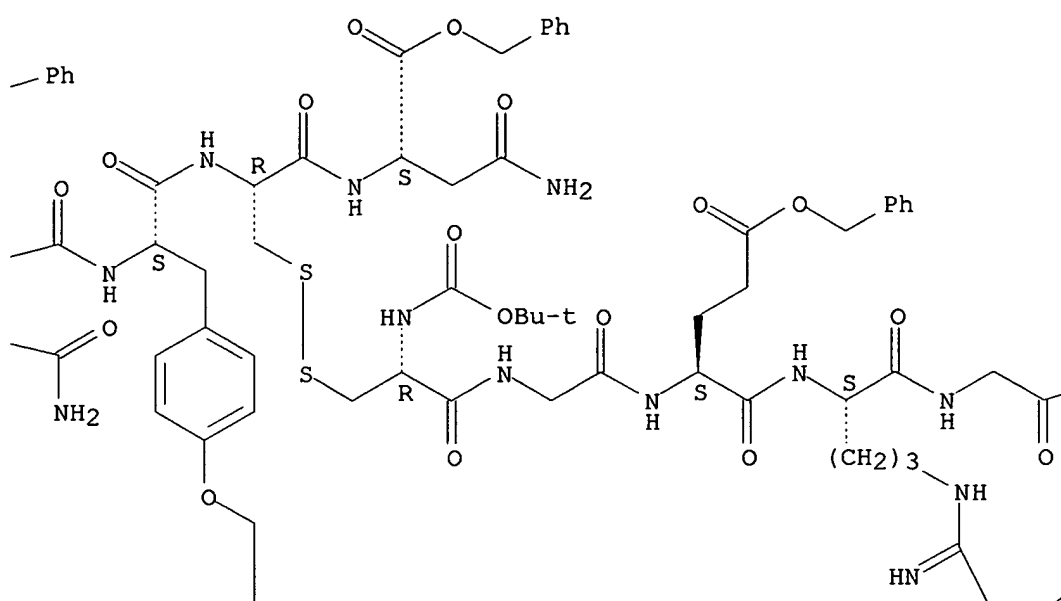
RN 75185-89-6 CAPLUS

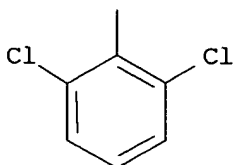
CN L-Asparagine, N-[(1-[1,1'-biphenyl]-4-yl-1-methylethoxy)carbonyl]-L-leucyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-L-glutamyl-L-leucyl-L- α -glutamyl-L-asparaginyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-L-cysteinyl-, bis(phenylmethyl) ester, (8 \rightarrow 1')-disulfide with N-[(1,1-dimethylethoxy)carbonyl]-L-cysteinylglycyl-L- α -glutamyl-N5-[imino(nitroamino)methyl]-L-ornithylglycyl-L-phenylalanyl-L-phenylalanyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosine 3-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A







PAGE 2-B



IT **68558-45-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

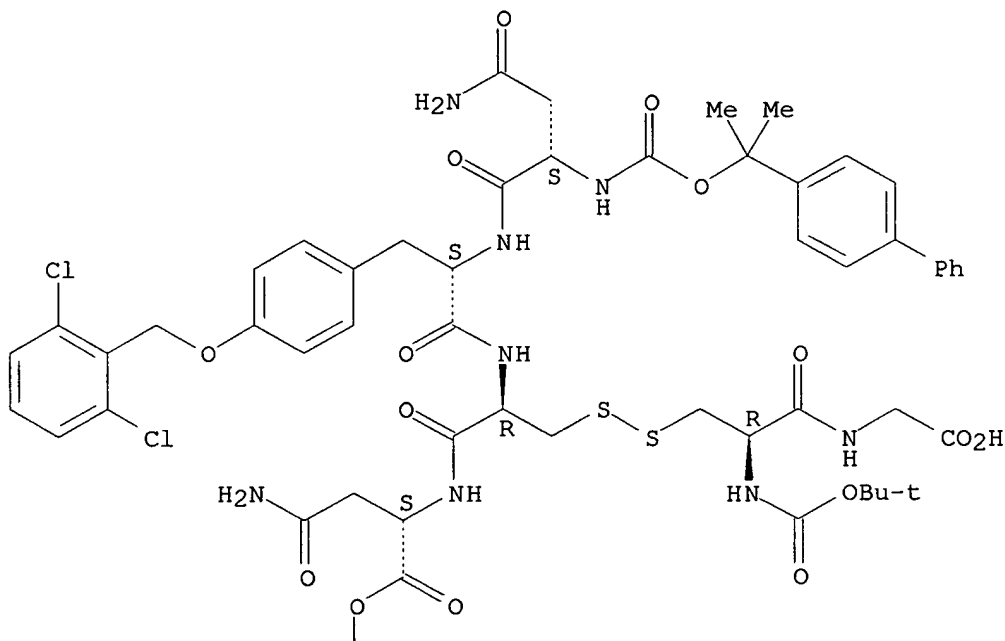
(preparation and **solid**-phase peptide coupling of)

RN 68558-45-2 CAPLUS

CN L-Asparagine, N2-[(1-[1,1'-biphenyl]-4-yl-1-methylethoxy)carbonyl]-L-asparaginyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-L-cysteinyl-, phenylmethyl ester, (3→1')-disulfide with N-[(1,1-dimethylethoxy)carbonyl]-L-cysteinylglycine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

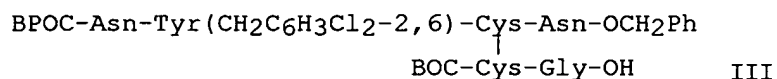
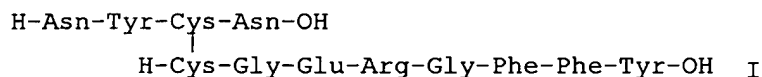
PAGE 1-A



PAGE 2-A



DN 90:6679
 TI Synthesis of an open-chain **asymmetrical** cystine peptide
 corresponding to the sequence A18-21-B19-26 of bovine insulin by
solid phase fragment condensation
 AU Kullmann, W.; Gutte, B.
 CS Inst. Genet., Univ. Koeln, Cologne, Fed. Rep. Ger.
 SO International Journal of Peptide & Protein Research (1978), 12(1), 17-26
 CODEN: IJPPC3; ISSN: 0367-8377
 DT Journal
 LA English
 GI



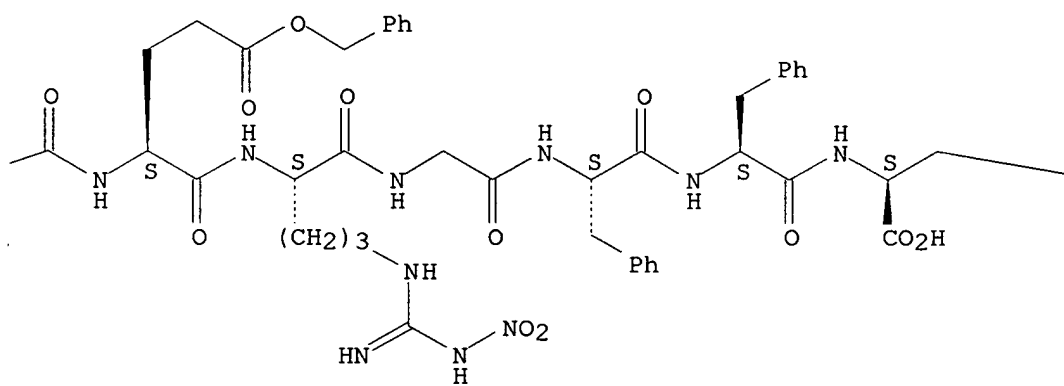
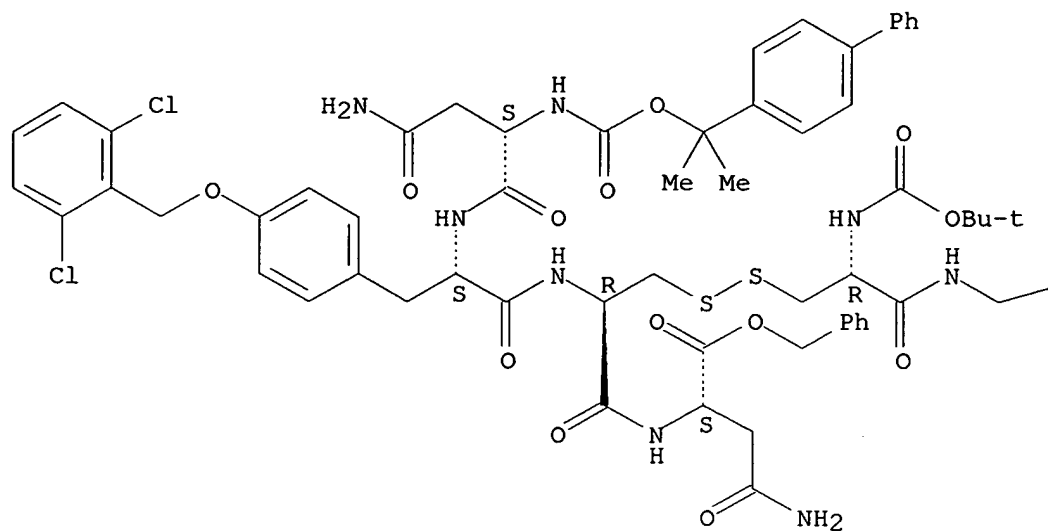
AB The insulin fragment I was prepared by deblocking BOC-Glu(OCH₂Ph)-Arg(NO₂)-
 Gly-Phe-Phe-Tyr(CH₂C₆H₃Cl₂-2,6)-O-resin (II, BOC = Me₃CO₂C) by acid,
 coupling the resulting BOC-deblocked hexapeptide resin with cystine
 peptide III (BPOC = p-PhC₆H₄CM₂O₂C) by dicyclohexylcarbodiimide/N-
 hydroxysuccinimide, and cleaving and deblocking the resulting resin-bound
 protected cystine peptide with HF. BPOC-Asn-Tyr(CH₂C₆H₃Cl₂-2,6)-Cys(CPh₃)-
 Asn-OCH₂Ph was prepared by the solution method and then it was treated with
 EtO₂CSCl to give BPOC-Asn-Tyr(CH₂C₆H₃Cl₂-2,6)-Cys(SCO₂Et)-Asn-OCH₂Ph which
 was treated with BOC-Cys-Gly-OH to give III. II was prepared by the
solid-phase method.

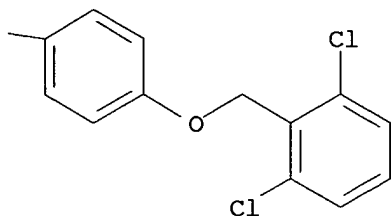
IT **68558-47-4DP**, resin-bound
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and cleavage-deblocking of)

RN 68558-47-4 CAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-cysteinylglycyl-L- α -
 glutamyl-N⁵-[imino(nitroamino)methyl]-L-ornithylglycyl-L-phenylalanyl-L-
 phenylalanyl-O-[(2,6-dichlorophenyl)methyl]-, 3-(phenylmethyl) ester,
 (1 \rightarrow 3')-disulfide with N²-[(1-[1,1'-biphenyl]-4-yl-1-
 methylethoxy)carbonyl]-L-asparaginyl-O-[(2,6-dichlorophenyl)methyl]-L-
 tyrosyl-L-cysteinyl-L-asparagine phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **68558-43-0P**

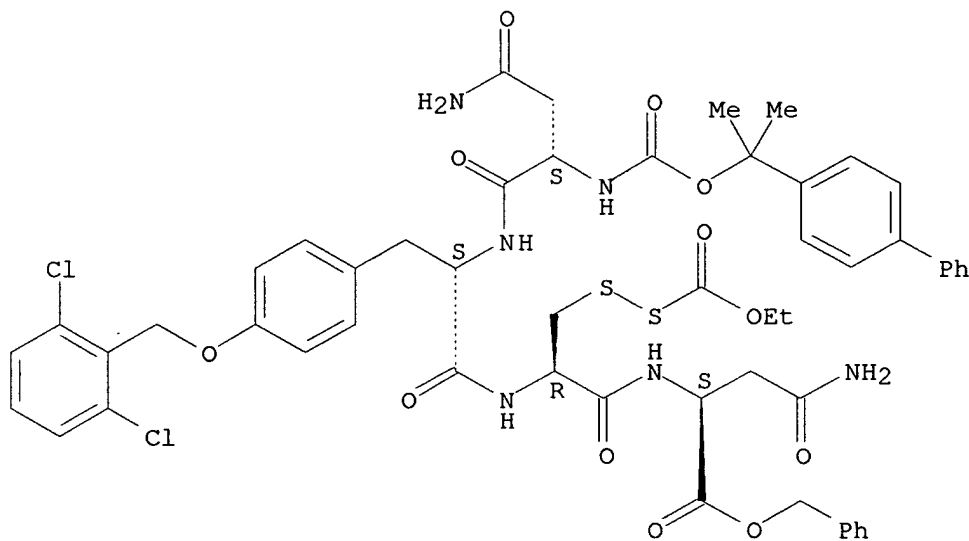
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and disulfide coupling of, with cysteine peptide)

RN 68558-43-0 CAPLUS

CN L-Asparagine, N2-[N-[N-[N2-[(1-[1,1'-biphenyl]-4-yl-1-methylethoxy)carbonyl]-L-asparaginy]-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl]-3-[(ethoxycarbonyl)dithio]-L-alanyl]-, phenylmethyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

IT **68558-45-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and peptide coupling of, with heptapeptide-resin)

RN 68558-45-2 CAPLUS

CN L-Asparagine, N2-[(1-[1,1'-biphenyl]-4-yl-1-methylethoxy)carbonyl]-L-asparaginy-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-L-cysteinyl-,

Absolute stereochemistry.

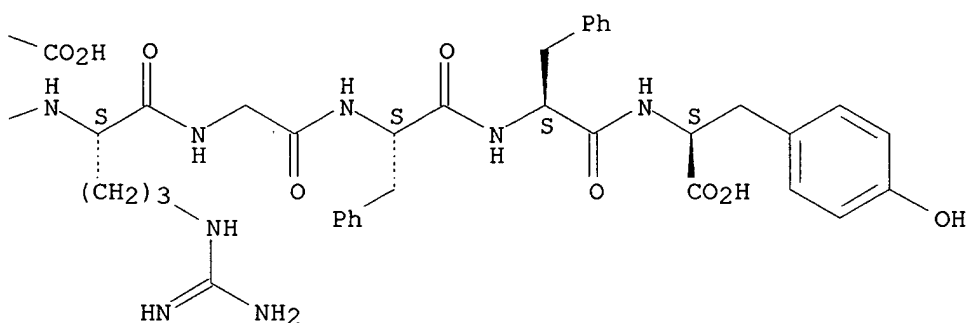
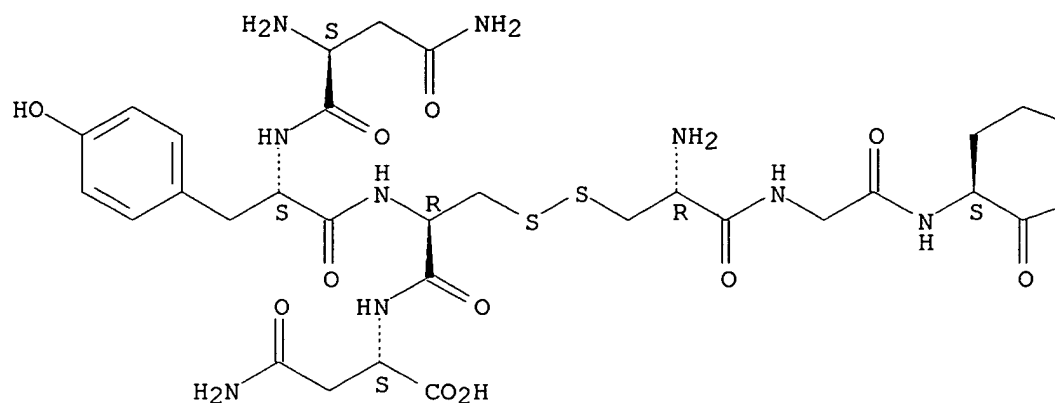
CC(C)(OC(=O)N[C@@H](Cc1ccc(cc1)COc2cc(Cl)cc(Cl)c2)S[C@@H](NC(=O)CC(=O)N)C(=O)N[C@@H](Cc3ccc(cc3)S[C@@H](NC(=O)CC(=O)N)C(=O)N)C(=O)N[C@@H](Cc4ccc(cc4)C(C)(C)OC(=O)N)C(=O)N)C(=O)N
$$\text{Ph}$$

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

CN L-Tyrosine, L-cysteinylglycyl-L- α -glutamyl-L-arginylglycyl-L-phenylalanyl-L-phenylalanyl-, (1 \rightarrow 3')-disulfide with

L-asparaginyl-L-tyrosyl-L-cysteinyl-L-asparagine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d his

(FILE 'HOME' ENTERED AT 16:35:19 ON 18 NOV 2005)

FILE 'REGISTRY' ENTERED AT 16:36:22 ON 18 NOV 2005

L1 STRUCTURE UPLOADED

L2 50 L1 SAM

L3 8586 L1 FULL

FILE 'CAPLUS' ENTERED AT 16:37:32 ON 18 NOV 2005

L4 12040 L3

L5 157 L4 AND (LINKER OR SPACER)

L6 103 PY>1998 AND L5

L7 54 L5 NOT L6

L8 14 L7 AND (SOLID OR SUPPORT OR SUBSTRATE)

L9 0 L7 AND ASSYMMETR?

L10 0 L4 AND ASSYMMETR?

L11 0 L7 AND ASYMMETR?

L12 66 L4 AND ASYMMETR?

L13 0 L5 AND ASYMMETR?

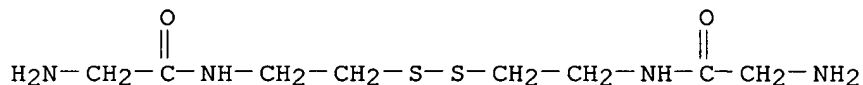
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L15 2 PY>1998 AND L14
L16 5 L14 NOT L15

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L17 40 L7 NOT L8

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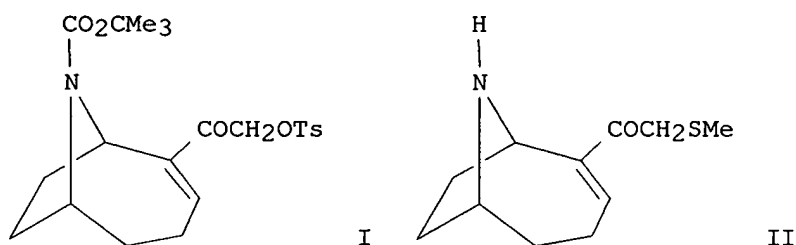
L17 ANSWER 1 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:270220 CAPLUS
DN 129:66599
TI Application of cystamine and N,N'-bis(glycyl)cystamine as linkers in polysaccharide-protein conjugation
AU de Weers, Odo; Beurret, Michel; van Buren, Leo; Oomen, Lukas A.; Poolman, Jan T.; Hoogerhout, Peter
CS Laboratory of Vaccine Development and Immune Mechanisms, National Institute of Public Health and the Environment (RIVM), Bilthoven, 3720 BA, Neth.
SO Bioconjugate Chemistry (1998), 9(3), 309-315
CODEN: BCCHES; ISSN: 1043-1802
PB American Chemical Society
DT Journal
LA English
AB Pneumococcal polysaccharide type 6B, 14, or 23F (35-70 kDa) was activated with cyanogen bromide and modified with cystamine. After reduction of the **spacer**, the thiol-containing (i.e. cysteamine-modified) polysaccharide obtained was added in a 5-10-fold molar excess to bromoacetylated tetanus toxoid to give thioether-linked polysaccharide-protein conjugates in a yield of 10-20%. This approach failed for preparing a type 19F polysaccharide-protein conjugate, possibly due to intramol. elimination of cysteamine from the reduced 19F polysaccharide. When N,N'-bis(glycyl)cystamine was introduced as a **spacer** mol., the elimination of the reduced **spacer** was suppressed, thus allowing preparation of a 19F polysaccharide-tetanus toxoid conjugate (15%).
IT 31060-88-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(application of cystamine and N,N'-bis(glycyl)cystamine as linkers in pneumococcal polysaccharide-tetanus toxoid conjugation for use in vaccines)
RN 31060-88-5 CAPLUS
CN Acetamide, N,N'-(dithiodi-2,1-ethanediyl)bis[2-amino- (9CI) (CA INDEX NAME)



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:589514 CAPLUS
DN 127:220844
TI Direct C-11 functionalization of anatoxin-a. Application to the synthesis of new ligand-based structural probes
AU Magnus, Nicholas A.; Ducry, Laurent; Rolland, Valerie; Wonnacott, Susan; Gallagher, Timothy
CS School of Chemistry, University of Bristol, Bristol, BS8 1TS, UK

SO Journal of the Chemical Society, Perkin Transactions 1: Organic and
 Bio-Organic Chemistry (1997), (16), 2313-2318
 CODEN: JCPRB4; ISSN: 0300-922X
 PB Royal Society of Chemistry
 DT Journal
 LA English
 OS CASREACT 127:220844
 GI



AB A variety of methods have been evaluated for the functionalization of the C-11 Me group of anatoxin-a. Reaction of N-Boc anatoxin-a with PhI(OH)OTs (Koser's reagent) represents the method of choice and gives the synthetically versatile α -tosyloxy ketone I. This intermediate provides a convenient vehicle for the attachment of **spacer** units to C-11 via a thioether linkage which has been applied to the synthesis of the dansylated [N-(5-dimethylamino-1-naphthylsulfonyl)] anatoxin-a derivs. Preliminary biol. data relating to the α -thiomethyl anatoxin-a derivative II and the dansylated ligands are also reported.

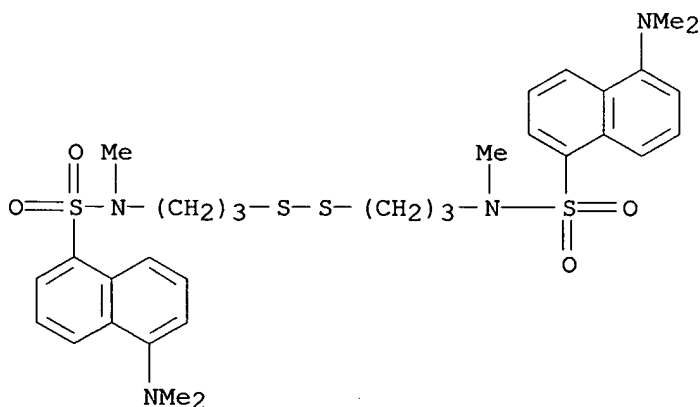
IT 195057-93-3P 195057-94-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(functionalization of the C-11 Me group of anatoxin-a)

RN 195057-93-3 CAPLUS

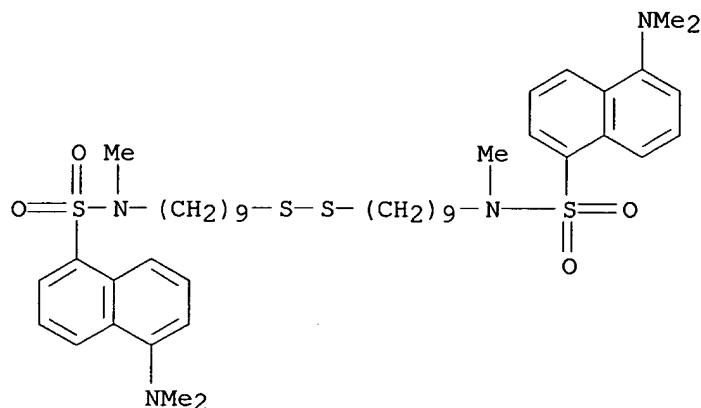
CN 1-Naphthalenesulfonamide, N,N'-(dithiodi-3,1-propanediyl)bis[5-(dimethylamino)-N-methyl- (9CI) (CA INDEX NAME)



RN 195057-94-4 CAPLUS

CN 1-Naphthalenesulfonamide, N,N'-(dithiodi-9,1-nonanediyl)bis[5-

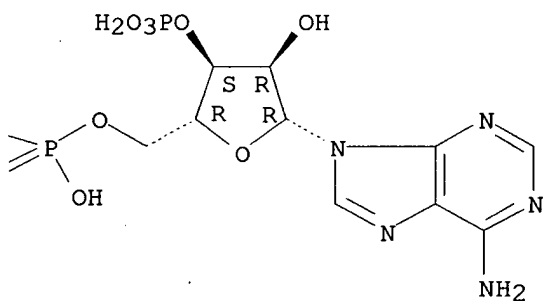
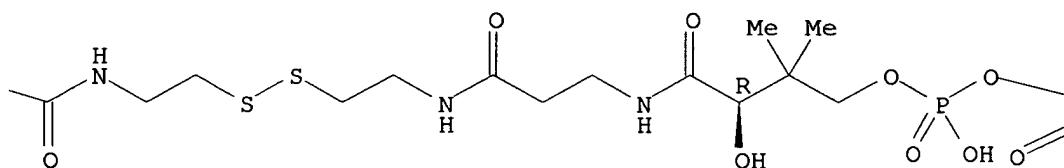
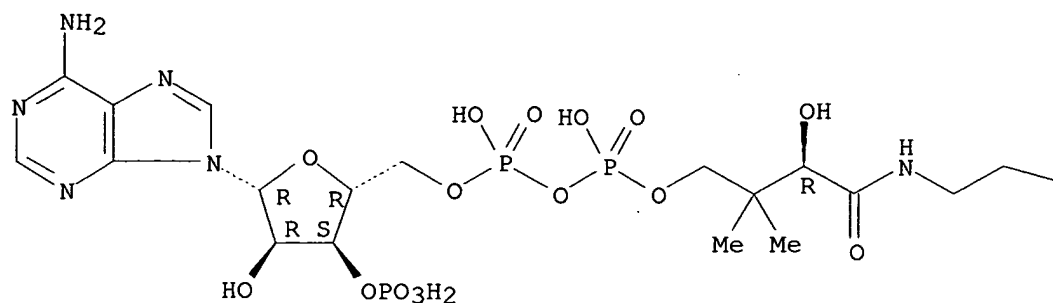
(dimethylamino)-N-methyl- (9CI) (CA INDEX NAME)



RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 3 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:566571 CAPLUS
DN 127:289684
TI Versatile 5' phosphoryl coupling of small and large molecules to an RNA
AU Huang, Faging; Yarus, Michael
CS Department of Molecular, Cellular and Developmental Biology, University of Colorado, Boulder, CO, 80309-0347, USA
SO Proceedings of the National Academy of Sciences of the United States of America (1997), 94(17), 8965-8969
CODEN: PNASA6; ISSN: 0027-8424
PB National Academy of Sciences
DT Journal
LA English
AB A Ca²⁺-requiring catalytic RNA is shown to create 5' phosphate-phosphate linkages with all nucleotides and coenzymes including CoA, NADP, thiamin phosphate, thiamin pyrophosphate, and FMN. In addition to these small mols., macromols. such as RNAs with 5'-diphosphates, and nonnucleotide mols. like Nε-phosphate arginine and 6-phosphate gluconic acid also react. I.e., the self-capping RNA isolate 6 is an apparently universal 5' phosphate-linker, reacting with any nucleophile containing an unblocked phosphate. These RNA reactions demonstrate a unique RNA catalytic capability and imply versatile and specific posttranscriptional RNA modification by RNA catalysis.
IT **31664-36-5**, Coenzyme A disulfide
RL: BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(5' phosphoryl coupling of small and large mols. to a self-capping catalytic RNA and implications to RNA-mediated RNA processing)
RN 31664-36-5 CAPLUS
CN Coenzyme A disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 4 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:420035 CAPLUS

DN 127:158247

TI An affinity column for phospholipase A2 based on immobilized
acylaminophospholipid analogs

AU Dijkman, R.; Beiboer, S. H. W.; Verheij, H. M.

CS Department of Enzymology and Protein Engineering, Centre for Biomembranes
and Lipid Enzymology, Utrecht University, PO Box 80054, TB Utrecht, 3508,
Neth.

SO Biochimica et Biophysica Acta, Lipids and Lipid Metabolism (1997),

1347(1), 1-8

CODEN: BBLA6; ISSN: 0005-2760

PB Elsevier B.V.

DT Journal

LA English

AB A synthetic route was developed to prepare 2-acylamino phospholipid analogs suitable for immobilization. The inhibitors, synthesized in either the (R)- and (S)-configuration, carried an ω -carboxyl group in one acyl chain for immobilization to the matrix. As a matrix Sepharose 6B, derivatized with a polar, non-charged 16 atom **spacer** was used. Low-mol. weight phospholipase A2 binds in a calcium-dependent way to the immobilized (S)-inhibitor and not to the immobilized (R)-inhibitor which shows that binding involves specific active site interactions rather than hydrophobic chromatog. The specificity was further demonstrated by the fact that the immobilized (S)-inhibitor binds porcine pancreatic and snake venom phospholipases A2, but not the porcine pancreatic zymogen. Moreover, a mutant porcine pancreatic phospholipase A2 in which the active side residue His48 has been replaced by Gln, was not bound by the column. This column material might be applicable for affinity purification of phospholipase A2 and for screening of phage display libraries.

IT 402-91-5P 32854-09-4P 144000-36-2P

193697-38-0P 193697-51-7P

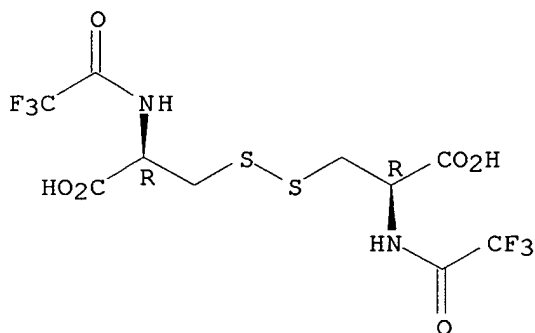
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of affinity column for phospholipase A2 based on immobilized acylaminophospholipid analogs)

RN 402-91-5 CAPLUS

CN L-Cystine, N,N'-bis(trifluoroacetyl)- (9CI) (CA INDEX NAME)

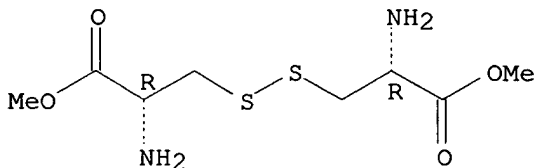
Absolute stereochemistry.



RN 32854-09-4 CAPLUS

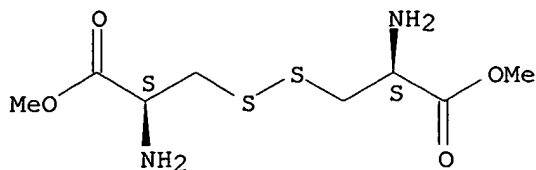
CN L-Cystine, dimethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 144000-36-2 CAPLUS
CN D-Cystine, dimethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

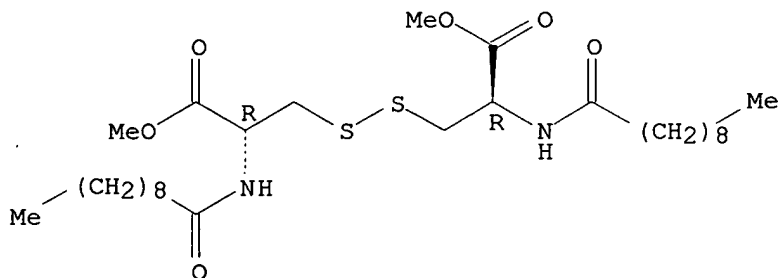
Absolute stereochemistry.



● 2 HCl

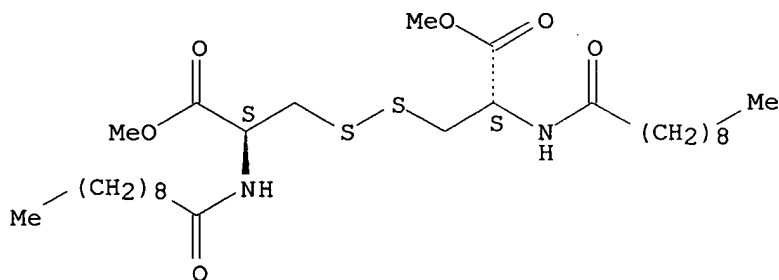
RN 193697-38-0 CAPLUS
CN L-Cystine, N,N'-bis(1-oxodecyl)-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



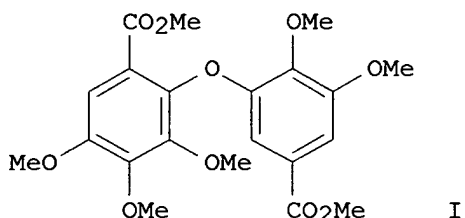
RN 193697-51-7 CAPLUS
CN D-Cystine, N,N'-bis(1-oxodecyl)-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 5 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1996:537861 CAPLUS
DN 125:275352
TI Galloyl-Derived Orthoquinones as Reactive Partners in Nucleophilic Additions and Diels-Alder Dimerizations: A Novel Route to the Dehydrodigalloyl **Linker** Unit of Agrimoniin-Type Ellagitannins

AU Feldman, Ken S.; Quideau, Stephane; Appel, Heidi M.
 CS Department of Chemistry, Pennsylvania State University, University Park,
 PA, 16802, USA
 SO Journal of Organic Chemistry (1996), 61(19), 6656-6665
 CODEN: JOCEAH; ISSN: 0022-3263
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 125:275352
 GI



AB Orthochloranil-mediated oxidation of galloyl mono ethers furnishes the derived orthoquinones in excellent yield. These reactive electrophiles participate in a variety of nucleophilic addition reactions with heteroat. and carbanionic partners. In addition, Lewis acid-mediated dimerization of the orthoquinones provides an efficient route to dehydrodigalloyl-type diaryl ether units, e.g. I, characteristic of several ellagitannin natural products. The implications for ellagitannin biosynthesis and gallotannin-protein covalent attachment are discussed.

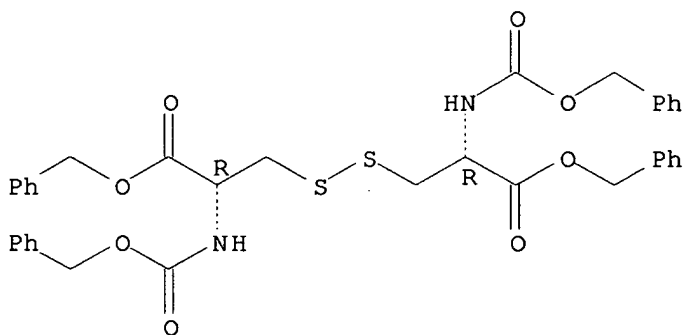
IT 64957-09-1

RL: RCT (Reactant); RACT (Reactant or reagent)
 (galloyl-derived orthoquinones as reactive partners in nucleophilic addns. and Diels Alder dimerizations in preparation of dehydrodigalloyl **linker** unit of agrimoniin-type ellagitannins)

RN 64957-09-1 CAPLUS

CN L-Cystine, N,N'-bis[(phenylmethoxy)carbonyl]-, bis(phenylmethyl) ester
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 6968-11-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

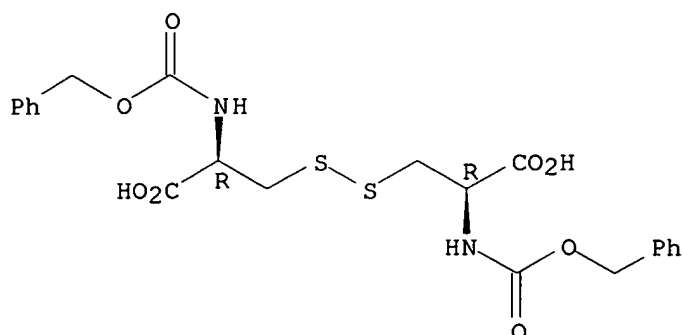
(galloyl-derived orthoquinones as reactive partners in nucleophilic

addns. and Diels Alder dimerizations in preparation of dehydrodigalloyl
linker unit of agrimoniin-type ellagitannins)

RN 6968-11-2 CAPLUS

CN L-Cystine, N,N'-bis[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 6 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:483561 CAPLUS

DN 125:211446

TI Self-Assembled Monolayers of Monofunctionalized Cyclodextrins onto Gold: A Mass Spectrometric Characterization and Impedance Analysis of Host-Guest Interaction

AU Henke, Christian; Steinem, Claudia; Janshoff, Andreas; Steffan, Gerhard; Luftmann, Heinrich; Sieber, Manfred; Galla, Hans-Joachim

CS Institut fuer Biochemie, Westfaelische Wilhelms-Universitaet, Muenster, 48149, Germany

SO Analytical Chemistry (1996), 68(18), 3158-3165

CODEN: ANCHAM; ISSN: 0003-2700

PB American Chemical Society

DT Journal

LA English

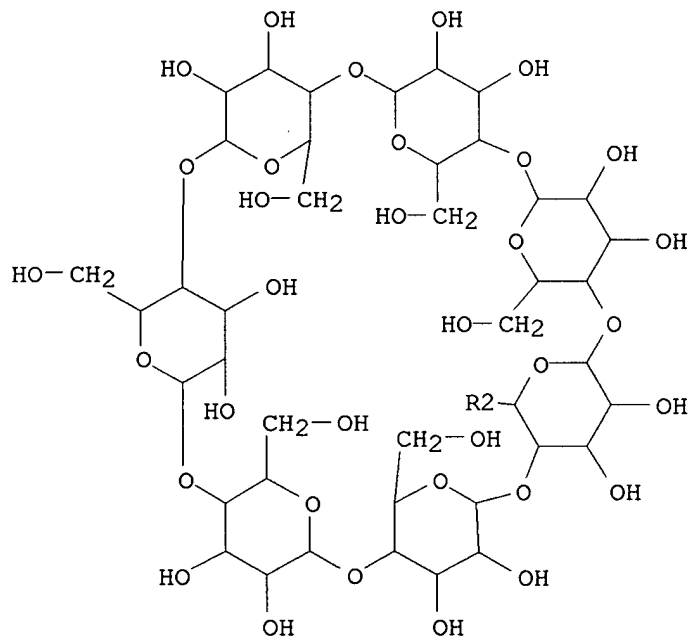
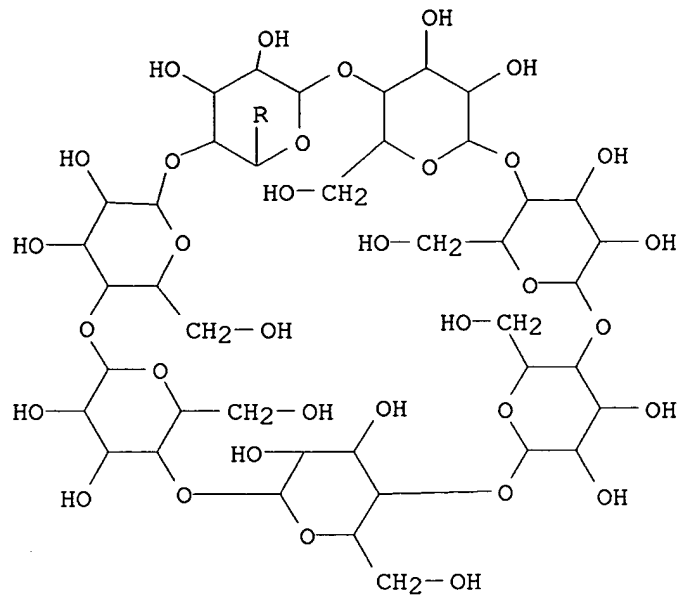
AB A novel β -cyclodextrin (β -CD) functionalized by a mercaptopropionic acid that was attached to a single 6-deoxyaminoglucose unit was synthesized in the disulfide form. The flexible single-thiol **spacer** gave a monomol. film by self-assembly onto gold, yielding a high packing d. with a surface coverage of 99.6% and a capacitance of 9 $\mu\text{F}/\text{cm}^2$, determined by a.c. impedance spectroscopy. MALDI-MS and XPS anal. clearly showed that the modified cyclodextrin is chemisorbed on the gold surface by Au-S bonds. Addition of 3-mercaptopropionic acid to the preformed β -CD monolayer considerably improved the intensity of the MALDI mass spectra signals. The incorporation of anilino-naphthalenesulfonates into the β -CD cavity was observable by impedance spectroscopy using the electroactive markers $[\text{Fe}(\text{CN})_6]^{3-}/[\text{Fe}(\text{CN})_6]^{4-}$.

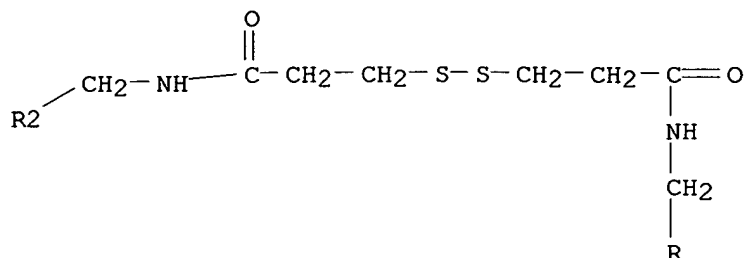
IT **181221-34-1P**, 3,3'-Dithiobis(propan-(N-mono-6-deoxy- β -cyclodextrin)amide)

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of monofunctionalized cyclodextrins forming self-assembled monolayers on gold and mass spectrometric characterization and impedance anal. of host-guest interaction)

RN 181221-34-1 CAPLUS

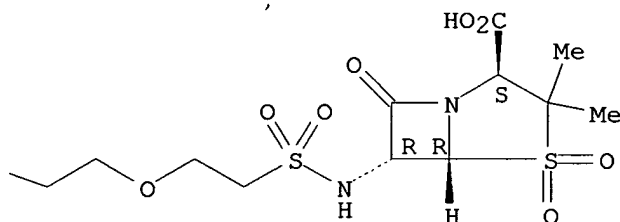
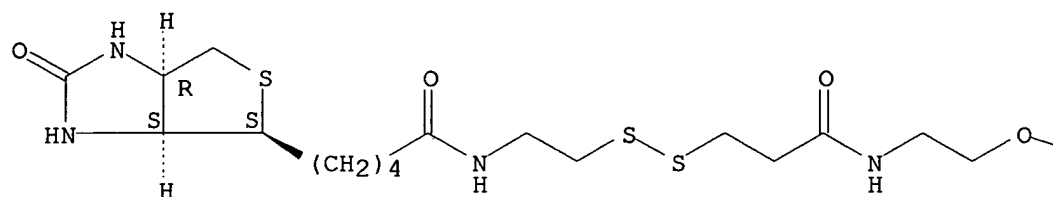
CN β -Cyclodextrin, 6A,6'A-[dithiobis[(1-oxo-3,1-propanediyl)imino]]bis[6A-deoxy- (9CI) (CA INDEX NAME)





L17 ANSWER 7 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1996:238832 CAPLUS
 DN 124:336497
 TI Design and synthesis of a bifunctional label for selection of
 β-lactamase displayed on filamentous bacteriophage by catalytic
 activity
 AU Marchand-Brynaert, Jacqueline; Bouchet, Michele; Touillaux, Roland;
 Beauve, Cecile; Fastrez, Jacques
 CS Lab. Chim. Org. Synthèse, Univ. Catholique Louvain, Louvain-la-Neuve,
 B-1348, Belg.
 SO Tetrahedron (1996), 52(15), 5591-606
 CODEN: TETRAB; ISSN: 0040-4020
 PB Elsevier
 DT Journal
 LA English
 AB A bifunctional activity label 1c has been constructed for the selection of
 active β-lactamases displayed on filamentous bacteriophage. It
 features an original 6-sulfonylamido-penam sulfone moiety, as
 β-lactamase suicide-inhibitor, and a biotinyl residue, for separation by
 affinity chromatog., connected through a **linker** including a
 cleavable disulfide bond. The inhibitor 28 resulted from coupling of
 methoxymethyl 6-aminopenicillinate 8 with N-protected
 (aminoethoxy)ethoxyethanesulfonyl chloride 23, followed by oxidation into the
 corresponding sulfone 25, and usual deprotections. The biotinyl ester 32
 reacted with 3-(2-aminoethyldithio)propanoic acid 31 as **linker**,
 to give 33 which was further activated as pentafluorophenol ester 34b.
 Final coupling of the building blocks 28 and 34b gave the target label 1c.
 IT **864935-12-6P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL
 (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (design and synthesis of a bifunctional label for selection of
 β-lactamase displayed on filamentous bacteriophage by catalytic
 activity)
 RN 864935-12-6 CAPLUS
 CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[22-[(3aS,4S,6aR)-
 hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-10,18-dioxo-3,6-dioxo-13,14-
 dithia-9,17-diazadocos-1-yl]sulfonyl]amino]-3,3-dimethyl-7-oxo-,
 4,4-dioxide, (2S,5R,6R)-, compd. with 4-ethylmorpholine (1:1) (9CI) (CA
 INDEX NAME)
 CM 1
 CRN 176657-09-3
 CMF C29 H48 N6 O12 S5

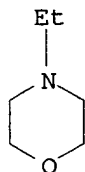
Absolute stereochemistry.



CM 2

CRN 100-74-3

CMF C6 H13 N O



IT 864936-78-7P

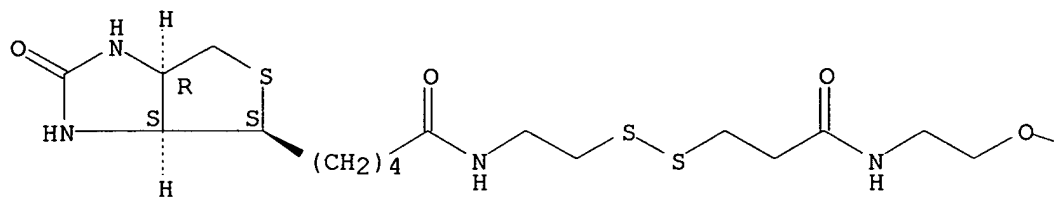
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(design and synthesis of a bifunctional label for selection of β -lactamase displayed on filamentous bacteriophage by catalytic activity)

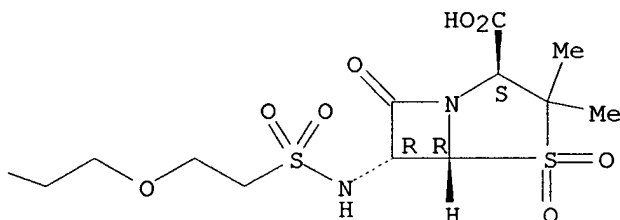
RN 864936-78-7 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[22-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-10,18-dioxo-3,6-dioxo-13,14-dithia-9,17-diazadocos-1-yl]sulfonyl]amino]-3,3-dimethyl-7-oxo-, 4,4-dioxide, monosodium salt, (2S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na



L17 ANSWER 8 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1995:173820 CAPLUS
 DN 122:7409
 TI Lipophilic multiple antigen peptide system for peptide immunogen and synthetic vaccine
 AU Huang, Wolin; Nardelli, Bernardetta; Tam, James P.
 CS Dep. Microbiol. Immunol., Vanderbilt Univ., Nashville, TN, 37232-2363, USA
 SO Molecular Immunology (1994), 31(15), 1191-9
 CODEN: MOIMD5; ISSN: 0161-5890
 PB Elsevier
 DT Journal
 LA English
 AB The development and structural requirements are described of a new lipophilic multiple antigen peptide (lipoMAP) system for immunogens that contains a built-in lipophilic adjuvant and has the ability to elicit cytotoxic T-lymphocytes (CTLs). In addition to the peptide antigens of choice at the amino terminus, the basic lipoMAP design consists of three components: a tetravalent sym. core matrix containing two levels of branching β -alanyl-lysine as a building unit, a hydrophilic Ser-Ser dipeptide **linker**, and at the carboxyl terminus, palmitoyl lysines (PL) with alternating chirality. An 18-residue peptide from the third variable region in the gp120 or HIV-1 was used as antigen in eight models for a structure-function study. Alternating palmitoyl lysine (PL) was introduced as the lipid anchor and built-in adjuvant because D and L Lys (Pal) was found via mol. modeling to best mimic phosphatidylcholine and thus provide the most stable peptide antigens on the ordered lipid membranes. The requirements of the palmitoyl lysines and the L-Ser-L-Ser **linker** were crucial, since replacement with palmitoyl serines or L-Ser-D-Ser linkers led to a marked decrease in immune response. The stoichiometric ratio of PL vs. MAP was also important. Multiple antigen peptide (MAP) constructs without the lipophilic PLs, those that were underlipidated and contained one PL, or those that were overlipidated

containing four PLs, were ineffective. LipomAPS containing three palmitic acids

elicited significant humoral responses in oil-based emulsion and liposomes, but not in water or alum formulations. LipomAP containing only two PLs was found best to be incorporated in liposomes and elicited a significant immune response and cytotoxic T-lymphocytes (CTLs). These models were compared favorably with a precipitation using tripalmitoyl-S-glyceryl

cysteine (P3C) as the lipid anchor. A modular synthesis of MAP-P3C was developed that incorporated in liposomes and elicited a significant immune response and cytotoxic T-lymphocytes (CTLs). A modular synthesis of MAP-P3C was also developed that incorporated P3C as a pre-made unit containing a thiopyridine, which simplified the overall scheme and minimized oxidation during stepwise peptide synthesis.

IT **18598-59-9**

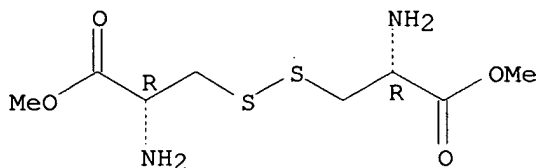
RL: RCT (Reactant); RACT (Reactant or reagent)

(in lipophilic multiple antigen peptide system preparation for peptide immunogen and synthetic vaccine for HIV-1 virus)

RN 18598-59-9 CAPLUS

CN L-Cystine, dimethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT **159222-21-6P**

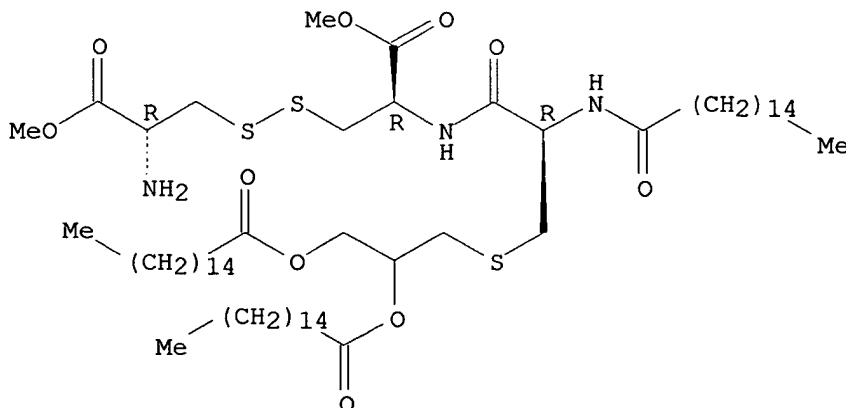
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(in lipophilic multiple antigen peptide system preparation for peptide immunogen and synthetic vaccine for HIV-1 virus)

RN 159222-21-6 CAPLUS

CN L-Cysteine, S-[2,3-bis[(1-oxohexadecyl)oxy]propyl]-N-(1-oxohexadecyl)-L-cysteinyl-, methyl ester, disulfide with L-cysteine methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 9 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1994:613038 CAPLUS

DN 121:213038

TI Crosslinkable derivatives of collagen, process for their preparation, and their use in the preparation of biomaterials for prostheses or other medical articles

IN Gagnieu, Christian

PA Flamel Technologies, S. A., Fr.

SO Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 575273	A1	19931222	EP 1993-420255	19930617
	EP 575273	B1	19971203		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
				FR 1992-7692	A 19920618
	FR 2692582	A1	19931224	FR 1992-7692	19920618
	FR 2692582	B1	19980918		
	US 5412076	A	19950502	US 1993-77605	19930617
				FR 1992-7692	A 19920618
	AT 160798	E	19971215	AT 1993-420255	19930617
				FR 1992-7692	A 19920618
	ES 2113511	T3	19980501	ES 1993-420255	19930617
				FR 1992-7692	A 19920618
	JP 06080935	A2	19940322	JP 1993-148108	19930618
				FR 1992-7692	A 19920618

AB Crosslinkable collagens are disclosed which are soluble in water and/or aprotic polar organic solvents; the collagens have a free or substituted thiol function on residues of cysteine or derivs. thereof (homocysteine, cysteamine, etc.), the residues being bonded to collagen at least in part via a **spacer** compd (e.g. a dicarboxylic acid). Preparation of the modified collagens is also provided. The modified collagens are useful for biomaterials for medical articles (prostheses, implants, etc.). Thus, a cysteaminy succinyl collagen was prepared using bovine atelocollagen types I and III and disuccinylcystamine. The product was used in the formulation of a gel and of a film. Ex vivo evaluation of tissue adhesion (with rabbit muscle tissue) using a product of the invention is also described.

IT **1069-29-ODP**, Cystine dimethyl ester, reaction products with succinyl atelocollagen **62686-51-5DP**, reaction products with atelocollagen **108725-86-6DP**, collagen reaction products

RL: PREP (Preparation)

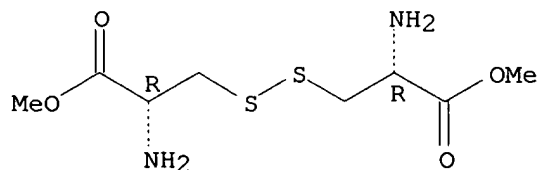
(preparation of, for crosslinkable collagen thiol derivative for biomaterial for

prosthetic or other medical article)

RN 1069-29-0 CAPLUS

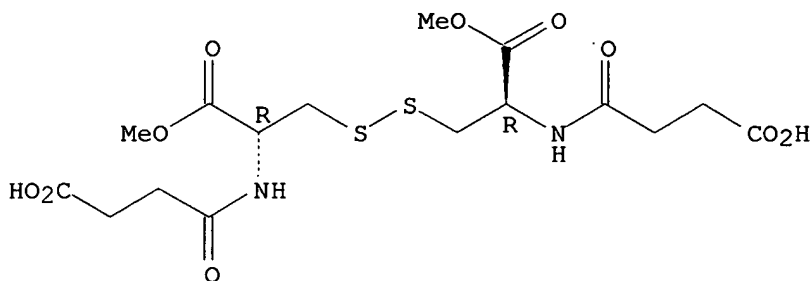
CN L-Cystine, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

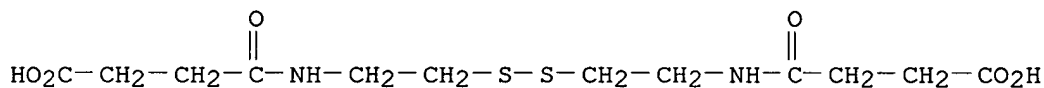


RN 62686-51-5 CAPLUS
 CN L-Cystine, N,N'-bis(3-carboxy-1-oxopropyl)-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

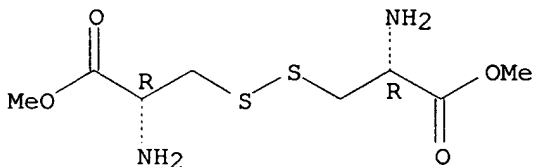


RN 108725-86-6 CAPLUS
 CN Butanoic acid, 4,4'-[dithiobis(2,1-ethanediyylimino)]bis[4-oxo- (9CI) (CA INDEX NAME)



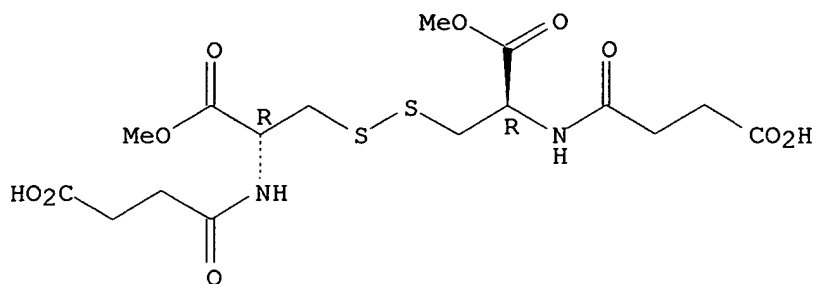
IT **1069-29-0**, Cystine dimethyl ester **62686-51-5**
108725-86-6
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, in crosslinkable collagen thiol derivative preparation for biomaterial for prosthetic or other medical article)
 RN 1069-29-0 CAPLUS
 CN L-Cystine, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



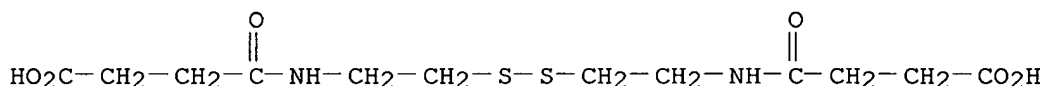
RN 62686-51-5 CAPLUS
 CN L-Cystine, N,N'-bis(3-carboxy-1-oxopropyl)-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 108725-86-6 CAPLUS

CN Butanoic acid, 4,4'-[dithiobis(2,1-ethanediylimino)]bis[4-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 10 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1994:476845 CAPLUS

DN 121:76845

TI Selection of β -lactamase on filamentous bacteriophage by catalytic activity

AU Soumillion, patrice; Jespers, Laurent; Bouchet, Michele;

Marchand-Brynaert, Jacqueline; Winter, Greg; Fastrez, Jacques

CS Lab. Biochim. Phys., Univ. Catholique Louvain, Louvain-la-Neuve, B1348, Belg.

SO Journal of Molecular Biology (1994), 237(4), 415-22
CODEN: JMOBAK; ISSN: 0022-2836

DT Journal

LA English

AB Recently the display of repertoires of peptides and proteins on the surface of filamentous phage, and selection of the phage by binding to a ligand, has allowed the isolation of peptides and proteins with rare binding activities. Furthermore, phages displaying enzymes (phage enzymes) have been selected by affinity of binding to inhibitors. In this report, a suicide inhibitor was used to show that phage enzymes can also be selected by their catalytic activity. Two phage enzymes were constructed by fusion to the minor coat protein of the phage (g3p), displaying either an active β -lactamase or a catalytically inactive mutant in which the essential serine of the active site was mutated to alanine. The phages were then incubated with a β -lactamase suicide inhibitor connected by a **spacer** to a biotin moiety. The active (but not the inactive) phages were labeled, and the active phages selected from mixts. with inactive phages by binding and elution from streptavidin-coated beads. The selection ratio for active vs. inactive phages (.apprx.10 on elution of the phages by reduction of an S-S bond in the **spacer** between the warhead and biotin) could be improved to .apprx.50 on elution by proteolytic cleavage of β -lactamase from g3p at an intervening factor X site. Selection of phage-enzymes by catalysis may provide a means of creating new enzymes and refining their catalytic properties.

IT 149636-46-4

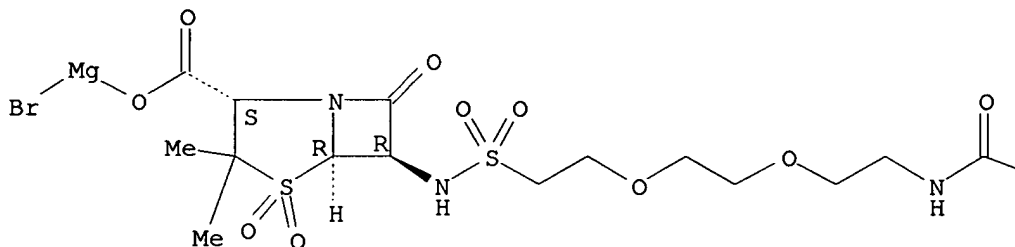
RL: BIOL (Biological study)

(β -lactamase selection on filamentous bacteriophage with, based on

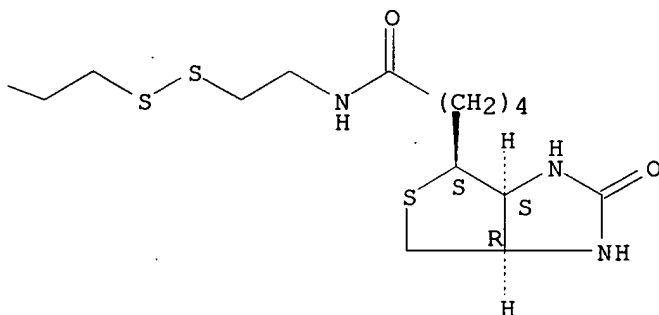
catalytic activity)
 RN 149636-46-4 CAPLUS
 CN Magnesium, bromo[6-[[[22-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-10,18-dioxo-3,6-dioxo-13,14-dithia-9,17-diazadocos-1-yl]sulfonyl]amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid 4,4-dioxidato-O2]-, [2S-[2 α ,5 α ,6 β (3aR*,4R*,6aS*)]]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L17 ANSWER 11 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1994:236521 CAPLUS
 DN 120:236521
 TI Synthesis and bioactivity of monobiotinylated DALDA: a mu-specific opioid peptide designed for targeted brain delivery
 AU Bickel, Ulrich; Yamada, Shizuo; Pardridge, William M.
 CS Sch. Med., Univ. California, Los Angeles, CA, USA
 SO Journal of Pharmacology and Experimental Therapeutics (1994), 268(2), 791-6
 CODEN: JPETAB; ISSN: 0022-3565
 DT Journal
 LA English
 AB Delivery through the blood-brain barrier of opioid peptide-based therapeutic agents may be achieved with the use of conjugation of avidin and blood-brain barrier transport vectors. However, this drug delivery strategy requires that the peptide is monobiotinylated and that the

peptide is biol. active after cleavage of a disulfide **linker** and peptide release from the avidin-vector conjugate. Whether these criteria may be successfully fulfilled was examined in the present studies. The highly μ -receptor-specific dermorphin analog, Tyr-D-Arg-Phe-Lys-NH₂ (DALDA), was selectively monobiotinylated at the ϵ -NH₂ group of Lys4 with the cleavable biotin **linker**, sulfosuccinimidyl-2-(biotinamidoethyl) 1,3'-dithiopropionate to obtain biotinylated DALDA (bio-DALDA). The N-terminal α -NH₂ group of the peptide was protected during biotinylation with the N-9-fluorenylmethoxycarbonyl group. Cleavage of the disulfide bridge yielded the desbiotinylated derivative, desbio-DALDA. The identity of these peptides was verified by secondary ion mass spectrometry. In receptor binding assays with 3H-Tyr-D-Ala-Gly-Phe-(N-Me)-Gly-ol, the K_is of DALDA, bio-DALDA and desbio-DALDA for μ -opioid receptors were determined to be 2.3, 6.5, and 4.0 nM, resp. Binding of bio-DALDA to avidin resulted in a K_i of 14.5 nM. The i.c.v. administration of DALDA and desbio-DALDA induced potent and long-lasting analgesia in the rat tail-flick assay. It was found that 1 μ g of DALDA was equipotent to 3 μ g of desbio-DALDA and 20 μ g of morphine. The analgesic effect could be blocked by naloxone pretreatment. In conclusion, these studies described methods for the preparation of a biol. active monobiotinylated μ -opioid receptor-specific ligand and demonstrated the advantages of using cleavable biotinylation of opioid peptides because the affinity of desbio-DALDA for the receptor approximated the affinity of DALDA and had a 3-4-fold higher affinity than did the bio-DALDA-avidin complex.

IT **154331-31-4**

RL: FORM (Formation, nonpreparative)

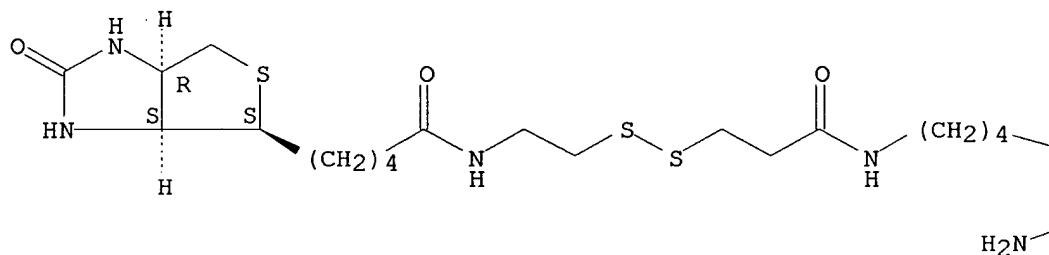
(formation of, as μ -opioid receptor ligand for brain delivery)

RN 154331-31-4 CAPLUS

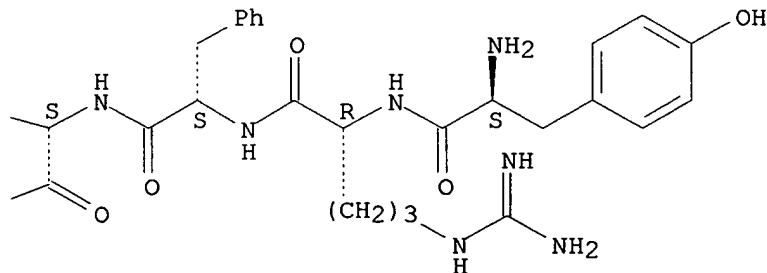
CN L-Lysinamide, L-tyrosyl-D-arginyl-L-phenylalanyl-N6-[3-[[2-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]ethyl]dithio]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L17 ANSWER 12 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1994:185953 CAPLUS

DN 120:185953

TI Electron-transfer communication in glutathione reductase assemblies: electrocatalytic, photocatalytic, and catalytic systems for the reduction of oxidized glutathione

AU Willner, Itamar; Lapidot, Noa; Riklin, Azalia; Kasher, Ron; Zahavy, Eran; Katz, Eugenio

CS Institute of Chemistry, Hebrew University of Jerusalem, Jerusalem, 91904, Israel

SO Journal of the American Chemical Society (1994), 116(4), 1428-41
CODEN: JACSAT; ISSN: 0002-7863

DT Journal

LA English

AB Glutathione reductase, GR, is elec. communicated with its environment in electrochem., photochem., and chemical assemblies. Electron-transfer communication between the protein redox site and its surroundings is achieved either by covalent attachment of electron relays to the protein or by using redox copolymers as electron mediators. GR is covalently attached to self-assembled monolayers of the N-hydroxysuccinimide ester of cysteic acid formed by chemisorption of the resp. disulfide, 1, onto Au electrodes. The resulting GR monolayer electrode is derivatized by N-methyl-N'-(carboxyalkyl)-4,4'-bipyridinium (2) in the presence of urea. The relay-modified GR electrode exhibits elec. communication that leads to bioelectrocatalyzed reduction of oxidized glutathione, GSSG, to GSH upon application of a neg. potential, $E = -0.65$ V vs SCE on the electrode. The rate of GSH formation is enhanced as the chain length linking the bipyridinium groups to the protein is increased. This enhancement in GSH formation is attributed to improved elec. communication with the enzyme active site. Photosensitized reduction of GSSG is achieved in a photosystem composed of Ru(II) tris(bipyridine), Ru(bpy) $^{3+}$, the protein glutathione reductase that is chemical derivatized by N,N'-bis(carboxyethyl)-4,4'-bipyridinium (3), PAV+-GR, and EDTA as sacrificial electron donor. The formation of GSH in the photosystem is controlled by the electron-transfer quenching rate of the excited state. The electron relay units linked to the protein act in the system as quenchers of the excited state and as electron mediators for electron transport to the protein active site. PAV+-GR was immobilized in the cross-linked redox copolymer, 8, composed of N-methyl-N'-(3-acrylamidopropyl)-4,4'-bipyridinium (4) and acrylamide. The resulting protein-copolymer assembly affects the efficient photoinduced reduction of GSSG in the presence of Ru(bpy) $^{3+}$ as photosensitizer and EDTA as sacrificial electron donor. In this system, vectorial electron transfer from the excited state to the protein redox site proceeds across the polymer backbone and the protein shell. Photosensitized reduction of GSSG by native GR has also been accomplished by using N-methyl-N'-(carboxyalkyl)-4,4'-bipyridinium poly(L-lysine), PL-CnV $^{2+}$ (9), as electron relay, Ru(bpy) $^{3+}$ as photosensitizer, and EDTA as electron donor. The rate of GSH formation is controlled by the tether length linking the redox units to the polymer backbone. Time-resolved laser flash photolysis expts. reveal that the rate of electron transfer from the reduced polymer, PL-CnV $^{\bullet+}$, to the enzyme redox site are controlled by the length of the tethers linking the redox units to the polymer. With long chains, the electron mediator penetrates the protein backbone and attains short distances in respect to the protein redox center, resulting in enhanced electron transfer. The rate consts. for electron transfer from a series of redox polymers of varying **spacer** lengths to the protein redox center obey Marcus theory. Reduction of GSSG to GSH is also achieved by PAV+-GR using a Pt colloid and gaseous hydrogen as reducing agent. In this system, Pt catalyzes the reduction of protein-bound bipyridinium units by H $_2$. The reduced electron

relay, PAV●-GR, mediates the electron transport to the protein active center, where reduction of GSSG occurs.

IT 27025-41-8, Oxidized glutathione

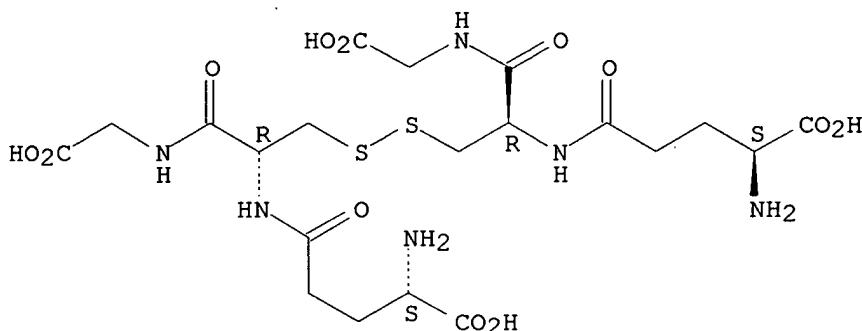
RL: RCT (Reactant); RACT (Reactant or reagent)

(reduction of, by glutathione reductase, electrocatalytic and photocatalytic and catalytic systems for)

RN 27025-41-8 CAPLUS

CN Glycine, L-γ-glutamyl-L-cysteinyl-, bimol. (2→2')-disulfide
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 13 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1993:576895 CAPLUS

DN 119:176895

TI Scanning tunneling microscopic imaging of electrostatically immobilized nucleic acids. The influence of self-assembled monolayer structure on the binding of plasmid DNA to gold surfaces

AU Bottomley, Lawrence A.; Jones, Jeffrey A.; Ding, Youzhen; Allison, David P.; Thundat, Thomas; Warmack, R. J.

CS Georgia Inst. Technol., Sch. Chem. Biochem., Atlanta, GA, 30332-0400, USA

SO Proceedings of SPIE-The International Society for Optical Engineering (1993), 1891(Proceedings of Advances in DNA Sequencing Technology, 1993), 48-55

CODEN: PSISDG; ISSN: 0277-786X

DT Journal

LA English

AB Alkanethiols self-assemble into monolayers on gold surfaces. It has been shown that gold surfaces derivatized with two-carbon, bifunctional alkanethiols differentially adsorb DNA. Gold surfaces modified with either 2-(N,N-dimethylamino)ethanethiol or 2-aminoethanethiol immobilize DNA at solution pH's where the amino end groups are protonated. The cationic layer holds the DNA in place by ion-pairing with the neg.-charged phosphate groups on the DNA backbone. This ion-pairing is sufficiently strong to resist changes in the DNA's location and conformation induced by the scanning tunneling microscope (STM) tip. With these chemical modified surfaces, the reliable and reproducible imaging of DNA is possible. When the length of the alkane **spacer** is increased to eleven carbons, the observed affinities for radiolabeled DNA are comparable to that observed

for

the two carbon **spacer**. However, clearly resolved STM images of DNA immobilized on 11-(N,N'-dimethylamino)-undecanethiol-modified gold have not been obtainable. It is hypothesized that images of immobilized DNA are not observed because of the interaction of the scanning probe with the self-assembled alkanethiol monolayer.

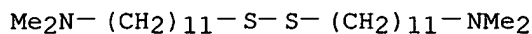
IT 150302-53-7

RL: ANST (Analytical study)

(gold surface modified with, DNA immobilized on, imaging of, scanning tunneling microscopy in relation to)

RN 150302-53-7 CAPLUS

CN 1-Undecanamine, 11,11'-dithiobis[N,N-dimethyl- (9CI) (CA INDEX NAME)



L17 ANSWER 14 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1993:186550 CAPLUS

DN 118:186550

TI The pro region of BPTI facilitates folding

AU Weissman, Jonathan S.; Kim, Peter S.

CS Howard Hughes Med. Inst., Cambridge, MA, 02142, USA

SO Cell (Cambridge, MA, United States) (1992), 71(5), 841-51

CODEN: CELLB5; ISSN: 0092-8674

DT Journal

LA English

AB The in vitro folding pathway of bovine pancreatic basic trypsin inhibitor (BPTI) was described previously in terms of the disulfide-bonded intermediates that accumulate during folding of the protein. The folding is slow, occurring in hours at pH 7.3, 25°. In addition, approx. half of the BPTI mols. become trapped as a dead-end, native-like intermediate. In vivo, BPTI is synthesized as a precursor protein that includes a 13-residue N-terminal pro region. This pro region contains a cysteine residue. In vitro, both the rate of formation and the yield of properly folded BPTI are increased substantially in a recombinant model of pro-BPTI. The cysteine residue is necessary for this effect. Moreover, a single cysteine residue, tethered to the C-terminal end of BPTI with a flexible **linker** of repeating Ser-Gly-Gly residues, is sufficient to assist in disulfide formation. Thus, the pro region appears to facilitate folding by providing a tethered, solvent-accessible, intramol. thiol-disulfide reagent.

IT 27025-41-8, GSSG

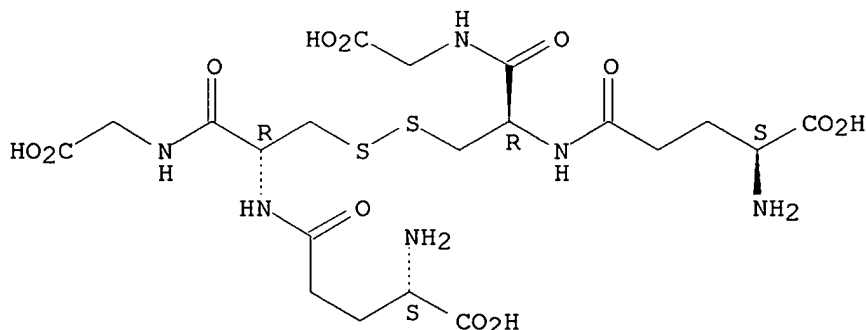
RL: BIOL (Biological study)

(formation of mixed disulfide of, with cysteine in pro-pancreatic basic trypsin inhibitor pro region, protein folding in relation to)

RN 27025-41-8 CAPLUS

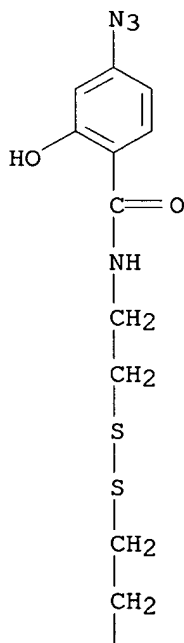
CN Glycine, L-γ-glutamyl-L-cysteinyl-, bimol. (2→2')-disulfide (9CI) (CA INDEX NAME)

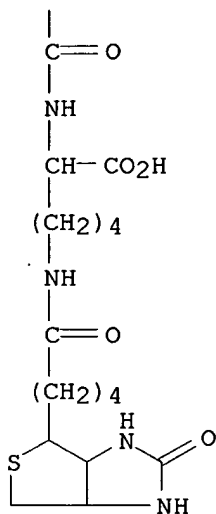
Absolute stereochemistry.



L17 ANSWER 15 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1993:183656 CAPLUS
 DN 118:183656
 TI Design and synthesis of heterofunctional V1a-selective vasopressin
 receptor ligands with lysine at position 9
 AU Howl, J.; New, D. C.; Wheatley, M.
 CS Sch. Biochem., Univ. Birmingham, Edgbaston/Birmingham, B15 2TT, UK
 SO Journal of Molecular Endocrinology (1992), 9(2), 123-9
 CODEN: JMLEEI; ISSN: 0952-5041
 DT Journal
 LA English
 AB A peptide analog of AVP with Lys substituted for Gly at position 9
 ([d(CH2)5Tyr(Me)2LysNH29]AVP; ALVP) has been synthesized as a precursor
 for the production of heterofunctional vasopressin receptor ligands. Three
 heterofunctional ligands have been prepared by attaching biotin and a
 photoreactive cross-linker capable of iodination
 (azidosalicylate), either alone or in combination, to the ε-amino
 group of Lys at position 9 in ALVP. The binding characteristics of these
 novel ligands have been determined at the V1a and V2 vasopressin receptors by
 employing membrane preps. of rat liver and kidney, resp. All of the
 analogs synthesized during the course of this study bound selectively, and
 with high affinity, to the V1a vasopressin receptor subtype. The results
 demonstrate that the strategies described in this paper provide a
 convenient means of synthesizing heterofunctional vasopressin receptor
 ligands with preservation of subtype-specific, high-affinity binding
 characteristics. These parameters establish the potential value of the
 analogs as probes for investigating V1a receptor structure and function.
 IT **147023-69-6P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and reaction of, with N-terminal lysine-substituted AVP analog)
 RN 147023-69-6 CAPLUS
 CN L-Lysine, N2-[3-[[2-[(4-azido-2-hydroxybenzoyl)amino]ethyl]dithio]-1-
 oxopropyl]-N6-[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1-
 oxopentyl]-, [3aS-(3α,4β,6α)]- (9CI) (CA INDEX NAME)

PAGE 1-A

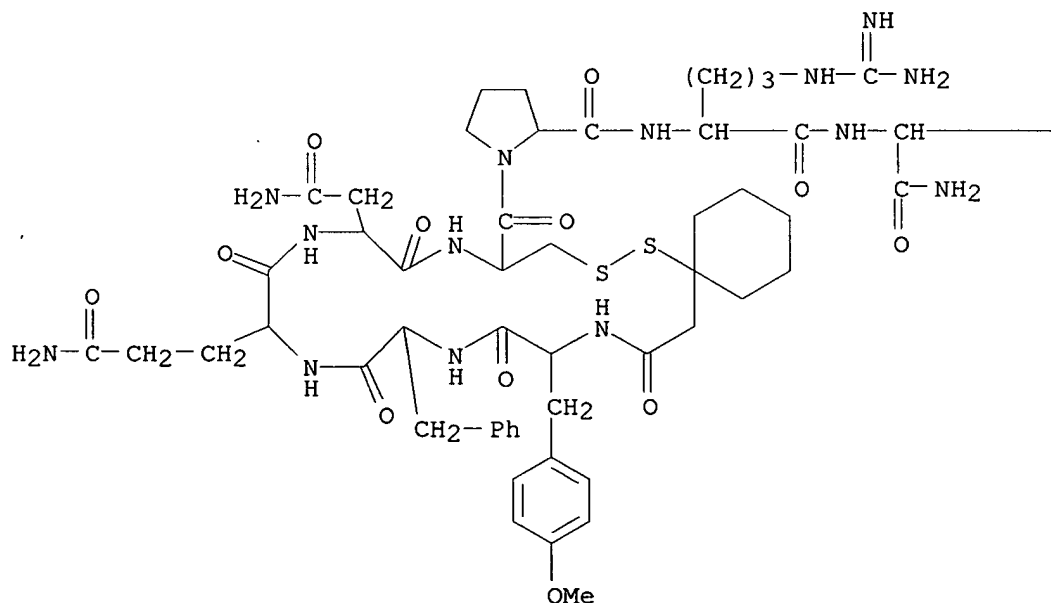


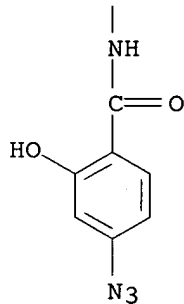
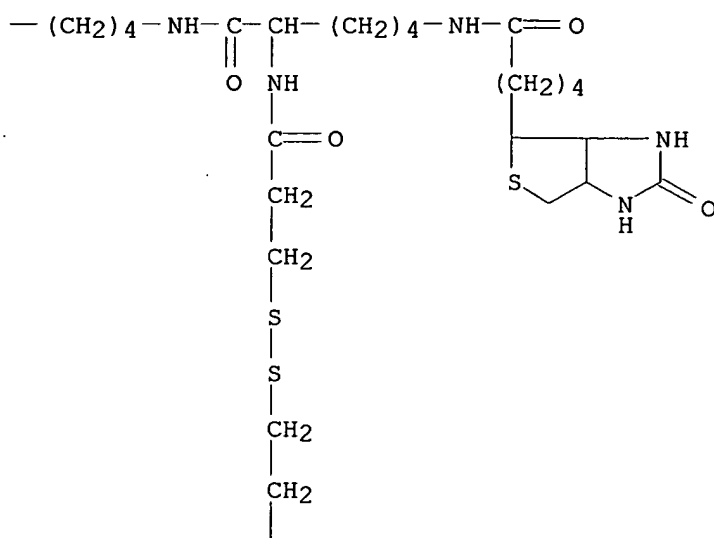
IT **147041-32-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as vasopressinergic V1a receptor ligand)

RN 147041-32-5 CAPLUS

CN L-Lysinamide, N-[(1-mercaptocyclohexyl)acetyl]-O-methyl-L-tyrosyl-L-phenylalanyl-L-glutaminyl-L-asparaginyl-L-cysteinyl-L-prolyl-L-arginyl-N6-[N2-[3-[[2-[(4-azido-2-hydroxybenzoyl)amino]ethyl]dithio]-1-oxopropyl]-N6-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-L-lysyl]-, cyclic (1→5)-disulfide (9CI) (CA INDEX NAME)





L17 ANSWER 16 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1993:117549 CAPLUS
 DN 118:117549
 TI Bradykinin antagonists
 IN Cheronis, John C.; Blodgett, James K.; Whalley, Eric T.; Eubanks, Shadrach
 R.; Allen, Lisa Gay; Nguyen Khe Thanh
 PA Cortech, Inc., USA
 SO PCT Int. Appl., 108 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 5

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RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG

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AU 9218751	A1	19921102	AU 1992-18751		19920330
AU 660683	B2	19950706			
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			WO 1992-US2431	A	19920330
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US 5620958	A	19970415	US 1994-227184		19940413
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US 5635593	A	19970603	US 1995-440352		19950512
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			US 1992-859582	A1	19920327
			US 1994-227184	A1	19940413

PATENT FAMILY INFORMATION:

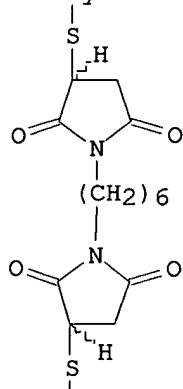
FAN 1994:549076

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	WO 9639425	A3	19970130			
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FAN	1998:785653					
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			WO 1996-US8923	W 19960604
TW 407159	B	20001001	TW 1996-85106740	19960605
			US 1995-465672	A 19950605
			US 1996-647160	A 19960521
OS	MARPAT 118:117549			
GI				

DArg-Arg-Pro-Hyp-Gly-Phe-Cys-DPhe-Leu-Arg



DArg-Arg-Pro-Hyp-Gly-Phe-Cys-DPhe-Leu-Arg

AB Bradykinin antagonists are modified for increased potency and/or duration of action. The modification is done by joining a bradykinin (BK1) receptor antagonist with a BK2 antagonist or (μ -)opioid receptor agonist or a neuropeptide receptor antagonist through a **linker**, such as a bis-succinimidoalkane. CP-0127 (I) was prepared by dimerized the monomer peptide CP-0126 in bismaleimido-hexane. I (9 nmol/kg/min; i.v.) totally inhibited in the rat the blood pressure response to bradykinin (4

+ 10⁻⁹ mol), whereas the parent peptide showed little activity.

IT **140661-98-9P**

RL: PREP (Preparation)

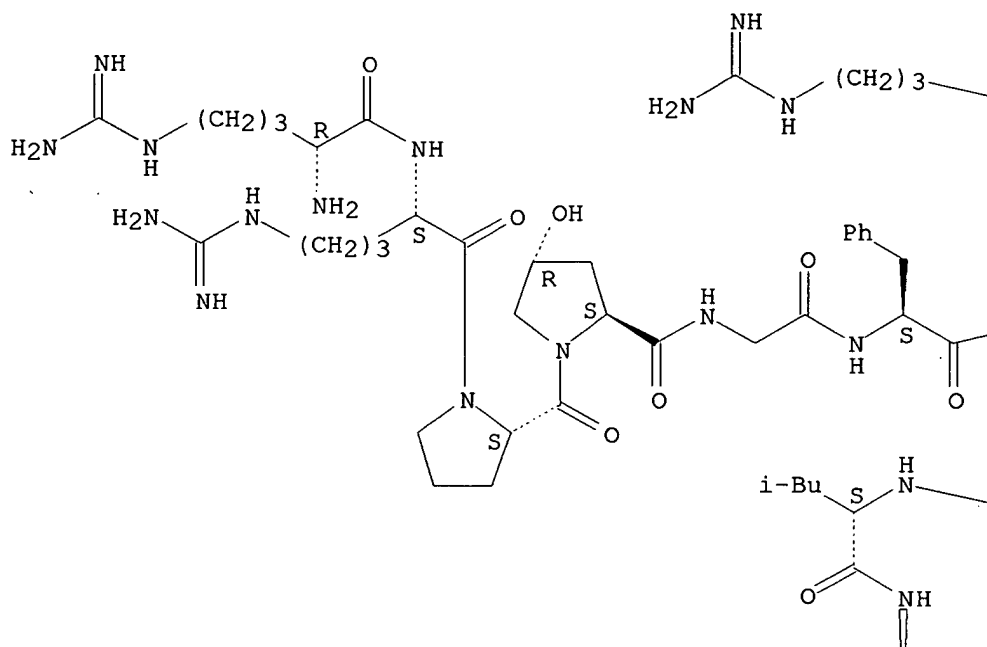
(preparation of, as bradykinin antagonists)

RN 140661-98-9 CAPLUS

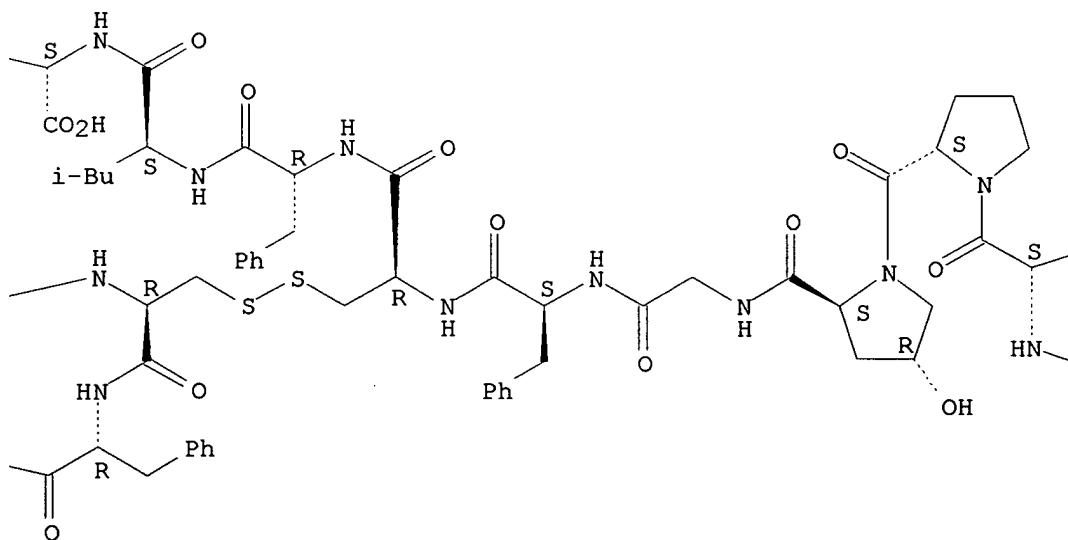
CN L-Arginine, D-arginyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-phenylalanyl-L-cysteinyl-D-phenylalanyl-L-leucyl-, bimol.
(7→7')-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

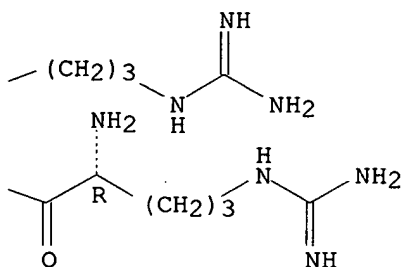
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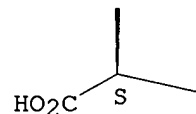
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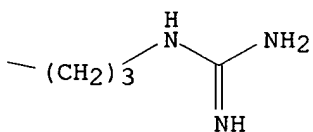
PAGE 1-C



PAGE 2-A



PAGE 2-B



L17 ANSWER 17 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1992:586043 CAPLUS
DN 117:186043
TI Terminal derivatization of nucleic acids for non-isotopic labelling
IN Barstow, David Andrew; Garman, Andrew John; Parker, John Rushington
PA Imperial Chemical Industries PLC, UK
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2

DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9200989	A1	19920123	WO 1991-GB1112	19910708
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE

GB 1990-15135 A 19900710

GB 1990-18371 A 19900821

AB A nucleotide carrying a reactive group in a primer-directed DNA formation reaction is used to introduce the group into a probe for non-radioactive labeling of a nucleic acid probe, e.g. by conjugation with an enzyme. The nucleotide may have the reactive group on a suitable **spacer** arm and the reactive group is conjugated with a readily cleaved protective group. A probe for the cystic fibrosis gene containing the nucleotide dUTP-21-SS-biotin was prepared by polymerase chain reaction. The disulfide bond was cleaved with dithiothreitol to leave an active thiol that was conjugated with alkaline phosphatase. This probe could detect the cystic fibrosis gene in 10 pg of human DNA.

IT **143934-11-6**

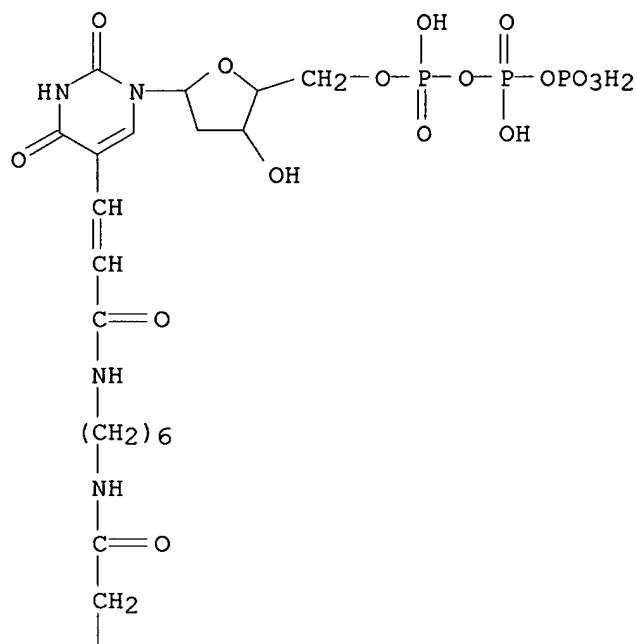
RL: USES (Uses)

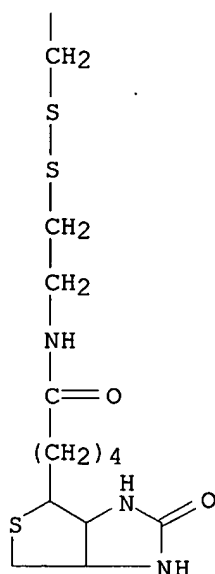
(incorporation into oligonucleotides and deprotection of, for preparation of enzyme-conjugated oligonucleotide probes)

RN 143934-11-6 CAPLUS

CN Uridine 5'-(tetrahydrogen triphosphate), 2'-deoxy-5-[3-[[6-[[3-[[2-[[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1-oxopentyl]amino]ethyl]dithio]-1-oxopropyl]amino]hexyl]amino]-3-oxo-1-propenyl]-, [3aS-(3a α ,4 β ,6a α)]- (9CI) (CA INDEX NAME)

PAGE 1-A





L17 ANSWER 18 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1992:214884 CAPLUS

DN 116:214884

TI A new class of bradykinin antagonists: synthesis and in vitro activity of bis-succinimidoalkane peptide dimers

AU Cheronis, John C.; Whalley, Eric T.; Nguyen, Khe T.; Eubanks, Shad R.; Allen, Lisa G.; Duggan, Matthew J.; Loy, Sharon D.; Bonham, Kathryn A.; Blodgett, James K.

CS Cortech, Inc., Denver, CO, 80221, USA

SO Journal of Medicinal Chemistry (1992), 35(9), 1563-72
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

AB A systematic study on the dimerization of the bradykinin (BK) antagonist H-D-Arg0-Arg1-Pro2-Hyp3-Gly4-Phe5-Ser6-D-Phe7-Leu8-Arg9-OH has been performed. The first part of this study involved compds. wherein dimerization was carried out by sequentially replacing each amino acid with cysteine and crosslinking with bismaleimidoalkane. The second part of this study utilized a series of bis-succinimidoalkane dimers wherein the intervening methylene chain was varied systematically from n = 2-12 while the point of dimerization was held constant at position 6. The biol. activities of these dimers were then evaluated on BK-induced smooth muscle contraction in two different isolated tissue preps.: guinea pig ileum (GPI) and rat uterus (RU). Several of the dimeric BK antagonists displayed remarkable activities and long durations of action. In addition, dimerization at position 4, 7, 8, or 9 produced dimeric analogs with markedly reduced potency. Rank order of antagonist potency as a function of dimerization position is as follows: RU, 6 > 5 > 0 > 2 > 1 > 3 » 4, 7, 8, 9; GPI, 6 > 5 > 3 > 2 > 1 > 0 » 4, 7, 8, 9. Evaluation of the **linker** length as represented by the number of methylene units indicated an optimal distance between the two monomeric peptides of 6-8 methylene moieties. These studies also revealed that the carbon-chain length significantly affected the duration of action in vitro and displayed partial agonism effects when n > 8. The optimum activity in vitro was achieved with dimerization at position 6 and n = 6 (CP-0127). Similar effects in potency were also seen when the monomeric antagonist H-D-Arg0-Arg1-Pro2-Hyp3-Gly4-Phe5-Ser6-D-Phe7-Phe8-Arg9-OH (NPC-567) was

dimerized using similar chemical. These results suggest that the development of BK antagonists of significant therapeutic potential may be possible using a dimerization strategy that can overcome the heretofore limiting problems of potency and in vivo duration of action found with many of the BK antagonists in the literature.

IT **140661-98-9P**

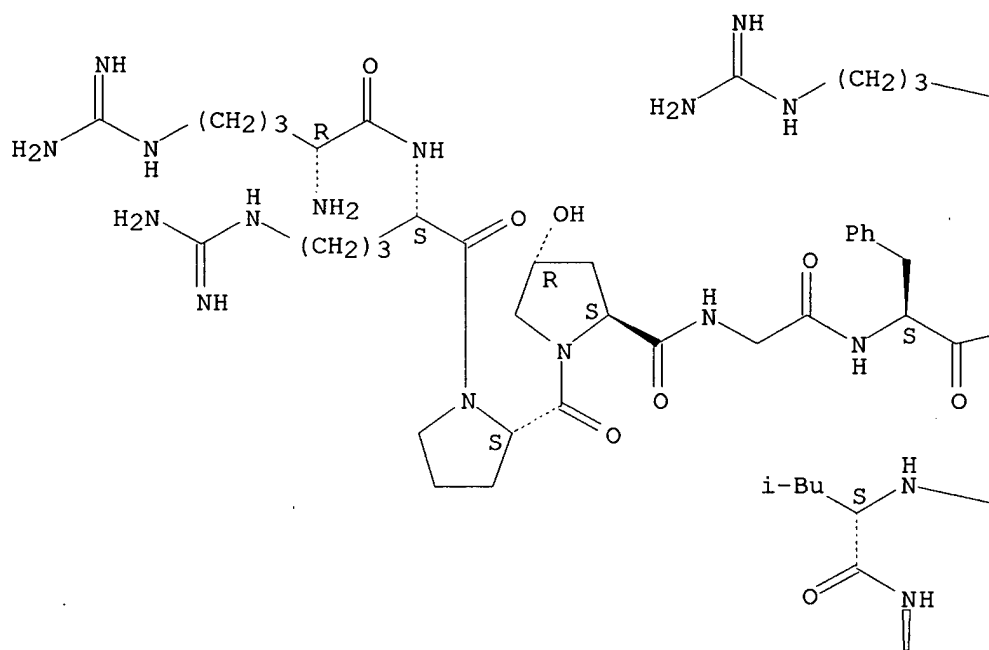
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and bradykinin antagonistic activity of)

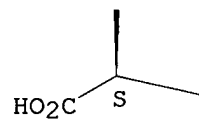
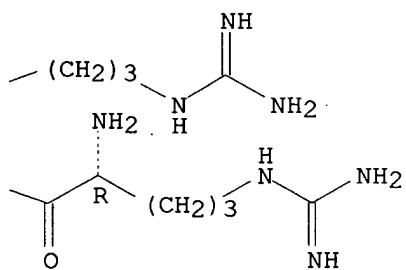
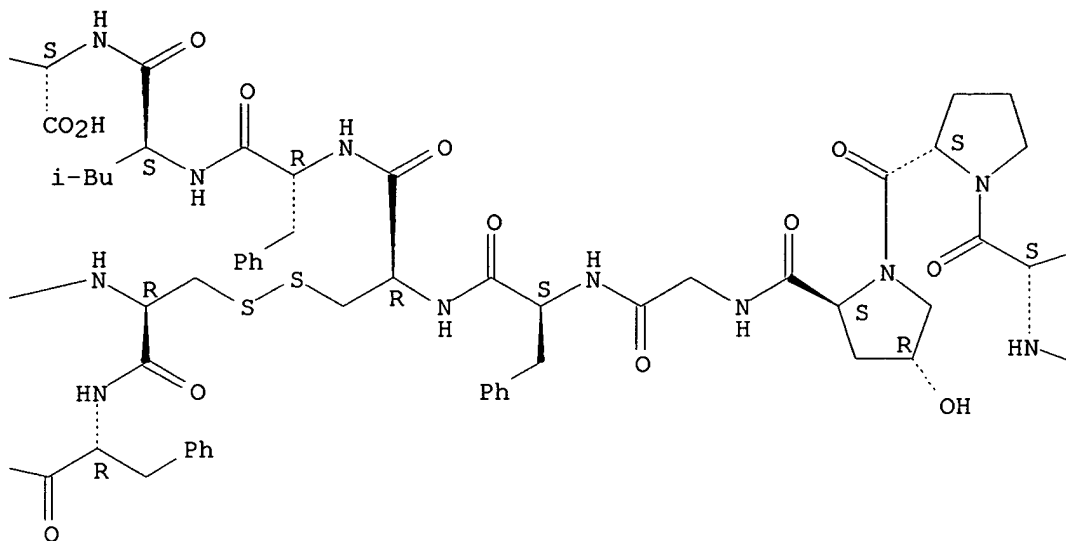
RN 140661-98-9 CAPLUS

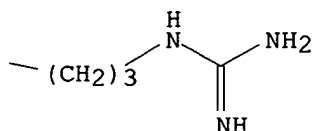
CN L-Arginine, D-arginyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-phenylalanyl-L-cysteinyl-D-phenylalanyl-L-leucyl-, bimol.
(7→7')-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

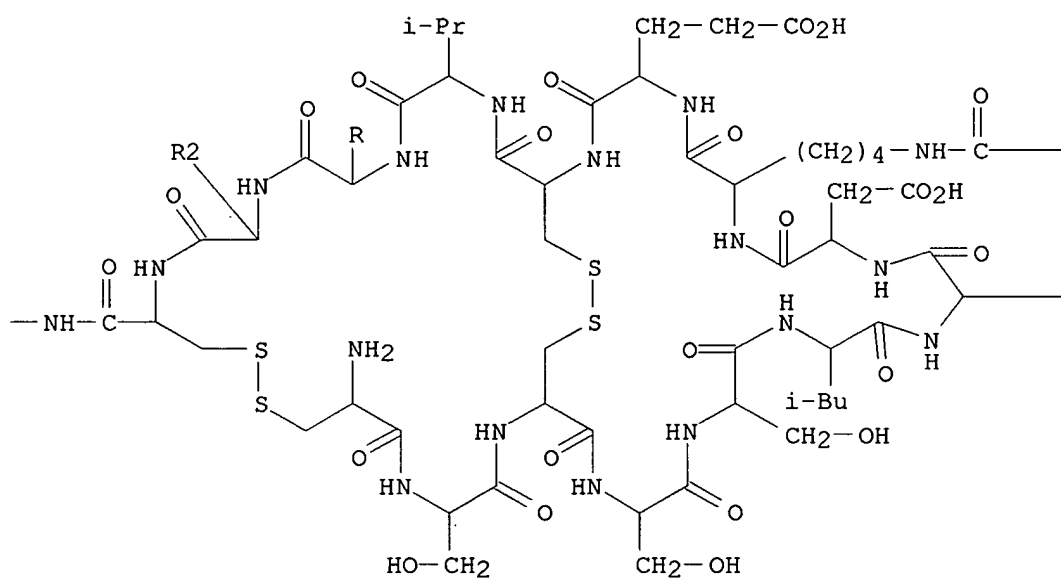
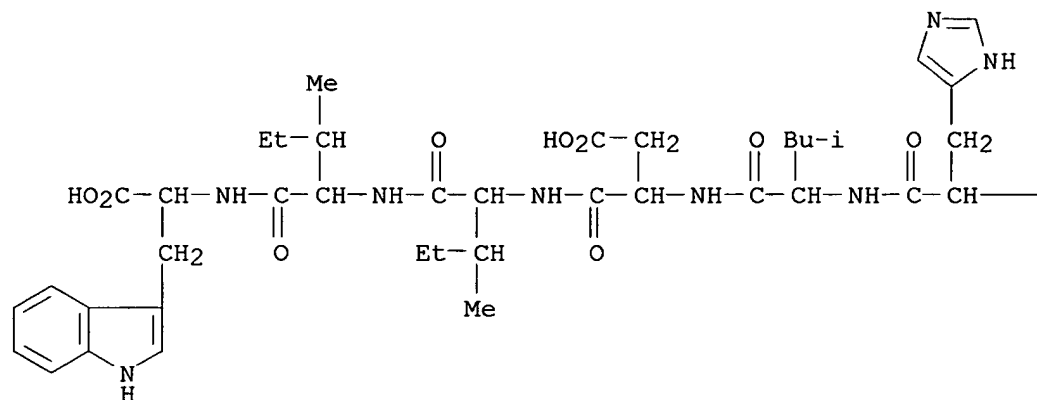
PAGE 1-A

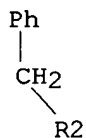
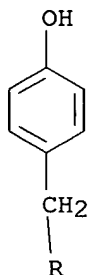
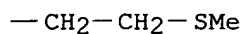
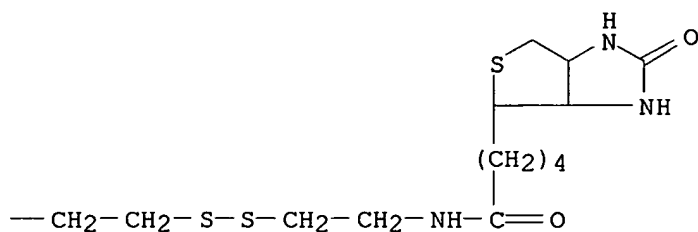






L17 ANSWER 19 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1992:121060 CAPLUS
 DN 116:121060
 TI Evaluation of endothelin receptor populations using endothelin-1
 biotinylated at lysine-9 sidechain
 AU Magazine, Harold I.; Andersen, Thomas T.; Goligorsky, Michael S.; Malik,
 Asrar B.
 CS Dep. Biochem., Albany Med. Coll., Albany, NY, USA
 SO Biochemical and Biophysical Research Communications (1991), 181(3),
 1245-50
 CODEN: BBRCA9; ISSN: 0006-291X
 DT Journal
 LA English
 AB Optimal conditions for biotinylation of endothelin-1 (Et-1) were determined
 using biotinylating reagents of variable **linker** arm length and
 mono- vs dual-biotinylated Et-1. Specific modification of lysine-9
 sidechain with NHS-LC-biotin (Et-1[BtK9]) produced a derivative with maximal
 binding and retention of vascular smooth muscle contractile activity. The
 Et-1[BtK9] probe bound to Chinese hamster ovary cells transfected with EtA
 receptor cDNA (CHO[EtR]), but not to untransfected cells. Binding to rat
 vascular smooth muscle cells (VSMC) was detectable at 0.01 nM with maximal
 binding at 1 nM. Displacement of 1 nM Et-1[BtK9] binding by Et-1
 indicated an IC50 value of 6 nM. Et-1 displaced Et-1[BtK9] binding to
 VSMC and CHO[EtR] to a greater extent than did endothelin-3, indicating
 predominant expression of EtA receptor subtype. Thus, biotinylation of
 Et-1 at the lysine-9 sidechain may be of general use for localization and
 typing of Et-receptor populations.
 IT **139418-57-8P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as endothelin receptor type A probe)
 RN 139418-57-8 CAPLUS
 CN Endothelin 1 (swine), 9-[N6-[3-[[2-[[5-(hexahydro-2-oxo-1H-thieno[3,4-
 d]imidazol-4-yl)-1-oxopentyl]amino]ethyl]dithio]-1-oxopropyl]-L-lysine]-,
 [3aS-(3aα,4β,6aα)]- (9CI) (CA INDEX NAME)





L17 ANSWER 20 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1991:578374 CAPLUS
 DN 115:178374
 TI Biotin derivatives of methotrexate and folate. Synthesis and utilization for affinity purification of two membrane-associated folate transporters from L1210 cells
 AU Fan, Jianguo; Vitols, Karin S.; Huennekens, F. M.
 CS Dep. Mol. Exp. Med., Res. Inst. Scripps Clin., La Jolla, CA, 92037, USA
 SO Journal of Biological Chemistry (1991), 266(23), 14862-5
 CODEN: JBCHA3; ISSN: 0021-9258
 DT Journal
 LA English
 AB Biotin derivs. of methotrexate and folate (2-(biotinamido)ethyl-1,3'-dithiopropionyl-diaminopentyl methotrexate and/or folate), in which COOH groups of the functional components are joined by a SS-containing **spacer**, were synthesized, purified by DEAE-Trisacryl chromatog., and characterized by HPLC and mass spectrometry. These bifunctional, dissociable probes were utilized for the single-step purification to homogeneity of 2 folate transport proteins (43 and 39 kDa) from L1210 cells. Treatment of the 39-kDa protein with peptide N-glycosidase F

produced a smaller component (32 kDa); the 43-kDa protein, conversely, was unchanged by this procedure. When the 39-kDa transporter in intact cells was labeled with a fluorescein derivative of folate and then treated with phosphoinositol-specific phospholipase C, complete loss of fluorescence was observed. Alternatively, there was no change in fluorescence when the 43-kDa transporter was labeled with a fluorescein derivative of methotrexate and treated with the enzyme. These results indicate that the 43-kDa transporter is a nonglycosylated, integral membrane protein, whereas the 39-kDa counterpart is heavily glycosylated and anchored exofacially to the membrane by a glycosylphosphatidylinositol component.

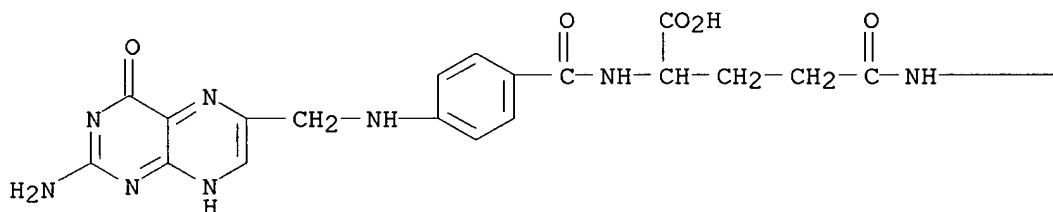
IT 136672-66-7P 136696-08-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)

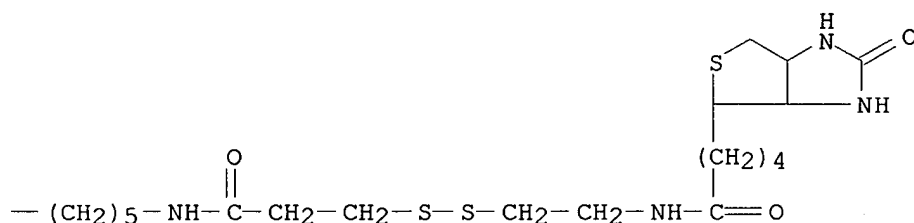
RN 136672-66-7 CAPLUS

CN 9,10-Dithia-6,14,20-triazapentacosan-25-oic acid, 24-[[4-[[[(2-amino-1,4-dihydro-4-oxo-6-pteridiny]methyl]amino]benzoyl]amino]-1-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-5,13,21-trioxo-, [3aS-[3α,4β(R*),6α]]- (9CI) (CA INDEX NAME)

PAGE 1-A

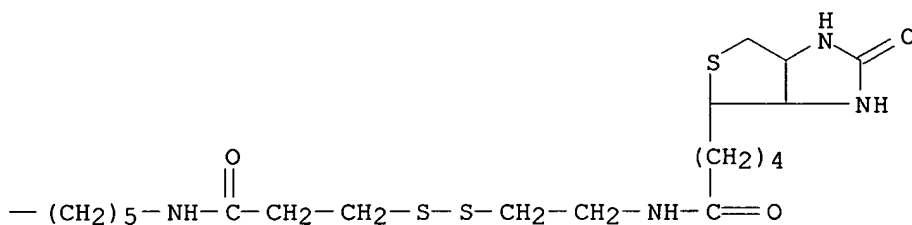
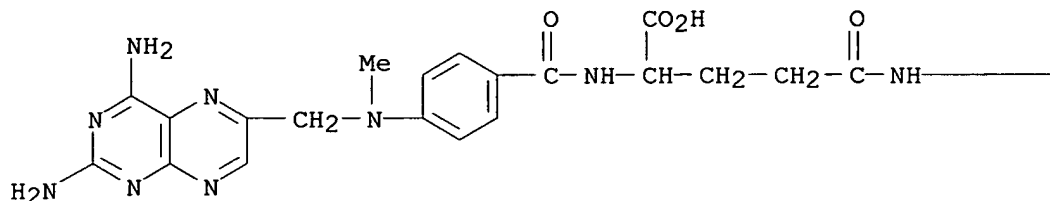


PAGE 1-B



RN 136696-08-7 CAPLUS

CN 9,10-Dithia-6,14,20-triazapentacosan-25-oic acid, 24-[[4-[[[(2,4-diamino-6-pteridiny]methyl]methylamino]benzoyl]amino]-1-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-5,13,21-trioxo-, [3aS-[3α,4β(R*),6α]]- (9CI) (CA INDEX NAME)



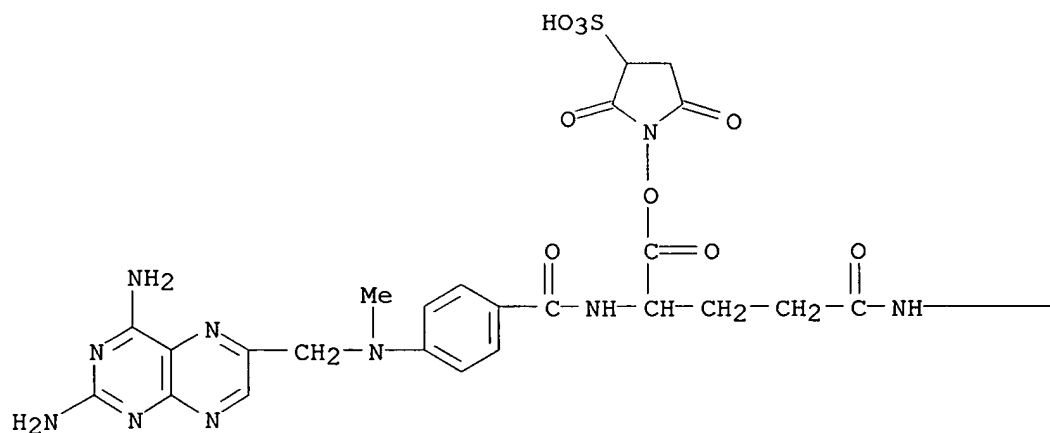
IT **136696-12-3P 136696-13-4P**

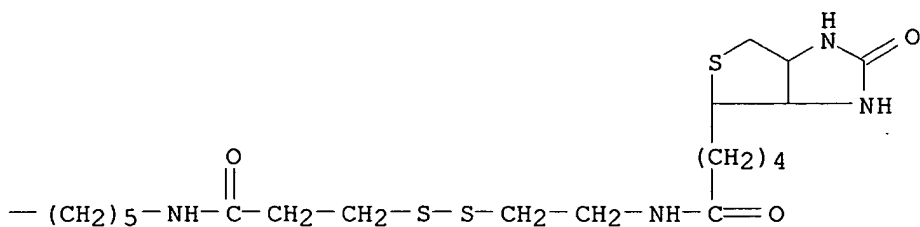
RL: PREP (Preparation)

(preparation of, for affinity purification of membrane-associated folate transporters)

RN 136696-12-3 CAPLUS

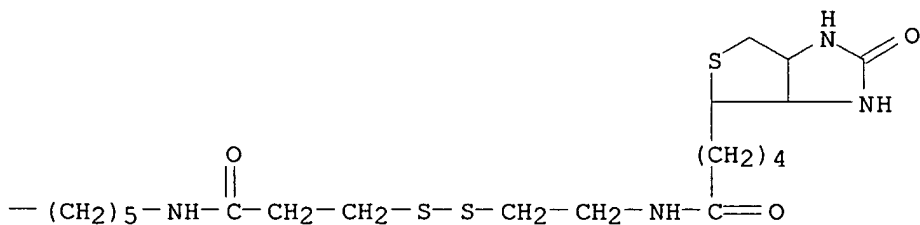
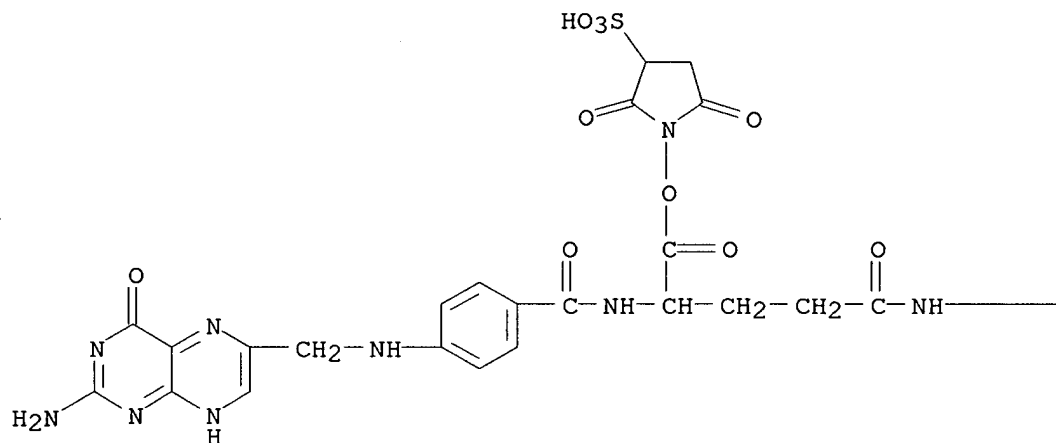
CN 3-Pyrrolidinesulfonic acid, 1-[[2-[[4-[[2,4-diamino-6-pteridiny]methyl]methylamino]benzoyl]amino]-25-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1,5,13,21-tetraoxo-16,17-dithia-6,12,20-triazapentacos-1-yl]oxy]-2,5-dioxo- (9CI) (CA INDEX NAME)





RN 136696-13-4 CAPLUS

CN 3-Pyrrolidinesulfonic acid, 1-[[2-[[4-[[2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl]amino]benzoyl]amino]-25-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1,5,13,21-tetraoxo-16,17-dithia-6,12,20-triazapentacos-1-yl]oxy]-2,5-dioxo- (9CI) (CA INDEX NAME)



L17 ANSWER 21 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1991:425565 CAPLUS

DN 115:25565

TI Preparation of site-specific heterobifunctional crosslinking reagents, their use, and kits containing them

IN Zara, Jane J.; Wood, Richard D.; Bredehorst, Reinhard; Vogel, Carl Wilhelm

PA Georgetown University, USA

SO PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9010621	A1	19900920	WO 1990-US1201	19900313
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
	US 5157123	A	19921020	US 1989-322214	A 19890313
	AU 9052747	A1	19901009	US 1989-322214	19890313
				AU 1990-52747	19900313
				US 1989-322214	A 19890313
				WO 1990-US1201	A 19900313

OS MARPAT 115:25565

AB The title crosslinking agents have the formula $XC(:O)CH(NH_2)YZ$ (X = carbonyl-reactive group; Y = variable-length spacer; Z = SH-reactive group) and are useful for the specific labeling of biomols. or biol. active mols. Thus, S-(2-thiopyridyl)-L-cysteine hydrazide-3HCl (I) (preparation given) was reacted with a human IgM antibody, and the derivatized antibody further reacted with cobra venom factor (CVF) derivatized with N-succinimidyl-3-(2-pyridyldithio)propionate (II). The amount of the IgM required to achieve 50% inhibition in a RIA [using an $(NH_4)_2SO_4$ precipitate of colon carcinoma WiDr cells as antigen] was 4.0 $\mu g/mL$ for unmodified IgM and 5.5 $\mu g/mL$ for IgM derivatized with I. The amount of IgM in the CVF-IgM conjugates required to achieve 50% inhibition was 6.0 $\mu g/mL$. When I was replaced by II in the preparation of the conjugate, <12% inhibition was achieved at 40 μg IgM/mL in the IgM-CVF conjugate. Kits employing the crosslinking agent of the invention are described.

IT 134555-15-0P 134555-16-1P

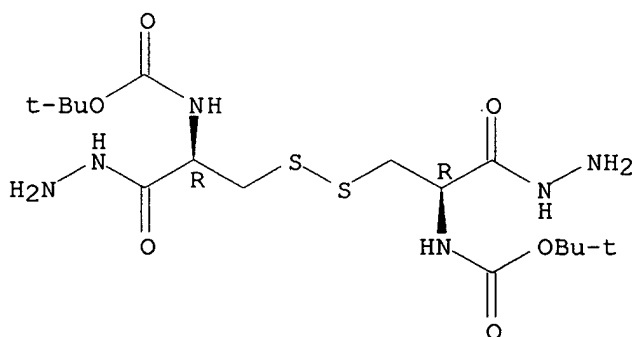
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in heterobifunctional site-specific crosslinking agent preparation)

RN 134555-15-0 CAPLUS

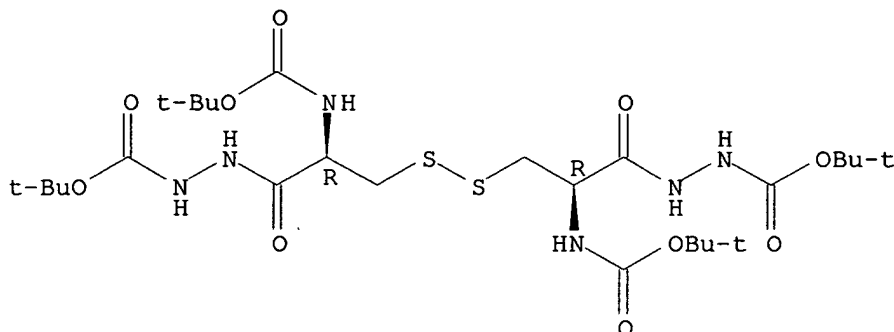
CN L-Cystine, N,N'-bis[(1,1-dimethylethoxy)carbonyl]-, dihydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



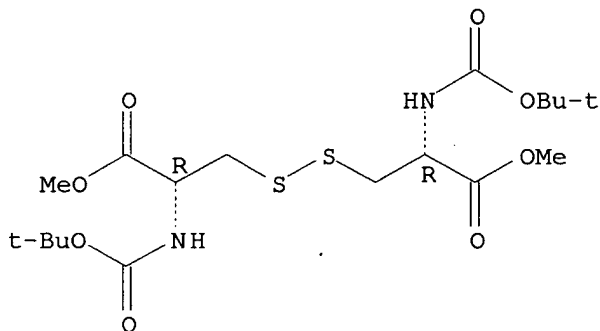
RN 134555-16-1 CAPLUS
 CN 15-Oxa-7,8-dithia-2,3,12,13-tetraazaheptadecanoic acid,
 5,10-bis[[(1,1-dimethylethoxy)carbonyl]amino]-16,16-dimethyl-4,11,14-
 trioxo-, 1,1-dimethylethyl ester, (5R,10R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 77826-55-2
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, in heterobifunctional site-specific crosslinking agent
 preparation)
 RN 77826-55-2 CAPLUS
 CN L-Cystine, N,N'-bis[(1,1-dimethylethoxy)carbonyl]-, dimethyl ester (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 22 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1990:548203 CAPLUS
 DN 113:148203
 TI Affinity chromatography purification of angiotensin II receptor using
 photoactivable biotinylated probes
 AU Marie, Jacky; Seyer, Rene; Lombard, Colette; Desarnaud, Franck; Aumelas,
 Andre; Jard, Serge; Bonnafous, Jean Claude
 CS Cent. Pharmacol. Endocrinol., CNRS, Montpellier, 34094, Fr.
 SO Biochemistry (1990), 29(38), 8943-50
 CODEN: BICHAW; ISSN: 0006-2960
 DT Journal
 LA English
 AB Biotinylated photoactivable probes were developed that are suitable for

covalent labeling of angiotensin II (AII) receptors and the subsequent purification of covalent complexes through immobilized avidin or streptavidin. One of these probes; biotin-NH(CH₂)₂SS(CH₂)₂CO-[Ala¹,Phe(4N₃)⁸]AII, which contains a cleavable disulfide bridge its **spacer** arm and which displays, in its radioiodinated form, very high affinity for AII receptors (K_d .apprx. 1 nM), was suitable for indirect affinity chromatog of rat liver receptor with facilitated recovery from avidin gels by use of reducing agents. This constituted the central step of an efficient partial purification scheme involving hydroxylapatite chromatog., streptavidin chromatog., and thiopropyl-Sepharose chromtog. SDS-PAGE anal. and autoradiog. established the identity of the purified entity (mol. weight 65,000) as the AII receptor. Possible ways of completing purification to homogeneity and extrapolation of the protocols to a preparative scale are discussed, as well as the potential contribution of these new probes to the study of the structural pros of angiotensin receptors.

IT 128359-05-7P

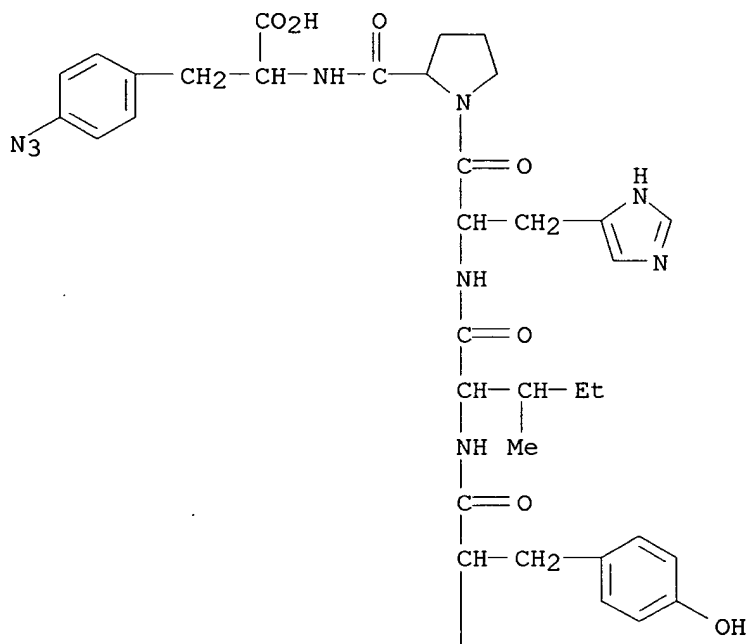
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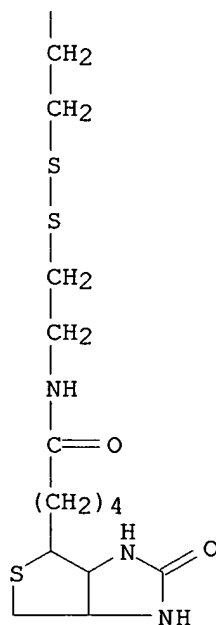
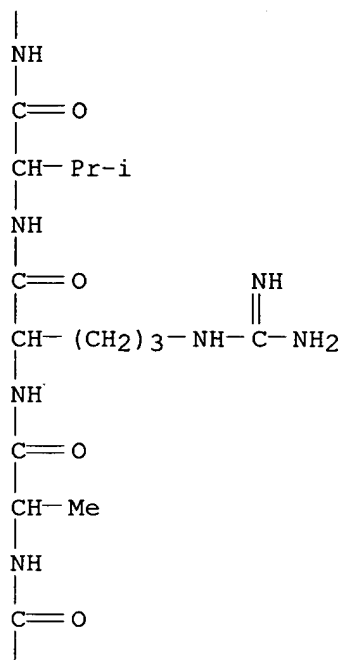
(preparation of)

RN 128359-05-7 CAPLUS

CN Angiotensin II, 1-[N-[3-[[2-[[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1-oxopentyl]amino]ethyl]dithio]-1-oxopropyl]-L-alanine]-5-L-isoleucine-8-(4-azido-L-phenylalanine)-, [3aS-(3aα,4β,6aα)]- (9CI) (CA INDEX NAME)

PAGE 1-A





IT 128359-06-8P

RL: PREP (Preparation)

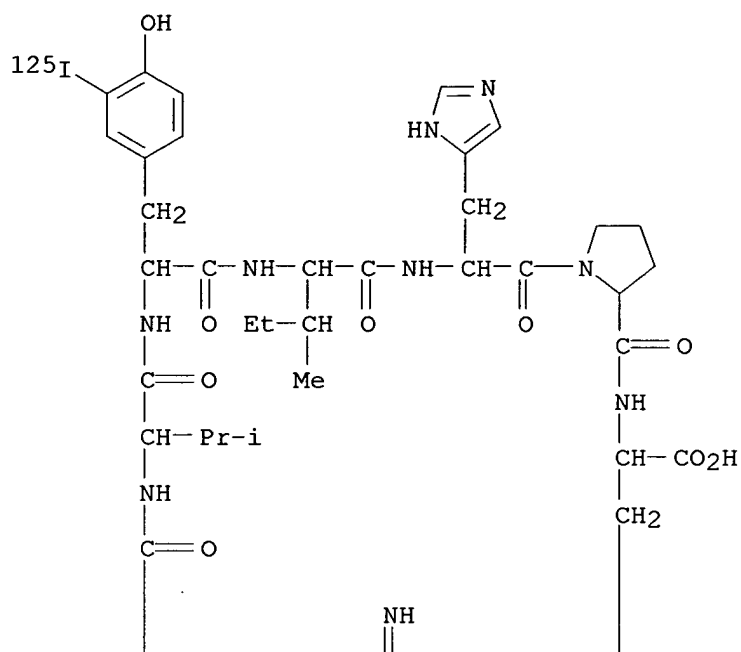
(preparation of, for affinity chromatog purification of angiotensin II receptor)

RN 128359-06-8 CAPLUS

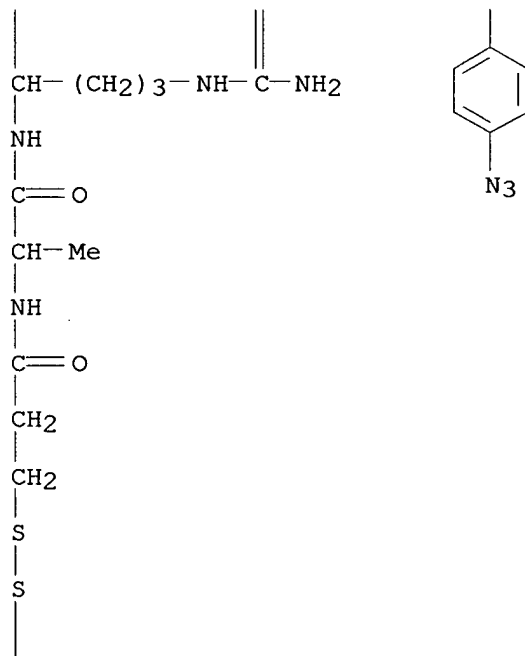
CN Angiotensin II, 1-[N-[3-[[2-[[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-

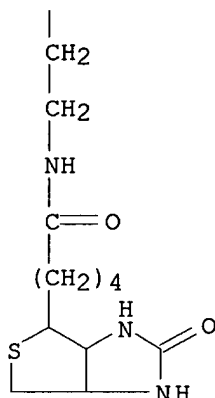
4-yl)-1-oxopentyl]amino]ethyl[dithio]-1-oxopropyl]-L-alanine]-4-[3-(iodo-
¹²⁵I)-L-tyrosine]-5-L-isoleucine-8-(4-azido-L-phenylalanine)-,
 [3aS-(3aα,4β,6α)]-(9CI) (CA INDEX NAME)

PAGE 1-A

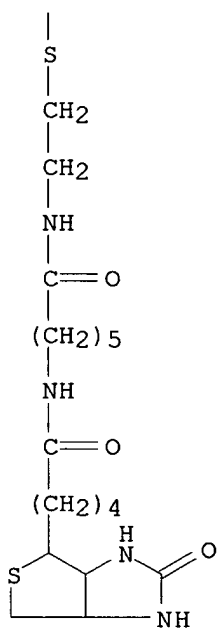
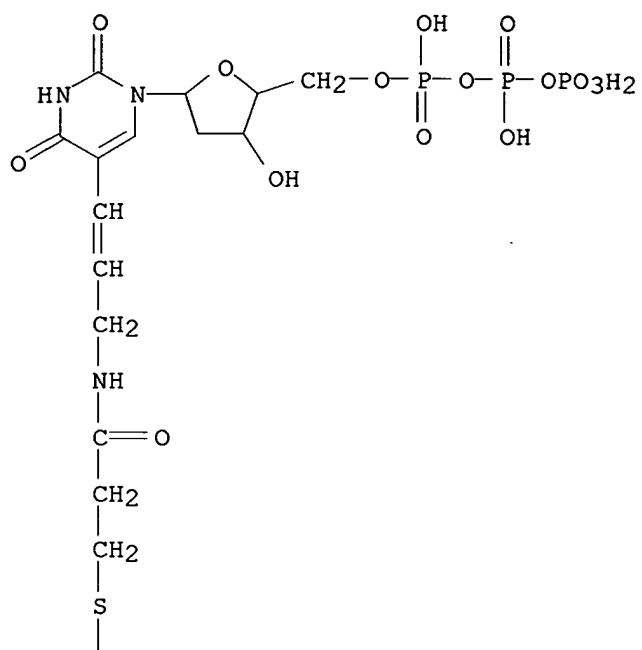


PAGE 2-A





L17 ANSWER 23 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1990:194687 CAPLUS
 DN 112:194687
 TI Affinity isolation of replicating simian virus 40 chromosomes
 AU Herman, Timothy M.
 CS Dep. Biochem., Med. Coll. Wisconsin, Milwaukee, WI, USA
 SO Methods in Enzymology (1989), 170(Nucleosomes), 41-52
 CODEN: MENZAU; ISSN: 0076-6879
 DT Journal
 LA English
 AB Replicating SV40 chromosomes represent a good model system for the investigation of eukaryotic DNA and chromatin replication. However, it has always been difficult to sep. replicating SV40 chromosomes from mature, nonreplicating chromosomes. Recently, it has become possible to isolate replicating SV40 chromosomes by an affinity chromatog. procedure utilizing the chemical cleavable biotinylated nucleotide Bio-19-SS-dUTP. Bio-19-SS-dUTP contains a chemical cleavable disulfide bond in the 19-atom **linker** arm joining biotin to the pyrimidine base uracil. This biotinylated nucleotide is first incorporated into replicating SV40 chromosomes during a brief pulse-label in vitro. The replicating SV40 chromosomes are then separated from the mature chromosomes by affinity chromatog. using streptavidin and biotin-cellulose. This affinity purification procedure is described. The methods involved are presented in three sections. First, synthesis of the chemical cleavable biotinylated nucleotide Bio-19-SS-dUTP is described. Second, the in vitro DNA replication reaction used to affinity-label replicating SV40 chromosomes is described. Third, procedures to affinity-isolate the replicating SV40 chromosomes are outlined.
 IT **104142-46-3**
 RL: ANST (Analytical study)
 (in isolation of replicating SV40 virus chromosomes)
 RN 104142-46-3 CAPLUS
 CN Uridine 5'-(tetrahydrogen triphosphate), 2'-deoxy-5-[24-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-5,13,20-trioxo-8,9-dithia-4,12,19-triazatetracos-1-en-1-yl]-, [3aS-(3a α ,4 β ,6a α)]- (9CI)
 (CA INDEX NAME)



L17 ANSWER 24 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1989:573734 CAPLUS

DN 111:173734

TI Preparation of bifunctional photolabile aryl diazonium compounds for use as receptor site markers and immobilizing agents

IN Goeldner, Maurice; Hirth, Christian Georges Etienne; Chatrenet, Benoit;
 Klotz, Philippe Bernard Etienne
 PA Centre National de la Recherche Scientifique, Fr.
 SO Fr. Demande, 25 pp.
 CODEN: FRXXBL
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2618429	A1	19890127	FR 1987-10557	19870724
	WO 8901160	A1	19890209	WO 1988-FR384	19880722
	W: JP, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
				FR 1987-10557	A 19870724

OS CASREACT 111:173734; MARPAT 111:173734

AB YArNR[C(:Z)]m(NR1)pEqX [I; Ar = (un)substituted 1,4-phenylene; E = **spacer**; R, R1 = H, C1-20 alkyl, aralkyl; X = (un)protected nucleophilic or electrophilic functional group; Y = N2+A-, N:NSO2R2; A- = anion; R2 = alkyl, (un)substituted aryl; Z = O, S; m, p, q = 0, 1], for conjugation with, e.g., receptor agonists and haptens and subsequent photogeneration of an aryl cation, were prepared Thus, 4-(Me3COCONH)C6H4NH2 (QNH2) was stirred 48 h with HCHO and Pd/C in EtOAc and the product stirred 12 with COCl2 in PhMe containing Et3N to give QNMeCOCl which was stirred 2 h with Cl- H3N+(CH2)5CO2CH2CH2Br in DMSO/CH2Cl2 containing Et3N to give QNMeCONH(CH2)5CO2CH2CH2Br. The latter was stirred 48 h with Me3N in Me2CO/PhMe to give, after deprotection and diazotization, CF3CO2-N2+C6H4NMeCONH(CH2)5CO2CH2CH2N+Me3 Br- which was incubated with an acetylcholine receptor from Torpedo marmorata and subsequently irradiated.

IT **123252-19-7P**

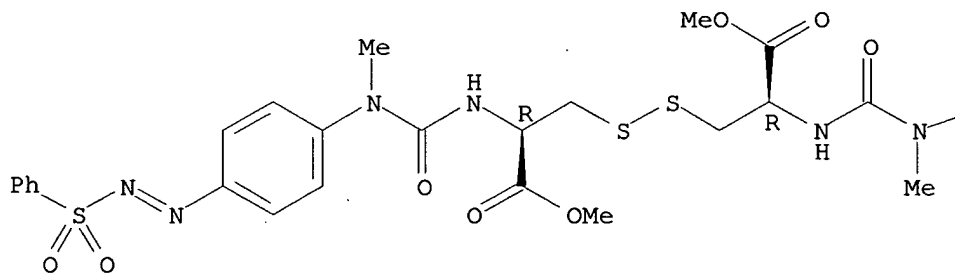
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as receptor site marker and immobilizing agent)

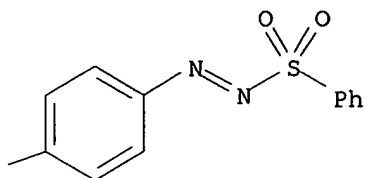
RN 123252-19-7 CAPLUS

CN L-Cystine, N,N'-bis[[methyl[4-[(phenylsulfonyl)azo]phenyl]amino]carbonyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.

PAGE 1-A





L17 ANSWER 25 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1989:556071 CAPLUS
 DN 111:156071
 TI Multipane window units
 PA PPG Industries, Inc., USA
 SO Jpn. Kokai Tokkyo Koho, 17 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 63252946	A2	19881020	JP 1988-73037	19880325
				US 1987-30012	A 19870325
	DK 8801615	A	19880926	DK 1988-1615	19880324
				US 1987-30012	A 19870325
	CN 88101561	A	19881005	CN 1988-101561	19880325
				US 1987-30012	A 19870325

AB The title units, with good durability, have spacing elements containing unplasticized polymers from polyisocyanates, active H compound and dehydrating agents and sealing elements containing similar polymers with lower moisture permeability. An isocyanate prepolymer was prepared from Desmodur W 4012.8 and polypropylene glycol 3907.20 g, and an isocyanate was prepared from this prepolymer 100, zeolite 3A 14.10, bentonite 3.75, and black dye 0.22 g. A polyol was prepared from polypropylene glycol 15.90, polyoxypropylene triol 15.90, Jeffamine D400 15.90, Jeffamine T5000 15.90, coupler A-1100 2.16, zeolite 3A 78.26, and a thickener 3.66 g, and a **spacer** element was prepared from a 94.65:55.35 mixture of these components. An isocyanate prepolymer was prepared from Mondur M 2566 and F45HT 5434 g, and an isocyanate was prepared from this prepolymer 417.45, mica 104.36, and black dye 5.22 g. A polyol was prepared from 150 g mixture of R45HT 2000, mica 1330, and coupler 22 g and 4.0 g thickener, and a sealing composition was prepared from a 27.78:72.72 mixture of these compns.

IT **122659-11-4**
 RL: USES (Uses)
 (**spacer** units, for multipane windows)

RN 122659-11-4 CAPLUS

CN Poly(oxymethyleneoxy-1,2-ethanediylldithio-1,2-ethanediyl),
 α -(2-mercaptoethyl)- ω -[(2-mercaptoethoxy)methoxy]-, polymer
 with Desmodur N 100 and Desmodur W (9CI) (CA INDEX NAME)

CM 1

CRN 79103-62-1
 CMF Unspecified
 CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

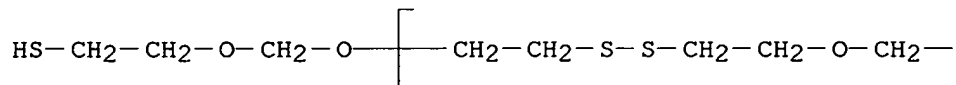
CRN 53200-31-0
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

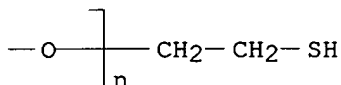
CM 3

CRN 31942-94-6
CMF (C5 H10 O2 S2)_n C5 H12 O2 S2
CCI PMS

PAGE 1-A



PAGE 1-B



L17 ANSWER 26 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1989:549774 CAPLUS
DN 111:149774
TI Affinity isolation of transcriptionally active murine erythroleukemia cell DNA using a cleavable biotinylated nucleotide analog
AU Dawson, Barbara A.; Herman, Tim; Lough, John
CS Dep. Anat., Med. Coll. Wisconsin, Milwaukee, WI, 53226, USA
SO Journal of Biological Chemistry (1989), 264(22), 12830-7
CODEN: JBCHA3; ISSN: 0021-9258
DT Journal
LA English
AB Active gene domains from murine erythroleukemia cell nuclei were obtained by a method based on the differential sensitivity of potentially active and inactive chromatin to DNase I. Nuclei isolated from potentially active noninduced cells and transcriptionally active induced MEL cells were treated with DNase I at concns. which did not digest the β -globin gene, followed by repair by using a typical nick translation reaction during which the cleavable biotinylated nucleotide analog 5-[N-biotinamido)hexanoamido-ethyl-1,3-dithiopropionyl-3-aminoallyl]-2'-deoxyuridine 5'-triphosphate (Bio-19-SS-dUTP), was inserted into DNA sequences. Following purification and digestion with EcoRI restriction endonuclease, biotinylated sequences were affinity isolated by sequential binding to streptavidin and biotin-cellulose. The streptavidin biotin-cellulose complex bound up to 80% of the nick-translated DNA, which comprised a small percent of the total nuclear DNA. Cleavage of the disulfide bond in the **linker** arm of the biotinylated nucleotide resulted in elution of virtually all of the affinity isolated sequences. Hybridization anal. of this fraction of DNA revealed up to a 16-fold enrichment for the active β -globin gene, as compared with DNA which did not bind to the biotin-cellulose. Conversely, the inactive α -fetoprotein gene was barely detectable in affinity isolated DNA from noninduced cells and was 2-fold depleted in samples from induced

cells.

IT **104142-46-3**

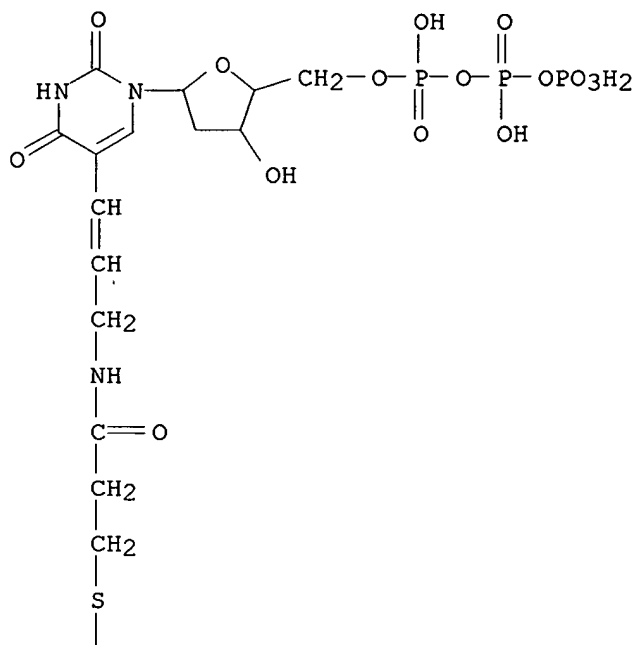
RL: ANST (Analytical study)

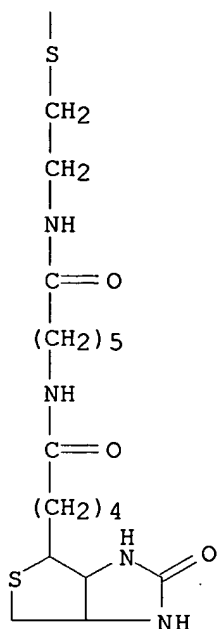
(cleavable, in transcriptionally active DNA separation by affinity chromatog.)

RN 104142-46-3 CAPLUS

CN Uridine 5'-(tetrahydrogen triphosphate), 2'-deoxy-5-[24-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-5,13,20-trioxo-8,9-dithia-4,12,19-triazatetracos-1-en-1-yl]-, [3aS-(3a α ,4 β ,6a α)]- (9CI)
(CA INDEX NAME)

PAGE 1-A





L17 ANSWER 27 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1989:511986 CAPLUS

DN 111:111986

TI Biotin-containing chemically cleavable nucleotides for isolating target macromolecules

IN Herman, Timothy M.

PA Medical College of Wisconsin, USA

SO U.S., 10 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	US 4772691	A	19880920	US 1985-742105	19850605
				US 1985-742105	19850605

OS MARPAT 111:111986

AB Biotinylated nucleotides having a chemical cleavable **linker** arm between a biotin and an organic basic group, e.g. disulfide bond-containing, are

useful in a method of isolating target macromols. from crude physiol. mixts. The biotinylated nucleotides are bound via their organic basic groups to macromols. having an affinity for the target macromols. and brought into contact with the target macromols. to form a biotinylated nucleotide-affinity macromol.-target macromol. complex. The complex thus obtained is brought into contact with immobilized avidin whereupon the biotin binds to the avidin. The complex and avidin are washed to remove undesired substances and then the chemical cleavable bond in the nucleotide is cleaved to obtain the affinity-macromol.-target macromol. complex from which the target macromol. can be obtained. 5-(3-Aminoallyl)deoxyuridine 5'-triphosphate 2.0 μ mol in 200 μ L 0.1 M Na borate (pH 8.5) was reacted with sulfosuccinimidyl 2-(biotinamido)ethyl-1,3'-dithiopropionate 2.0 μ mol at room temperature for 1-2 h. The resulting Bio-SS-dUTP was incorporated into nucleosome-length DNA fragments by nick-translation of the DNA in the presence of 10 μ M each of TTP and Bio-SS-dUTP. The

labeled DNA was added to a 10-fold excess of nonlabeled monomer nucleosomes, the nucleosomes were dissociated with 2.0 M NaCl into DNA and histone components, and the mixture was dialyzed in a step-wise fashion into buffer containing 50 mM NaCl. Reconstituted 11 S nucleosomes bound to avidin-agarose columns. The nucleosomes were recovered by elution with 500 mM dithiothreitol.

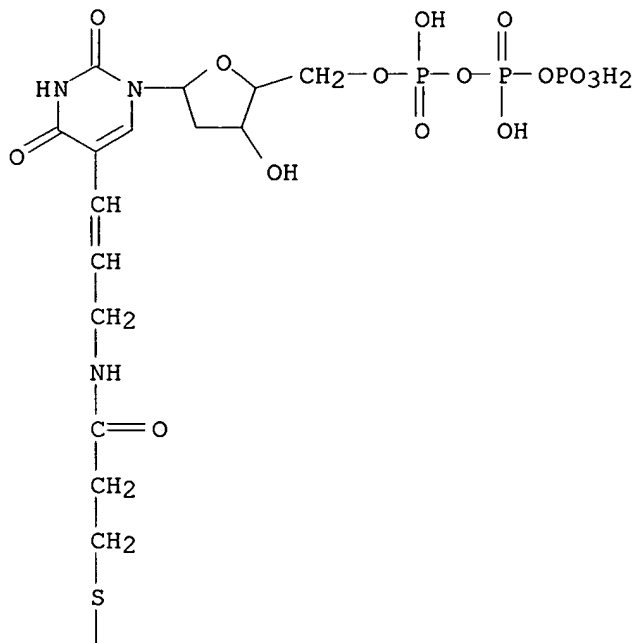
IT **104142-46-3**

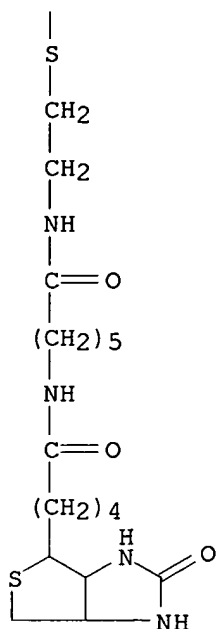
RL: ANST (Analytical study)
(for macromol. isolation)

RN 104142-46-3 CAPLUS

CN Uridine 5'-(tetrahydrogen triphosphate), 2'-deoxy-5-[24-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-5,13,20-trioxo-8,9-dithia-4,12,19-triazatetracos-1-en-1-yl]-, [3aS-(3a α ,4 β ,6a α)]- (9CI)
(CA INDEX NAME)

PAGE 1-A



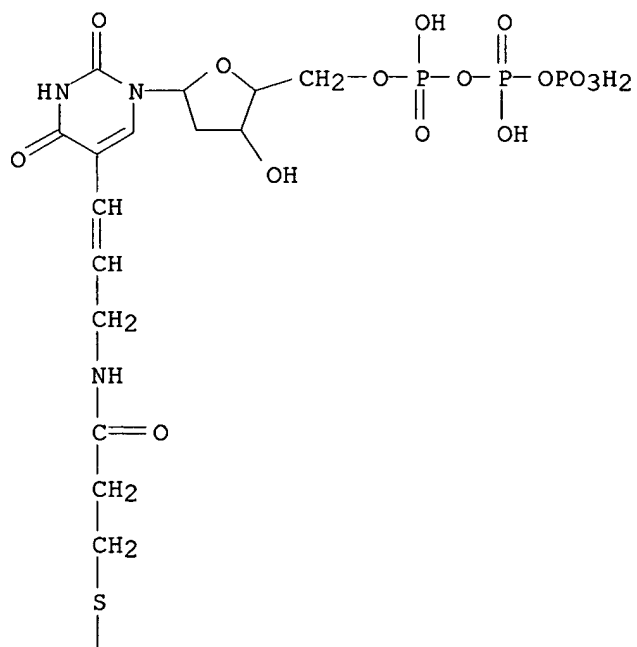


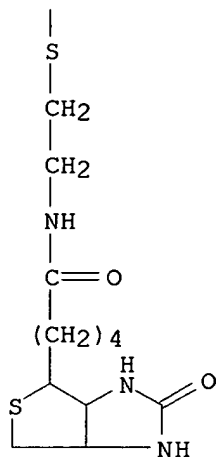
IT 97068-12-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, for macromol. isolation)

RN 97068-12-7 CAPLUS

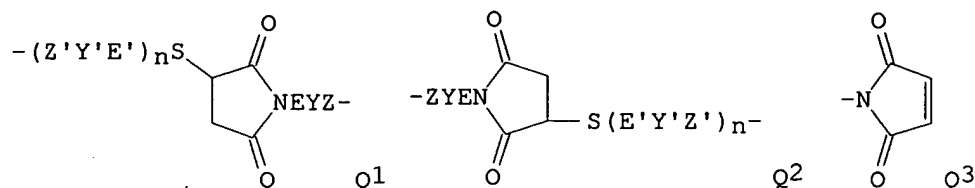
CN Uridine 5'-(tetrahydrogen triphosphate), 2'-deoxy-5-[3-[[3-[[2-[[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1-oxopentyl]amino]ethyl]dithio]-1-oxopropyl]amino]-1-propenyl]-, [3aR-(3aα,4β,6aα)]- (9CI) (CA INDEX NAME)



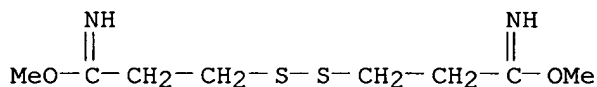


L17 ANSWER 28 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1988:583543 CAPLUS
 DN 109:183543
 TI Immunotoxins, process for preparing them and pharmaceutical compositions
 containing them
 IN Barbieri, Luigi; Casellas, Pierre; Stirpe, Florenzo
 PA SANOFI, Fr.
 SO Eur. Pat. Appl., 25 pp.
 CODEN: EPXXDW
 DT Patent
 LA French
 FAN.CNT 1

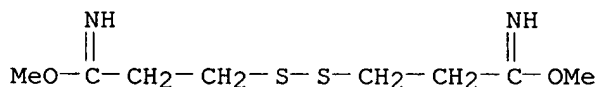
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 255424	A1	19880203	EP 1987-401657	19870715
	EP 255424	B1	19910918		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	FR 2601679	A1	19880122	FR 1986-10297	A 19860715
	FR 2601679	B1	19900525	FR 1986-10297	19860715
	AU 8775564	A1	19880121	AU 1987-75564	19870713
	AU 614263	B2	19910829		
	US 4981953	A	19910101	FR 1986-10297	A 19860715
				US 1987-73263	19870714
				FR 1986-10297	A 19860715
	IL 83183	A1	19920525	IL 1987-83183	19870714
				FR 1986-10297	A 19860715
	DK 8703692	A	19880116	DK 1987-3692	19870715
				FR 1986-10297	A 19860715
	ZA 8705176	A	19880330	ZA 1987-5176	19870715
				FR 1986-10297	A 19860715
	JP 63146831	A2	19880618	JP 1987-176954	19870715
				FR 1986-10297	A 19860715
	AT 67507	E	19911015	AT 1987-401657	19870715
				FR 1986-10297	A 19860715
				EP 1987-401657	A 19870715
	ES 2040269	T3	19931016	ES 1987-401657	19870715



- AB Immunotoxins, e.g. P'WA' (I; P' = radical of an antibody or its fragment, suitably chemical modified; W = bivalent **linker** with ≥ 1 thioether or sulfide group; A' = radical of trichosanthin or trichokirin, suitably chemical modified) and P'W'A' [II; P', A' as before; W' = Q1, Q2, $-Z'Y'E'SS(EYZ)_n-$, $-SS(EYZ)_n-$; Z, Z' = aspartyl, glutamyl, or tyrosyl functional group of P or A proteins; Y, Y' = functional group of **linker**; E, E' = inert **spacer**; n = 0, 1] are prepared
Trichokirin was isolated and purified from *Trichosanthes kirilowii*, treated with S-acetylmercaptosuccinic anhydride for 1 h and then with NH_2OH , and the product was conjugated with monoclonal antibody AT15E (to Thy 1.2 antigen) activated with 3,N-succinimidyl-3-(pyridyl-2-dithio)propionate. The IC_{50} value for the immunotoxin was $2 + 10^{-10}$ M compared to $2 + 10^{-6}$ M for trichokirin itself against T-cell leukemia in mice expressing the Thy 1.2 antigen.
- IT **59012-54-3D**, reaction products with trichokirin
RL: BIOL (Biological study)
(in immunotoxin preparation)
- RN 59012-54-3 CAPLUS
- CN Propanimidic acid, 3,3'-dithiobis-, dimethyl ester (9CI) (CA INDEX NAME)

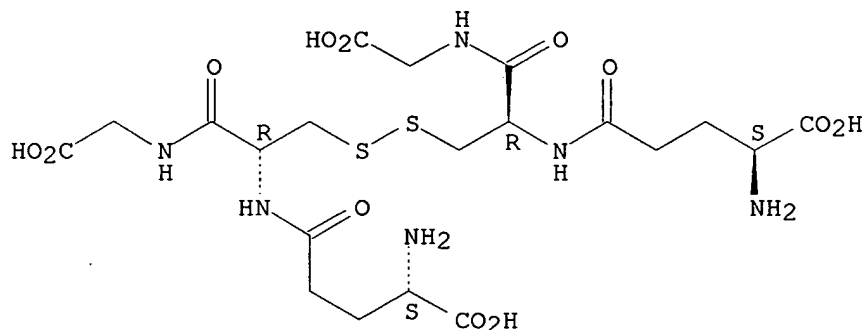


- IT **59012-54-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in trichokirin immunotoxin preparation)
- RN 59012-54-3 CAPLUS
- CN Propanimidic acid, 3,3'-dithiobis-, dimethyl ester (9CI) (CA INDEX NAME)



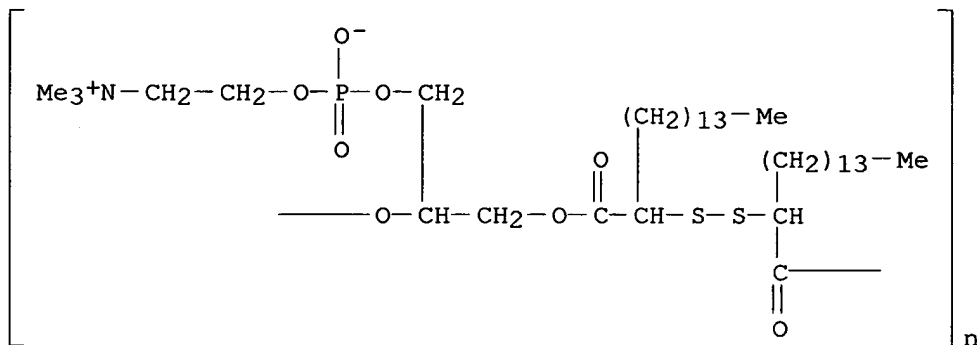
TI Determination of the electrophoretic order of 26 nucleotides by isotachopheresis
 AU Bours, J.; Dempewolf, J.; Schaake, S.; Rink, H.
 CS Dep. Exp. Ophthalmol., Univ. Bonn, Bonn, D-5300/1, Fed. Rep. Ger.
 SO Journal of Chromatography (1987), 403, 336-42
 CODEN: JOCRAM; ISSN: 0021-9673
 DT Journal
 LA English
 AB The electrophoretic order of a mixture of 26 nucleotides was determined. **Spacer** substances were introduced to upgrade the differences in effective mobility between the distinct nucleotides; they were GSH, GSSG, Servalyte pH 2-4, Servalyte pH 3-5, and Ampholine pH 3.5-5. The specific extinction coeffs. at 254 nm of 26 nucleotides in 0.1% solns. were also determined.
 IT **27025-41-8**
 RL: ANST (Analytical study)
 (as **spacer**, in electrophoretic order of nucleotides determination by isotachopheresis)
 RN 27025-41-8 CAPLUS
 CN Glycine, L-γ-glutamyl-L-cysteinyl-, bimol. (2→2')-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 30 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1987:435383 CAPLUS
 DN 107:35383
 TI Phospholipid membranes from a polymeric phosphatidylcholine
 AU Weber, Bruce A.; Dodrer, Nancy; Regen, Steven L.
 CS Dep. Chem., Lehigh Univ., Bethlehem, PA, 18015, USA
 SO Journal of the American Chemical Society (1987), 109(14), 4419-21
 CODEN: JACSAT; ISSN: 0002-7863
 DT Journal
 LA English
 AB A polymeric disulfide derivative (I) of 1,2-bis(2-mercaptohexadecanoyl)-sn-glycero-3-phosphocholine readily assembled into monolayer and bilayer membranes which (1) retain a natural phosphatidylcholine surface, (2) display a phase-transition, and (3) exhibit compressibility behavior which is nearly identical to that of its monomeric analog. These results demonstrate that **spacer** groups are not essential for preserving monomerlike packing behavior of a polymeric surfactant, and that I represents the closest structural and functional analog of any phospholipid reported to date.
 IT **109064-33-7P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and monolayer and bilayer membrane properties of)

RN 109064-33-7 CAPLUS
 CN Poly[oxy[1-[[[hydroxy[2-(trimethylammonio)ethoxy]phosphinyl]oxy]methyl]-1,2-ethanediyl]oxy(1-oxo-2-tetradecyl-1,2-ethanediyl)dithio(2-oxo-1-tetradecyl-1,2-ethanediyl) inner salt] (9CI) (CA INDEX NAME)



L17 ANSWER 31 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1986:587048 CAPLUS

DN 105:187048

TI Synthesis and characterization of biotin-labeled nucleotide analogs

AU Shimkus, Mary L.; Guaglianone, Perry; Herman, Timothy M.

CS Dep. Biochem., Med. Coll. Wisconsin, Milwaukee, WI, 53226, USA

SO DNA (1986), 5(3), 247-55

CODEN: DNAADR; ISSN: 0198-0238

DT Journal

LA English

AB Two biotin-labeled nucleotide analogs, Bio-4-dUTP and Bio-12-SS-dUTP, were synthesized by a modification of the procedure described by P. R. Langer et al. (1981). DUTP was first mercurated at the 5-C and subsequently reacted with allylamine to form 5-(3-amino)allyldeoxyuridine 5'-triphosphate (AA-dUTP). AA-dUTP was purified and reacted with either N-hydroxysuccinimide-activated biotin to form Bio-4-dUTP, or with N-hydroxysuccinimide-activated 2-(biotinamido)ethyl-1,3'-dithiopropionate to form Bio-12-SS-dUTP. Bio-12-SS-dUTP is a chemical cleavable biotinylated nucleotide analog containing a disulfide bond in the 12-atom **linker** arm joining biotin to the pyrimidine base. Both biotinylated nucleotide analogs were purified either by ion-exchange chromatog. or by ion-pair reverse-phase HPLC. Bio-4-dUTP was identified by its unique absorbance spectrum, its coelution with 3H-Bio-4-dUTP during reverse-phase HPLC, and its ability to bind to avidin agarose. As a functional assay for both the synthesis and purification of the biotinylated nucleotide analogs, each nucleotide was incorporated into DNA by nick-translation. The nick-translated DNA was shown to contain biotinylated nucleotides by its ability to bind to biotin-cellulose affinity columns following incubation with soluble avidin. DNA nick-translated in the presence of Bio-12-SS-dUTP was recovered from the biotin-cellulose column following incubation in buffer containing 50 mM dithiothreitol. The susceptibility of the disulfide bond in the **linker** arm of Bio-12-SS-dUTP to cleavage by dithiothreitol was unaffected by the presence of avidin bound to the biotin group.

IT 97068-12-7P

RL: PREP (Preparation)

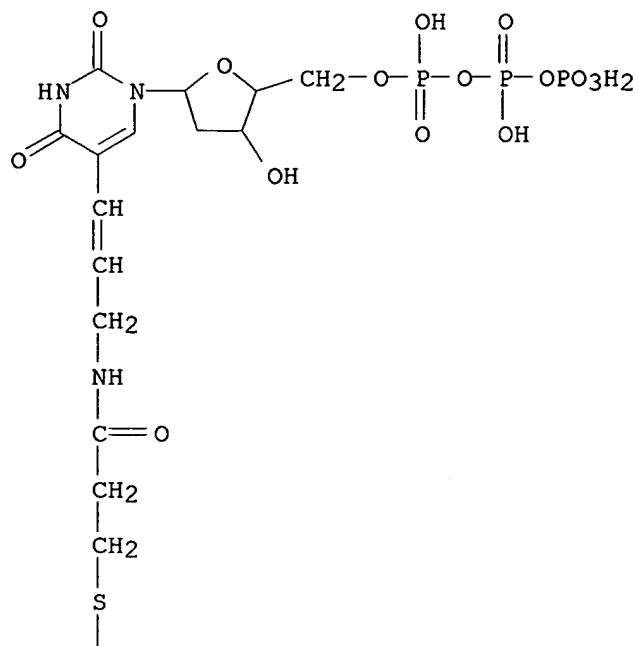
(preparation and characterization of)

RN 97068-12-7 CAPLUS

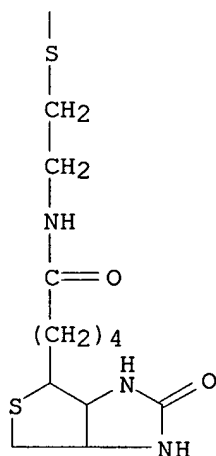
CN Uridine 5'-(tetrahydrogen triphosphate), 2'-deoxy-5-[3-[[3-[[2-[[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1-

oxopentyl]amino]ethyl]dithio]-1-oxopropyl]amino]-1-propenyl]-,
 [3aR-(3a α , 4 β , 6a α)]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L17 ANSWER 32 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1986:539536 CAPLUS
 DN 105:139536
 TI Iodoacetylated and biotinylated liposomes: effect of **spacer**
 length on sulfhydryl ligand binding and avidin precipitability
 AU Hashimoto, Keiichiro; Loader, Joan E.; Kinsky, Stephen C.
 CS Dep. Pediatr., Natl. Jew. Cent. Immunol. Respir. Med., Denver, CO, 80206,

USA

SO Biochimica et Biophysica Acta, Biomembranes (1986), 856(3), 556-65
CODEN: BBBMBS; ISSN: 0005-2736

DT Journal

LA English

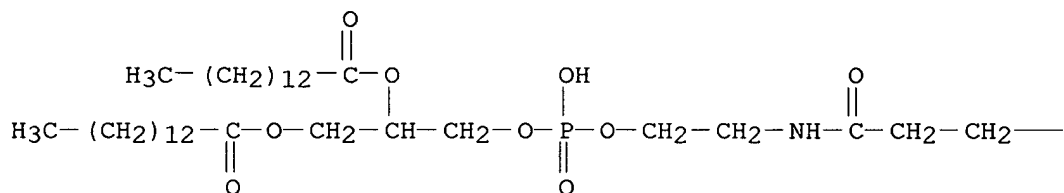
AB Because of the sustained interest in liposomes as immunogens and vehicles for drug delivery, the present investigation was designed to reevaluate the iodoacetyl group as a means of binding sulfhydryl-containing substances to liposomes in a thioether linkage, and to develop an alternative method by which liposomes with bound ligand can be conveniently and rapidly separated from free ligand. For the purpose of the 1st goal, a homologous series of dimyristoylphosphatidylethanolamine (DMPE) [20255-95-2] derivs. was prepared in which the iodoacetyl (IA) function was separated from the phospholipid amino group by either 0, 1, or 2 aminoethylthioacetyl (AETA) spacers. Liposomes prepared with IA-DMPE can not bind 125I-radiolabeled rabbit IgG which had been thiolated by reaction with S-acetylmercaptosuccinic anhydride. Significant IgG attachment was, however, obtained with liposomes containing either IA-AETA-DMPE [102806-17-7] or IA-(AETA)2-DMPE [102806-18-8], and the amount bound was directly related to **spacer** length. In contrast, **spacer** length had no effect on the covalent binding of a low mol. weight hapten, N-dinitrophenylcysteine. Other parameters [incubation time, IgG concentration, d. of IA-(AETA)2-DMPE, and sulfhydryl inhibitors] were also examined To achieve the 2nd objective, biotinyl-(AETA)2-DMPE [102826-86-8] was incorporated into the same liposomal bilayers that contained the iodoacetylated derivs. Thus, liposomes with bound ligand could be readily precipitated by avidin, and washed free of unreacted IgG by low speed centrifugation. Comparative expts. with liposomes containing biotinyl-DMPE [35013-72-0] revealed that **spacer** length also had a pronounced effect on the avidin precipitability of liposomes in the presence of proteins that may be noncovalently absorbed or covalently bound to the model membrane surface.

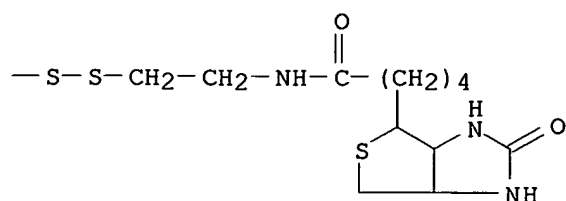
IT **102806-15-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in liposome preparation)

RN 102806-15-5 CAPLUS

CN Tetradecanoic acid, 1-[20-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-3-hydroxy-3-oxido-8,16-dioxo-2,4-dioxo-11,12-dithia-7,15-diaza-3-phosphaeicos-1-yl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)

PAGE 1-A





L17 ANSWER 33 OF 40 CAPLUS . COPYRIGHT 2005 ACS on STN

AN 1986:530274 CAPLUS

DN 105:130274

TI Affinity isolation of transcriptionally active DNA

AU Roseman, Barry; Lough, John; Houkom, Everin; Herman, Tim

CS Dep. Anat. Cell. Biol., Med. Coll. Wisconsin, Milwaukee, WI, 53226, USA

SO Biochemical and Biophysical Research Communications (1986), 137(1), 474-9
CODEN: BBRCA9; ISSN: 0006-291X

DT Journal

LA English

AB Chicken erythrocyte nuclei were nick translated with the chemical cleavable biotinylated nucleotide, Bio-12-SS-dUTP. DNA was purified, digested with restriction endonucleases, and applied to an avidin-agarose affinity column. Seventy percent of the nick translated DNA bound to the column. This DNA was recovered from the column by chemical cleavage of the **linker** arm joining biotin to the DNA. Dot hybridization anal. of this DNA revealed a significant enrichment of the α -D-globin gene. This result suggests an approach to isolate transcriptionally active genes.

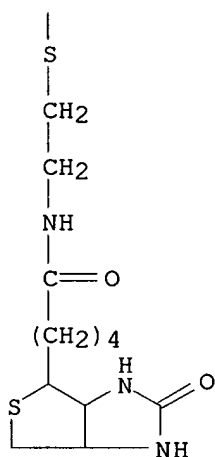
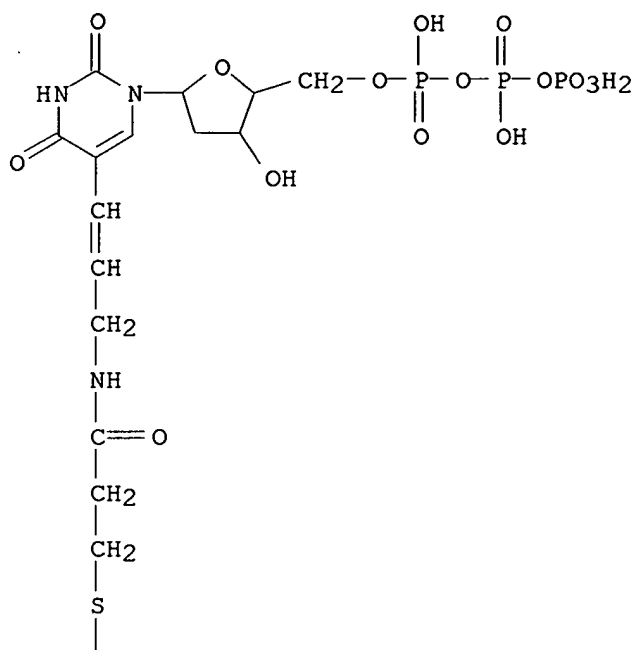
IT 97068-12-7D, DNA containing

RL: ANST (Analytical study)

(formation and separation of, by nick translation and avidin affinity chromatog., in transcriptionally active DNA separation)

RN 97068-12-7 CAPLUS

CN Uridine 5'-(tetrahydrogen triphosphate), 2'-deoxy-5-[3-[[3-[[2-[[5-(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)-1-oxopentyl]amino]ethyl]dithio]-1-oxopropyl]amino]-1-propenyl]-, [3aR-(3a α ,4 β ,6a α)]- (9CI) (CA INDEX NAME)



L17 ANSWER 34 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1985:593667 CAPLUS
 DN 103:193667
 TI Phosphorylation of extracellular carbohydrates by intact cells. Chicken
 hepatocytes specifically adhere to and phosphorylate immobilized
 N-acetylglucosamine
 AU Brandley, Brian K.; Schnaar, Ronald L.
 CS Sch. Med., Johns Hopkins Univ., Baltimore, MD, 21205, USA
 SO Journal of Biological Chemistry (1985), 260(23), 12474-83
 CODEN: JBCHA3; ISSN: 0021-9258
 DT Journal

LA English

AB Cell-cell adhesion, which may be initiated by binding of cell surface carbohydrates to complementary carbohydrate receptors on apposing cell surfaces, was modeled with polyacrylamide gels covalently derivatized with glycosides, to which intact cells specifically adhere; chicken hepatocytes adhere to gels derivatized with N-acetylglucosamine (GlcNAc). Initially adhesion is blocked (or reversed) by soluble GlcNAc, but becomes sugar-resistant rapidly at 37°, perhaps due to cellular modification of the carbohydrate-derivatized surface. Subsequent to recognition and adhesion, intact chicken hepatocytes transfer phosphate covalently to GlcNAc-derivatized gels. Metabolically radiolabeled cells (inorg. [32P]phosphate) were incubated on polyacrylamide gels derivatized with various aminohexyl glycosides. Noncovalently bound material was then removed from the gels by extensive washing in detergents and salt solns. Subsequent radiochem. anal. revealed that phosphate was transferred selectively to GlcNAc-derivatized gels (≤20-fold more than to glucose-, galactose-, or mannose-derivatized gels). Soluble GlcNAc (but not other sugars) or low temperature inhibited phosphate transfer. The phosphorylation was mediated by intact cells; cell lysate was itself incapable of specific phosphate transfer and attenuated specific transfer when added to intact cells. When GlcNAc was immobilized using a cleavable (SS-containing) **linker** arm, the transferred phosphate radiolabel could be solubilized by SS reduction and recovered for further anal. The released phosphorylated product migrated as a single low-mol.-weight species upon gel permeation chromatog., paper electrophoresis, and cellulose TLC. Acid hydrolysis of the phosphorylated product generated a compound with the mobility of GlcNAc-6-Phosphate in 5 different separation systems. Treatment with alkaline phosphatase converted the radiolabel to a compound with the properties of inorg. phosphate. Thus, subsequent to carbohydrate recognition and adhesion, intact hepatocytes generate phosphomonoesters of recognized carbohydrates outside of their plasma membranes.

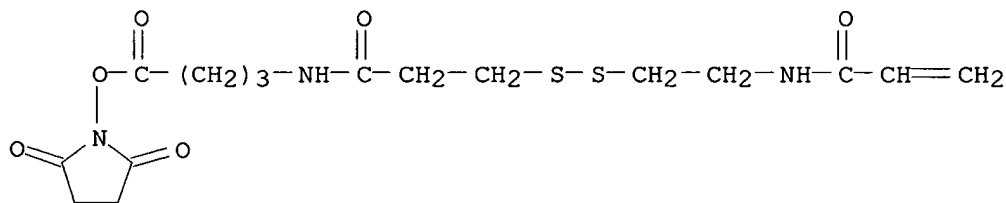
IT 99124-27-3

RL: BIOL (Biological study)

(acetylglucosamine phosphorylation by hepatocytes in presence of)

RN 99124-27-3 CAPLUS

CN 2-Propenamide, N-[2-[[3-[[4-[(2,5-dioxo-1-pyrrolidinyl)oxy]-4-oxobutyl]amino]-3-oxopropyl]dithio]ethyl]- (9CI) (CA INDEX NAME)



L17 ANSWER 35 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1985:538050 CAPLUS

DN 103:138050

TI Photochemical crosslinking of protein and DNA in chromatin. II. Synthesis and application of psoralen-cystamine-arylazido photocrosslinking reagents

AU Elsnér, Henrik; Buchardt, Ole; Moeller, Joergen; Nielsen, Peter E.

CS H. C. Oersted Inst., Univ. Copenhagen, Copenhagen, DK-2100, Den.

SO Analytical Biochemistry (1985), 149(2), 575-81

CODEN: ANBCA2; ISSN: 0003-2697

DT Journal

LA English

AB The synthesis and testing of a new type of nucleic acid-protein photocrosslinking reagent is described. The reagents are composed of a psoralen ligand for nucleic acid photoattachment, which is linked to an azidobenzoyl group, for protein photoattachment. The **linker** (cystamine) contains a disulfide bridge which can be opened by reduction with mercaptans. The efficiency of 3 of the prepared reagents was tested for the reversible crosslinking of histones to DNA in chromatin from Ehrlich ascites cells. The reagents induced cleavable crosslinks between the histones and the DNA upon irradiation with long-wavelength UV light ($\lambda > 300$ nm). A linear dependency between the amount of crosslinked histones and the amount of reagent used was observed at low concns. (0-50 $\mu\text{g/mL}$) of the reagent. The photoaffinity-labeling reagents preferentially photoreacted with histones H1, H2A, and H3 in native chromatin.

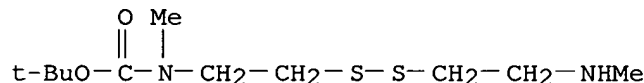
IT 98474-53-4P 98474-54-5P 98474-55-6P
98474-56-7P 98474-57-8P 98495-39-7P
98495-40-0P

RL: PREP (Preparation)

(preparation of, for DNA and protein crosslinking)

RN 98474-53-4 CAPLUS

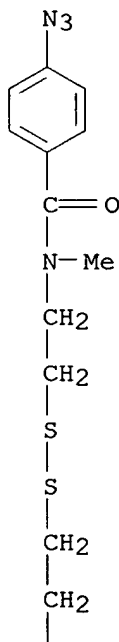
CN Carbamic acid, methyl[2-[[2-(methylamino)ethyl]dithio]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

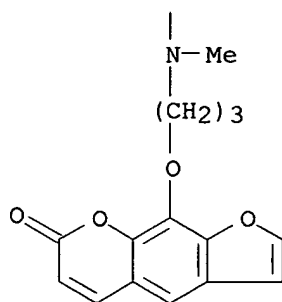


RN 98474-54-5 CAPLUS

CN Benzamide, 4-azido-N-methyl-N-[2-[[2-[methyl[3-[(7-oxo-7H-furo[3,2-g][1]benzopyran-9-yl)oxy]propyl]amino]ethyl]dithio]ethyl]- (9CI) (CA INDEX NAME)

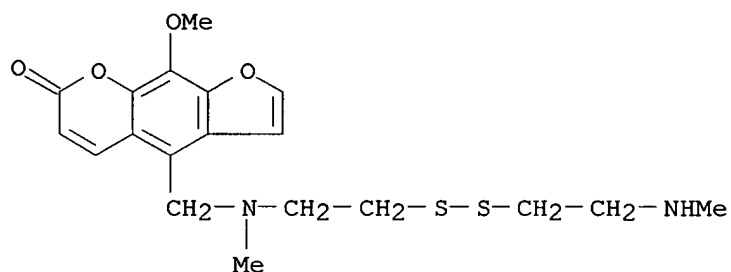
PAGE 1-A





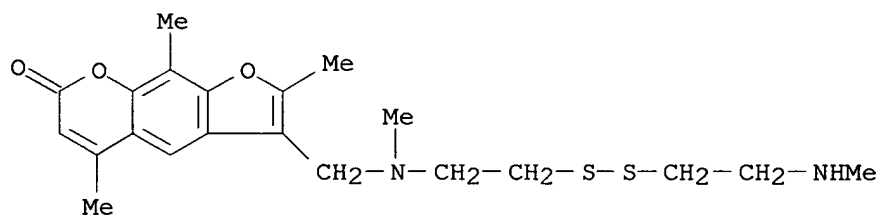
RN 98474-55-6 CAPLUS

CN 7H-Furo[3,2-g][1]benzopyran-7-one, 9-methoxy-4-[[methyl[2-[[2-(methylamino)ethyl]dithio]ethyl]amino]methyl]- (9CI) (CA INDEX NAME)



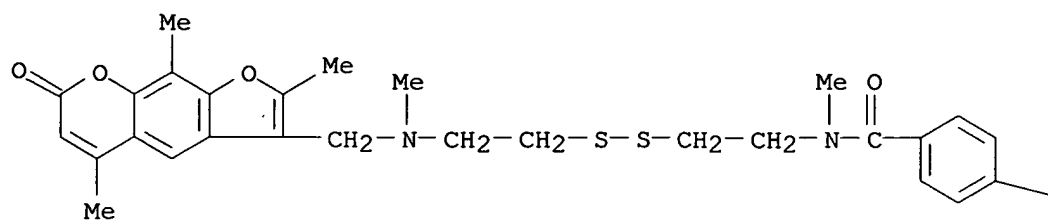
RN 98474-56-7 CAPLUS

CN 7H-Furo[3,2-g][1]benzopyran-7-one, 2,5,9-trimethyl-3-[[methyl[2-[[2-(methylamino)ethyl]dithio]ethyl]amino]methyl]- (9CI) (CA INDEX NAME)



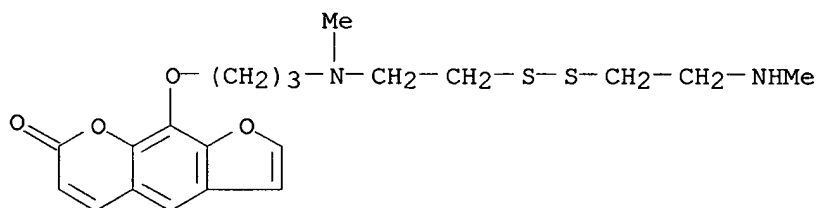
RN 98474-57-8 CAPLUS

CN Benzamide, 4-azido-N-methyl-N-[2-[[2-[methyl[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]ethyl]dithio]ethyl]- (9CI) (CA INDEX NAME)

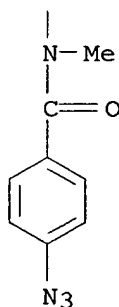
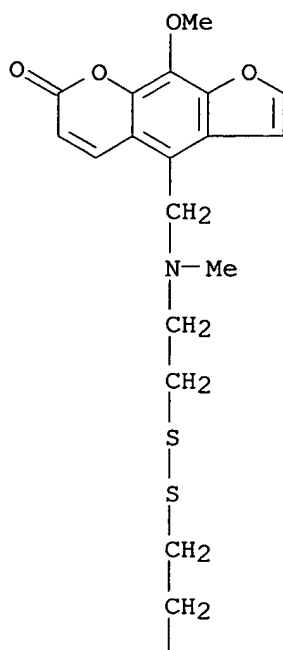


N₃

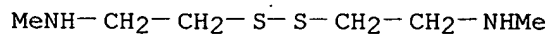
RN 98495-39-7 CAPLUS
 CN 7H-Furo[3,2-g][1]benzopyran-7-one, 9-[3-[methyl[2-[[2-(methylamino)ethyl]dithio]ethyl]amino]propoxy]- (9CI) (CA INDEX NAME)



RN 98495-40-0 CAPLUS
 CN Benzamide, 4-azido-N-[2-[[2-[[[9-methoxy-7-oxo-7H-furo[3,2-g][1]benzopyran-4-yl)methyl]methylamino]ethyl]dithio]ethyl]-N-methyl- (9CI) (CA INDEX NAME)



IT **4747-27-7**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with butoxycarbonyl azide)
 RN 4747-27-7 CAPLUS
 CN Ethanamine, 2,2'-dithiobis[N-methyl- (9CI) (CA INDEX NAME)



L17 ANSWER 36 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1985:20404 CAPLUS
 DN 102:20404
 TI Photochemical crosslinking of protein and DNA in chromatin. Part I.
 Synthesis and application of a photosensitive cleavable derivative of

9-aminoacridine with two photoprobes connected through a disulfide-containing **linker**

AU Nielsen, Peter E.; Hansen, John B.; Buchardt, Ole
 CS Panum Inst., Univ. Copenhagen, Copenhagen, DK-2200, Den.
 SO Biochemical Journal (1984), 223(2), 519-26
 CODEN: BIJOAK; ISSN: 0306-3275

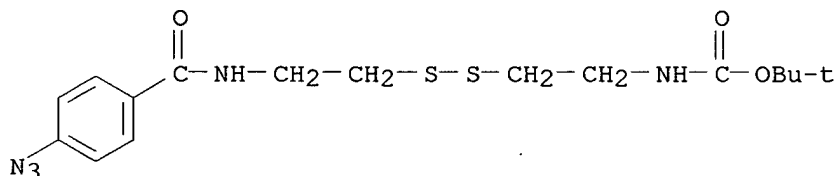
DT Journal
 LA English

AB A novel cleavable photochem. crosslinking reagent, N-(2-methoxy-6-azidoacridin-9-yl)-N'-(4-azidobenzoyl)cystamine, for anal. of protein-nucleic acid interactions, has been synthesized. The reagent contains 2 photosensitive groups that can be activated sequentially. The azidoacridinyl moiety is sensitive to UV and visible light ($\lambda \leq 450\text{nm}$), whereas the azidobenzoyl part needs higher-energy light ($\lambda \leq 350\text{nm}$). Furthermore, the disulfide bridge connecting the 2 photoactive groups can be cleaved by reduction with mercaptans. The reagent is shown to induce cleavable crosslinks each of the 5 major histones and DNA in chromatin from Ehrlich ascites cells on activation with long-wavelength UV light ($\lambda > 300\text{nm}$) at an efficiency of .apprx.3% of the added reagent.

IT **93790-48-8P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and deprotection of)

RN 93790-48-8 CAPLUS

CN Carbamic acid, [2-[[2-[(4-azidobenzoyl)amino]ethyl]dithio]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 37 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1984:626210 CAPLUS

DN 101:226210

TI Discrete non-UV-absorbing anionic and cationic spacers for isotachophoretic separations at high and low pH, respectively

AU Husmann-Holloway, S.; Borriess, E.
 CS Inst. Med. Mikrobiol., Med. Hochsch., Hannover, D-3000/61, Fed. Rep. Ger.
 SO Anal. Prep. Isotachophoresis, Proc., Int. Symp. Isotachophoresis, 3rd (1984), Meeting Date 1982, 63-70. Editor(s): Holloway, Christopher J. Publisher: de Gruyter, Berlin, Fed. Rep. Ger.
 CODEN: 52ORAU

DT Conference
 LA English

AB A catalog of 49 **spacer** ion listed in the order of increasing relative mobility is given for an anionic electrolyte system at high pH as well as catalog of 22 **spacer** ions in a cationic electrolyte system at low pH for use in isotachophoretic sepns. Tables are also given of the relative reference unit values of the spacers. A practical application is given of the **spacer** catalogs for the separation of a mixture of proteins. It is cautioned that the uncrit. use of discrete spacers, e.g., for the anal. of heterogeneous protein mixts., can give misleading results.

IT **27025-41-8**

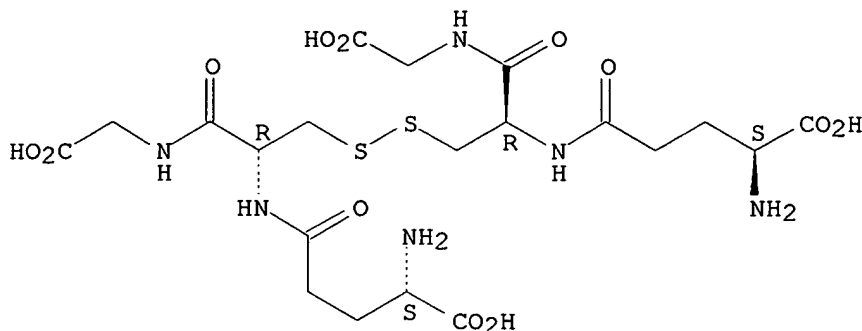
RL: ANST (Analytical study)

(spacers, for protein isotachopheresis in anionic electrolyte system at high pH)

RN 27025-41-8 CAPLUS

CN Glycine, L-γ-glutamyl-L-cysteinyl-, bimol. (2→2')-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 38 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1983:191870 CAPLUS

DN 98:191870

TI Synthesis of biotin-labeled dexamethasone derivatives. Novel hormone-affinity probes

AU Manz, Bernhard; Heubner, Arnulf; Koehler, Irmgard; Grill, Hans Joerg; Follow, Kunhard

CS Abt. Exp. Endokrinol., Johannes-Gutenberg-Univ. Mainz, Mainz, D-6500, Fed. Rep. Ger.

SO European Journal of Biochemistry (1983), 131(2), 333-8
CODEN: EJBCAI; ISSN: 0014-2956

DT Journal

LA English

AB A new, general methodol. for "sandwich" affinity chromatog. of steroid hormone receptors is proposed; the purification of the human spleen tumor glucocorticoid receptor is described as an illustration. 9-Fluoro-16α-methyl-11β,17-dihydroxy-1,4-androstadien-3-one-17β-carboxylic acid was coupled to biotin using pentamethylenediamine (BioDex 1) as a **spacer**. The bifunctional derivative binds to glucocorticoid receptors and avidin-Sepharose and efficiently protects the glucocorticoid receptor against inactivation when previously added during homogenization. The capacity and optimum conditions for elution of receptor-BioDex-1 complexes which are bound to avidin-Sepharose were standardized. Receptor purification of several thousandfold can be obtained with good yield.

IT 85679-58-9P

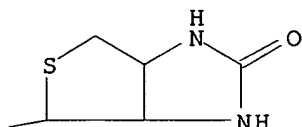
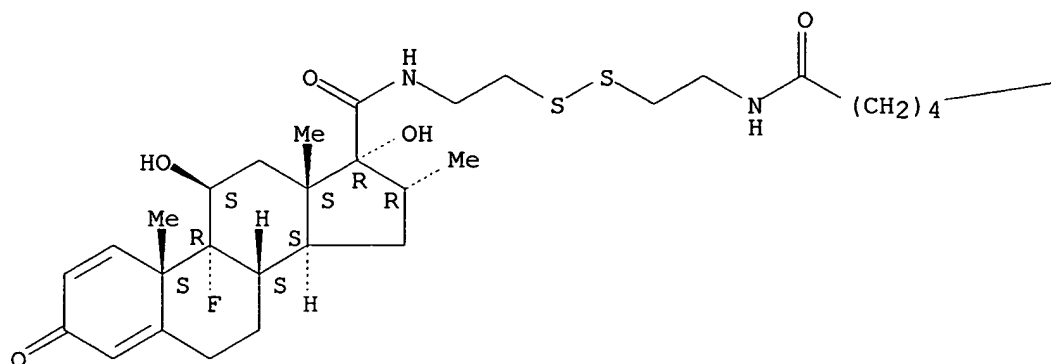
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as glucocorticoid receptor affinity probe)

RN 85679-58-9 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, N-[2-[[2-[[[(11β,16α,17α)-9-fluoro-11,17-dihydroxy-16-methyl-3-oxoandrost-1,4-dien-17-yl]carbonyl]amino]ethyl]dithio]ethyl]hexahydro-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

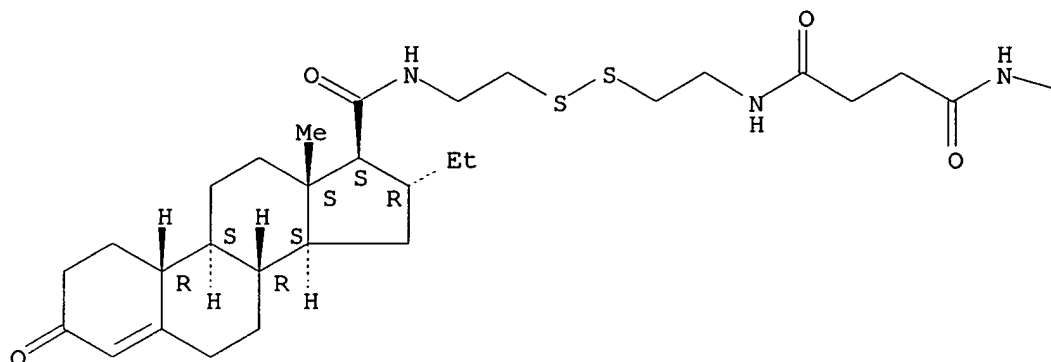


L17 ANSWER 39 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1983:11602 CAPLUS
 DN 98:11602
 TI Synthesis of a new disulfide affinity adsorbent for purification of human uterine progesterone receptor
 AU Manz, Bernhard; Grill, Hans Joerg; Koehler, Irmgard; Heubner, Arnulf; Pollow, Kunhard
 CS Abt. Exp. Endokrinol., Johannes Gutenberg-Univ., Mainz, Fed. Rep. Ger.
 SO European Journal of Biochemistry (1982), 128(1), 249-55
 CODEN: EJBCAI; ISSN: 0014-2956
 DT Journal
 LA English
 AB For purification of the human uterine progesterone [57-83-0] receptor, an affinity adsorbent was synthesized in which the specific ligand (16 α -ethyl-3-oxo-19-nor-androst-4-ene-17 β -carboxylic acid [83972-18-3]) was bound to derivatized cellulose using a disulfide-group-containing **spacer**. The purified receptor protein, isolated by reductive cleavage of the disulfide bond, bound the synthetic gestagen R5020 with high affinity (K_d 12.2 nmol/L). The affinity gel was highly efficient. A 24,000-fold purification of progesterone receptor with a recovery of 40% could be achieved in a single step within 6 h. By means of dodecyl sulfate/polyacrylamide gel electrophoresis 2 main polypeptides with mol. wts. of about 43,000 and 108,000 could be demonstrated.
 IT **84013-72-9**
 RL: BIOL (Biological study)
 (as adsorbent, for progesterone receptor from uterus of human)
 RN 84013-72-9 CAPLUS
 CN Agarose, 19-[(16 α ,17 β)-16-ethyl-3-oxoestr-4-en-17-yl]-2,7,10,19-tetraoxo-14,15-dithia-3,6,11,18-tetraazanonadec-1-yl ether (9CI) (CA INDEX NAME)

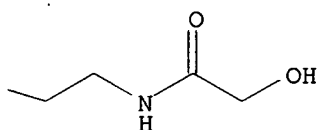
CRN 173451-13-3
CMF C33 H52 N4 O6 S2

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



CM 2

CRN 9012-36-6
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L17 ANSWER 40 OF 40 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1981:437551 CAPLUS

DN 95:37551

TI A membrane-impermeant, cleavable cross-linker. Dimers of human erythrocyte band 3 subunits cross-linked at the extracytoplasmic membrane face

AU Staros, James V.; Morgan, David G.; Appling, Dean R.

CS Sch. Med., Vanderbilt Univ., Nashville, TN, 37232, USA

SO Journal of Biological Chemistry (1981), 256(11), 5890-3

CODEN: JBCHA3; ISSN: 0021-9258

DT Journal

LA English

AB Diisethionyl-3,3'-dithiobispropionimide (I) is a new membrane-impermeant, cleavable protein crosslinking reagent designed for probing protein organization at one face of membrane. Rabbit muscle aldolase was reacted in solution with I and the products were electrophoresed in SDS-polyacrylamide gels. When electrophoresed under nonreducing conditions, the gels contain bands corresponding to oligomers of aldolase, whereas pretreatment with dithiothreitol to cleave the crosslink prior to electrophoresis results in gels containing primarily the band corresponding to

aldolase monomer. Thus, I is a cleavable protein crosslinker. Reaction of isolated human erythrocyte membranes with I leads to extensive crosslinking of spectrin, band 3, band 6, and residual Hb, consistent with results previously obtained with permeant crosslinkers. In contrast, when intact human erythrocytes are crosslinked with I, Hb and the cytoplasmic face membrane proteins are not crosslinked, but band 3, which is accessible at the extracytoplasmic face of the membrane, is crosslinked to dimers.

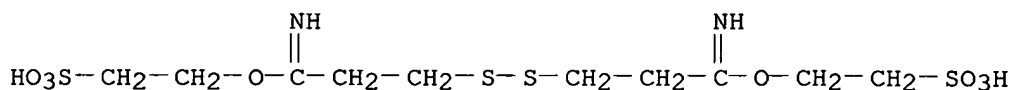
IT 78303-20-5

RL: MOA (Modifier or additive use); USES (Uses)

(crosslinking agent, for proteins on membrane surface)

RN 78303-20-5 CAPLUS

CN Propanimidic acid, 3,3'-dithiobis-, bis(2-sulfoethyl) ester (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 16:35:19 ON 18 NOV 2005)

FILE 'REGISTRY' ENTERED AT 16:36:22 ON 18 NOV 2005

L1 STRUCTURE UPLOADED

L2 50 L1 SAM

L3 8586 L1 FULL

FILE 'CAPLUS' ENTERED AT 16:37:32 ON 18 NOV 2005

L4 12040 L3

L5 157 L4 AND (LINKER OR SPACER)

L6 103 PY>1998 AND L5

L7 54 L5 NOT L6

L8 14 L7 AND (SOLID OR SUPPORT OR SUBSTRATE)

L9 0 L7 AND ASSYMMETR?

L10 0 L4 AND ASSYMMETR?

L11 0 L7 AND ASYMMETR?

L12 66 L4 AND ASYMMETR?

L13 0 L5 AND ASYMMETR?

L14 7 L12 AND (SOLID OR SUPPORT OR SUBSTRATE)

L15 2 PY>1998 AND L14

L16 5 L14 NOT L15

L17 40 L7 NOT L8

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ENTRY

SESSION

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